



## INVENTOR SEARCH

=> fil capl; d que nos l16

FILE 'CAPLUS' ENTERED AT 16:25:01 ON 04 MAR 2009

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FILE COVERS 1907 - 4 Mar 2009 VOL 150 ISS 10

FILE LAST UPDATED: 3 Mar 2009 (20090303/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

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This file contains CAS Registry Numbers for easy and accurate substance identification.

'OBI' IS DEFAULT SEARCH FIELD FOR 'CAPLUS' FILE

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L1          1 SEA FILE=CAPLUS SPE=ON  ABB=ON  US2005-536686/AP
L3          STR
L4          STR
L9          188 SEA FILE=REGISTRY SSS FUL L3 NOT L4
L10         6 SEA FILE=CAPLUS SPE=ON  ABB=ON  L9
L11         25 SEA FILE=CAPLUS SPE=ON  ABB=ON  CARCANAGUE D?/AU
L12         86 SEA FILE=CAPLUS SPE=ON  ABB=ON  GRAVESTOCK M?/AU
L13        122 SEA FILE=CAPLUS SPE=ON  ABB=ON  HAUCK S?/AU
L14       1161 SEA FILE=CAPLUS SPE=ON  ABB=ON  WEBER T?/AU
L15         82 SEA FILE=CAPLUS SPE=ON  ABB=ON  HALES N?/AU
L16         5 SEA FILE=CAPLUS SPE=ON  ABB=ON  (L1 OR L11 OR L12 OR L13 OR
          L14 OR L15) AND L10
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L16 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:1292133 CAPLUS Full-text

DOCUMENT NUMBER: 144:36355

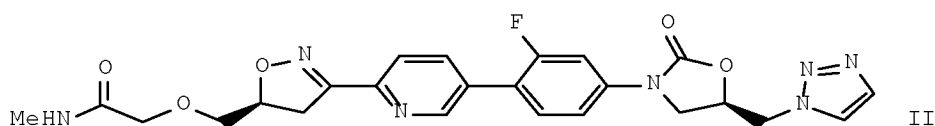
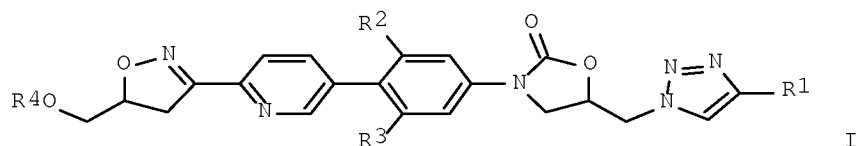
TITLE: Preparation of  
3-(4-(2-dihydroisoxazol-3-ylpyridin-5-yl)phenyl)-5-  
triazol-1-ylmethyloxazolidin-2-ones as MAO inhibitors  
for the treatment of bacterial infection.

INVENTOR(S): Gravestock, Michael Barry; Carcanague,  
Daniel Robert

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited

SOURCE: PCT Int. Appl., 87 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005116024	A1	20051208	WO 2005-GB2059	20050524
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2005247671	A1	20051208	AU 2005-247671	20050524
CA 2567457	A1	20051208	CA 2005-2567457	20050524
EP 1753753	A1	20070221	EP 2005-746284	20050524
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, HR, LV				
CN 1989135	A	20070627	CN 2005-80025003	20050524
BR 2005011535	A	20080102	BR 2005-11535	20050524
JP 2008500319	T	20080110	JP 2007-514091	20050524
US 20070208062	A1	20070906	US 2006-569150	20061115
MX 2006013540	A	20070126	MX 2006-13540	20061122
IN 2006DN07612	A	20070622	IN 2006-DN7612	20061215
NO 2006005873	A	20070220	NO 2006-5873	20061219
KR 2007022784	A	20070227	KR 2006-727035	20061222
PRIORITY APPLN. INFO.:			GB 2004-11595	A 20040525
			GB 2005-56	A 20050105
			WO 2005-GB2059	W 20050524
OTHER SOURCE(S):			CASREACT 144:36355; MARPAT 144:36355	
GI				



AB Title compds. [I; R1 = H, halo, cyano, Me, NCCH<sub>2</sub>, FCH<sub>2</sub>, F<sub>2</sub>CH, F<sub>3</sub>C, MeS, alkynyl; R2, R3 = H, F, Cl, CF<sub>3</sub>; R4 = NCCH<sub>2</sub>, HO<sub>2</sub>CCH<sub>2</sub>, (substituted) alkyl, aminocarbonylmethyl], were prepared. Thus, [[(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-1,3-oxazolidin-3-yl]phenyl]pyridin-2-yl]4,5-dihydroisoxazol-5-yl]methoxy]acetic acid (preparation given) was stirred with pentafluorophenol, 4-dimethylaminopyridine, and 1-[3-(dimethylamino)propyl]-3-ethylcarbodiimide hydrochloride were stirred together for 4 h in DMF to give the pentafluorophenyl ester, which was heated with MeNH<sub>2</sub> in THF/dioxane at 60° for 1.5 h to give title compound (II). II showed a min. inhibitory concentration of 0.06 µg/mL against *Streptococcus pneumoniae*.

IT 870994-62-0P 870994-63-1P 870994-64-2P  
870994-65-3P 870994-66-4P 870994-67-5P  
870994-68-6P 870994-69-7P 870994-70-0P  
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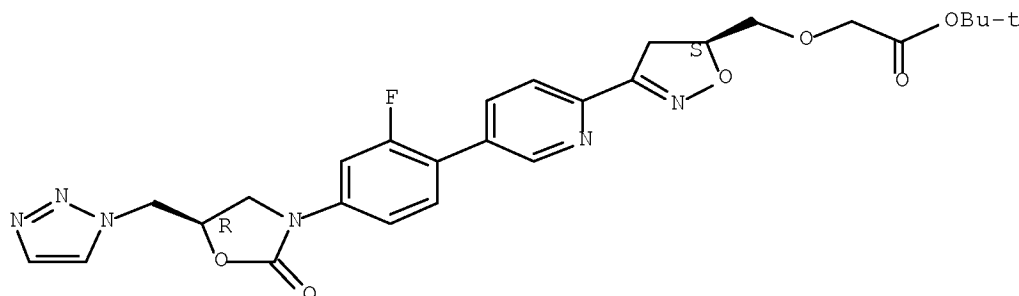
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of  
dihydroisoxazolylpyridinylphenyltriazolylmethyloxazolidinone  
s as MAO inhibitors for the treatment of bacterial infection)

RN 870994-62-0 CAPLUS

CN Acetic acid, 2-[[[(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methoxy]-, 1,1-dimethylethyl ester (CA INDEX NAME)

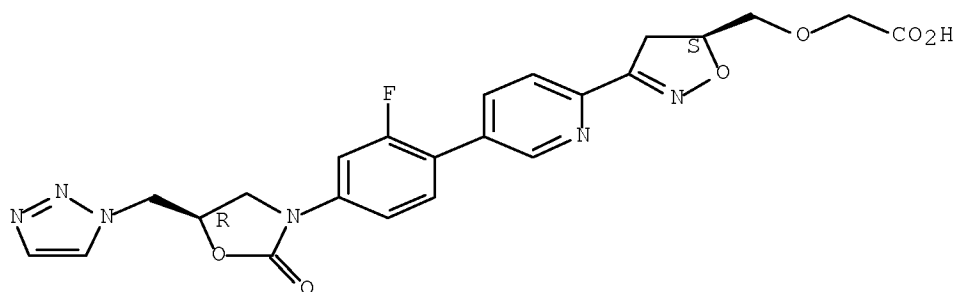
Absolute stereochemistry.



RN 870994-63-1 CAPLUS

CN Acetic acid, 2-[[[(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methoxy]- (CA INDEX NAME)

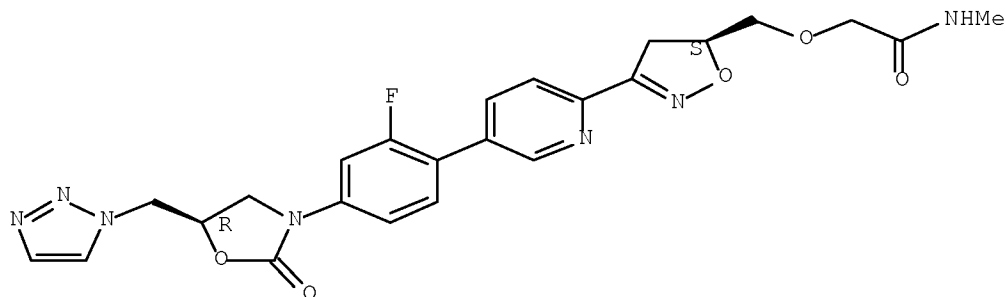
Absolute stereochemistry.



RN 870994-64-2 CAPLUS

CN Acetamide, 2-[[[(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methoxy]-N-methyl- (CA INDEX NAME)

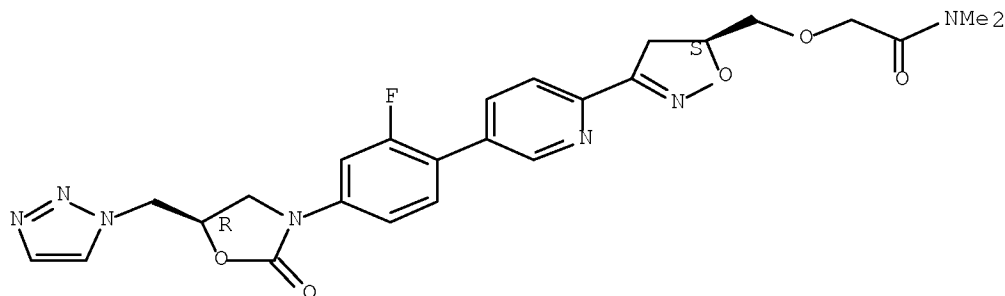
Absolute stereochemistry.



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CN Acetamide, 2-[[[(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methoxy]-N,N-dimethyl- (CA INDEX NAME)

Absolute stereochemistry.

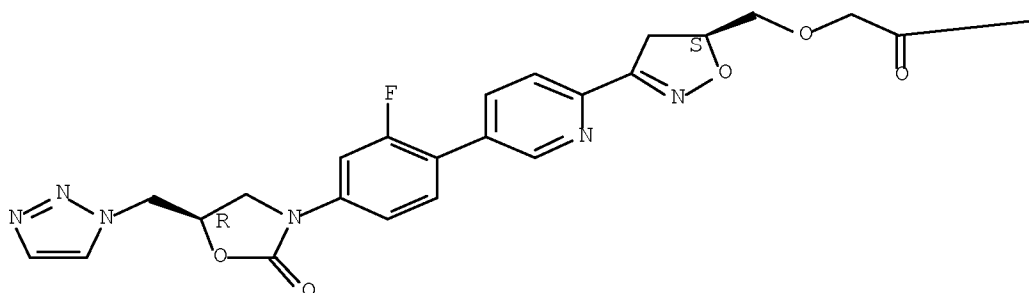


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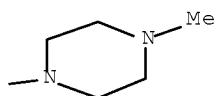
CN 2-Oxazolidinone, 3-[4-[6-[(5S)-4,5-dihydro-5-[[2-(4-methyl-1-piperazinyl)-2-oxoethoxy]methyl]-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



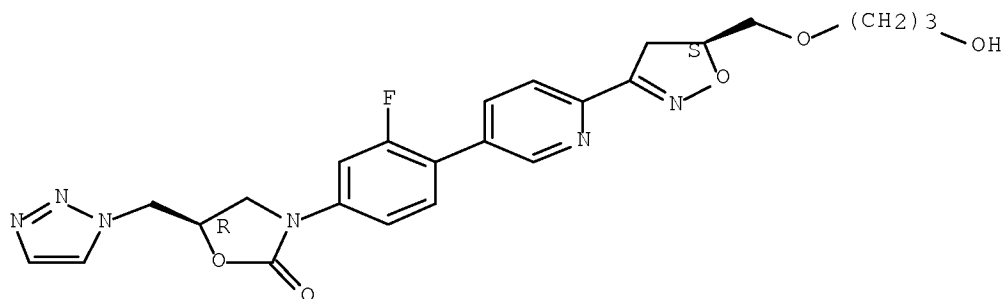
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RN 870994-67-5 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[(5S)-4,5-dihydro-5-[(3-hydroxypropoxy)methyl]-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

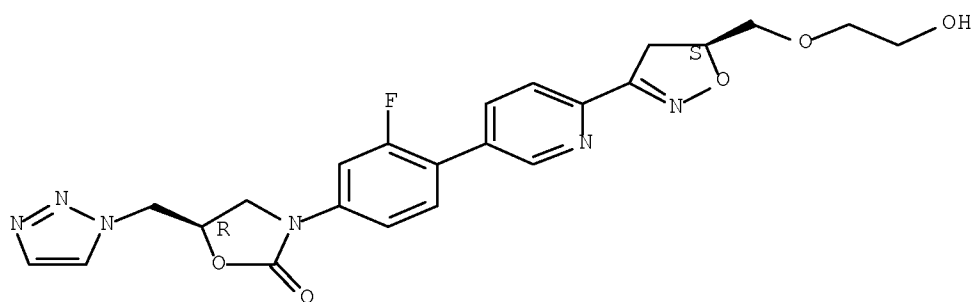
Absolute stereochemistry.



RN 870994-68-6 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[(5S)-4,5-dihydro-5-[(2-hydroxyethoxy)methyl]-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

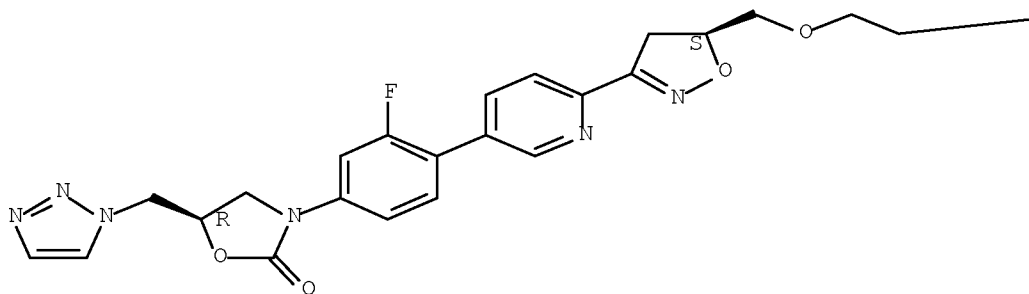


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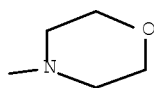
CN 2-Oxazolidinone, 3-[4-[6-[(5S)-4,5-dihydro-5-[[2-(4-morpholinyl)ethoxy]methyl]-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

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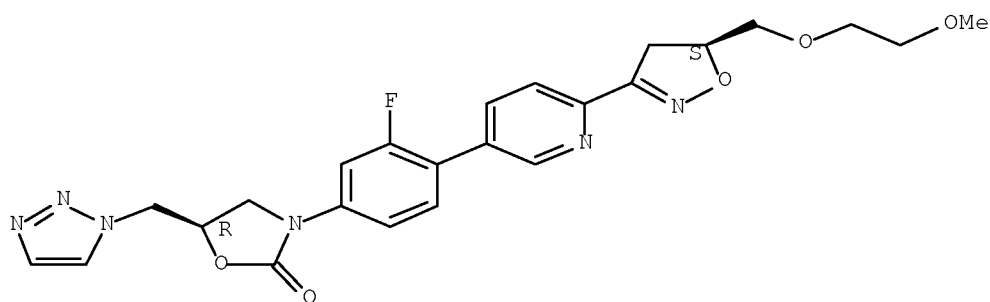
PAGE 1-B



RN 870994-70-0 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[(5S)-4,5-dihydro-5-[(2-methoxyethoxy)methyl]-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

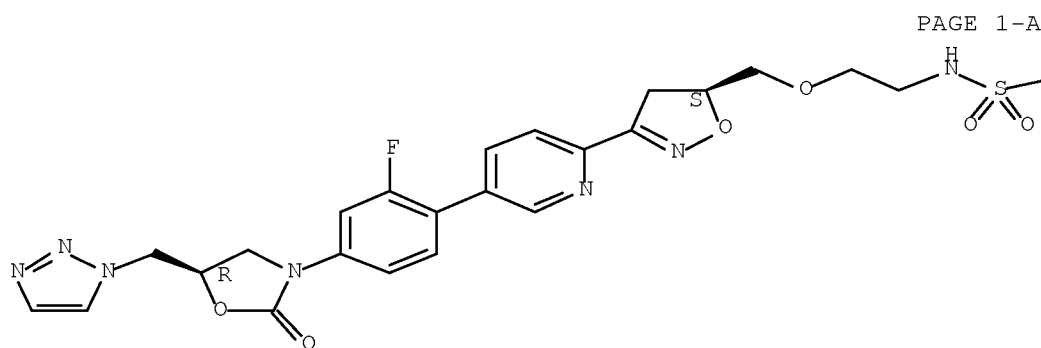
Absolute stereochemistry.



RN 870994-71-1 CAPLUS

CN Methanesulfonamide, N-[2-[[ (5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methoxy]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.



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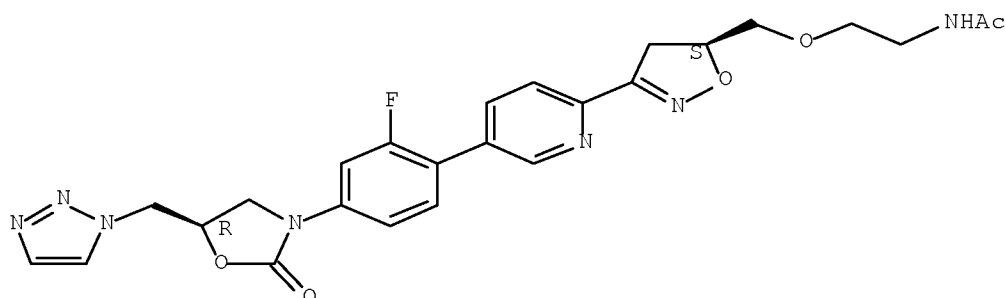
PAGE 1-B

Me

RN 870994-72-2 CAPLUS

CN Acetamide, N-[2-[[ (5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methoxy]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

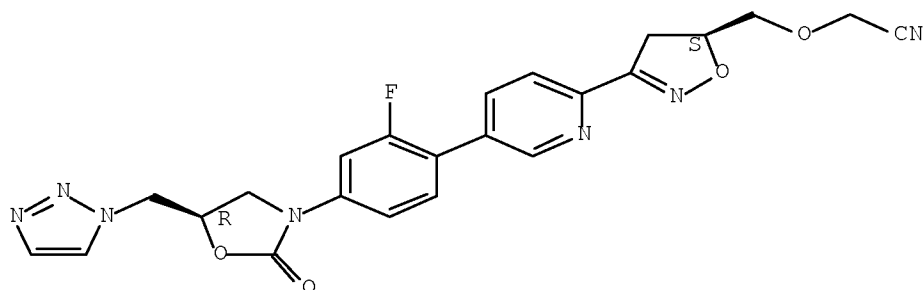




RN 870994-73-3 CAPLUS

CN Acetonitrile, 2-[[[(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methoxy]- (CA INDEX NAME)

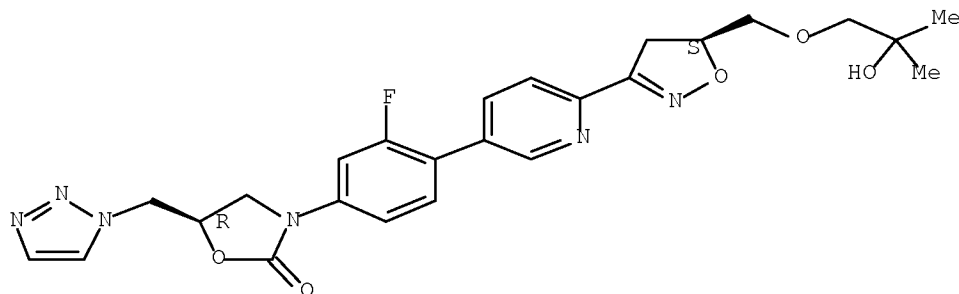
Absolute stereochemistry.



RN 870994-74-4 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[(5S)-4,5-dihydro-5-[(2-hydroxy-2-methylpropoxy)methyl]-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

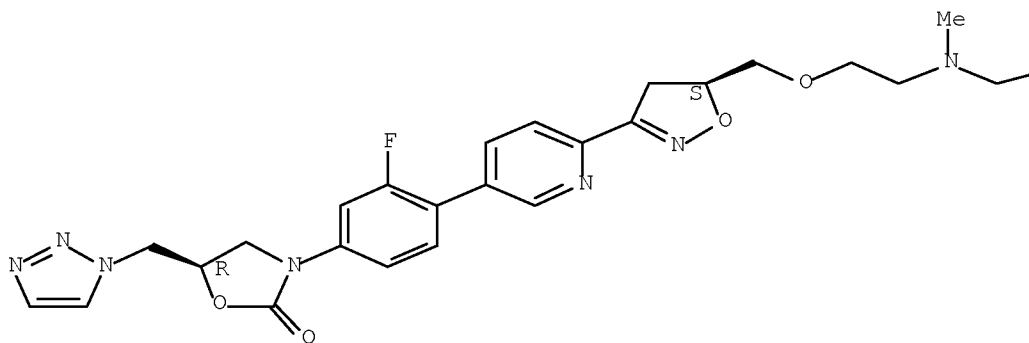


RN 870994-75-5 CAPLUS

CN Glycine, N-[2-[[[(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methoxy]ethyl]-N-methyl- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

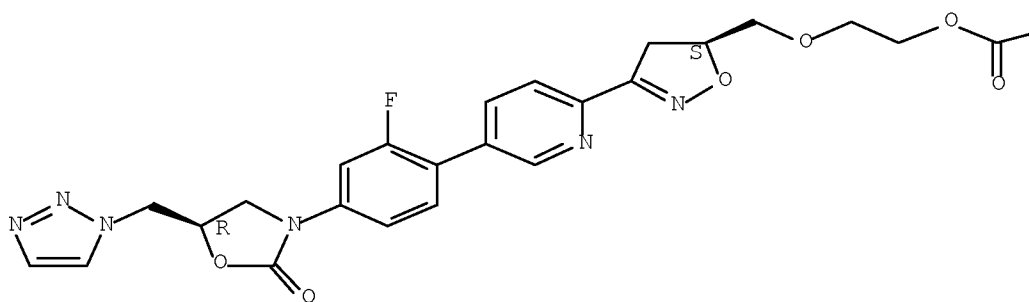
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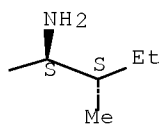
CN L-Isoleucine, 2-[[ (5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methoxy]ethyl ester (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



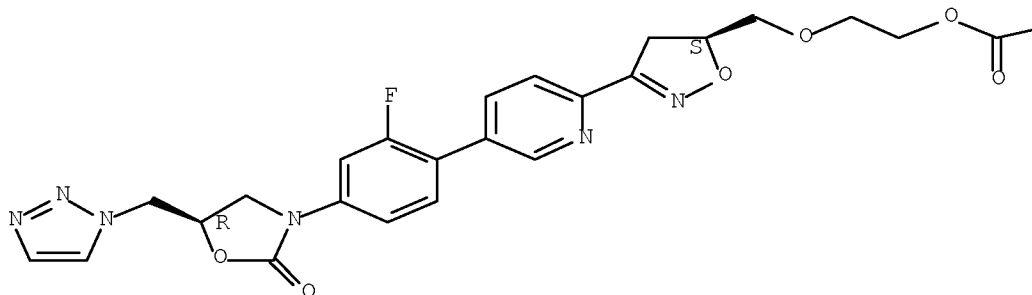
PAGE 1-B



RN 870994-77-7 CAPLUS

CN Glycine, N,N-dimethyl-, 2-[[ (5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-

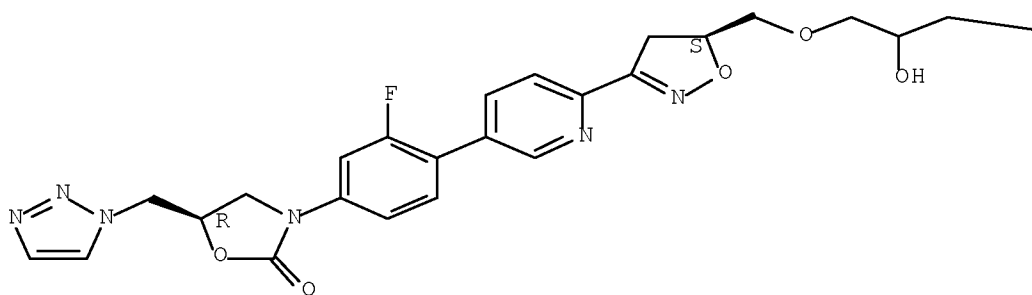
PAGE 1-A

CN(C)C

CN 2-Oxazolidinone, 3-[4-[6-[ (5S)-5-[ [3-(dimethylamino)-2-hydroxypropoxy]methyl]-4,5-dihydro-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

~~—~~ NMe<sub>2</sub>

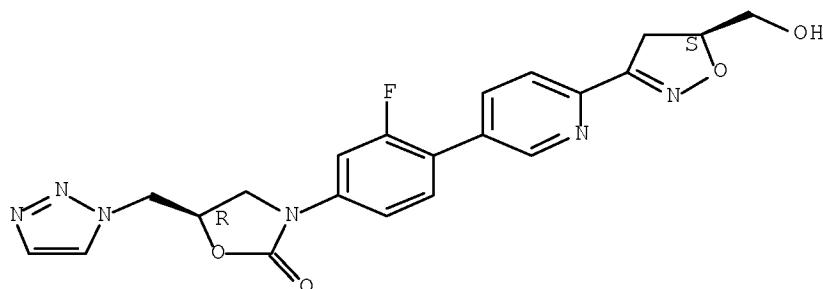
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of  
dihydroisoxazolylpyridinylphenyltriazolylmethyloxazolidinone  
s as MAO inhibitors for the treatment of bacterial infection)

RN 702681-58-1 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[(5S)-4,5-dihydro-5-(hydroxymethyl)-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

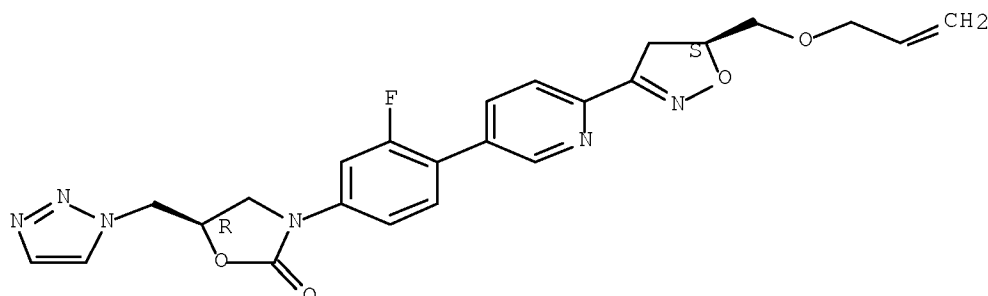
Absolute stereochemistry.



RN 870994-80-2 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[(5S)-4,5-dihydro-5-[(2-propen-1-yloxy)methyl]-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

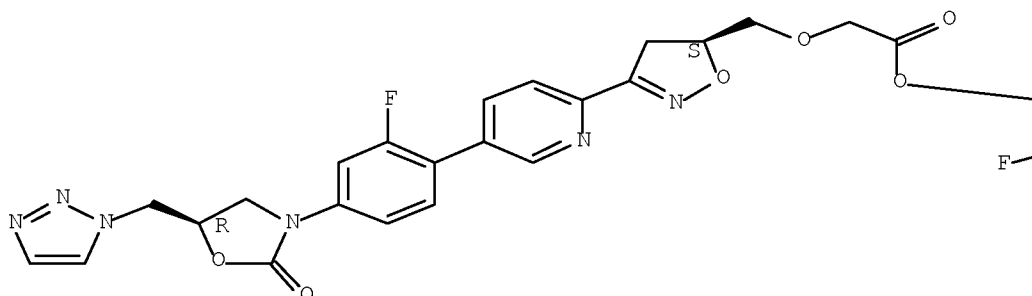


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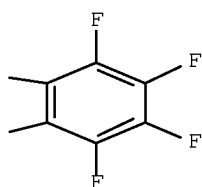
CN Acetic acid, [[(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methoxy]-, pentafluorophenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



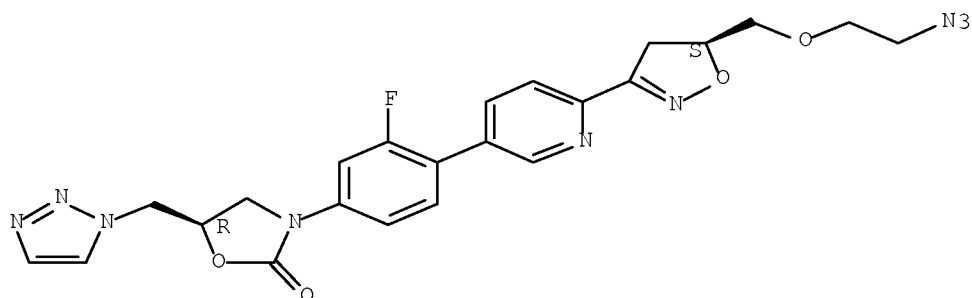
PAGE 1-B



RN 870994-86-8 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[(5S)-5-[(2-azidoethoxy)methyl]-4,5-dihydro-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

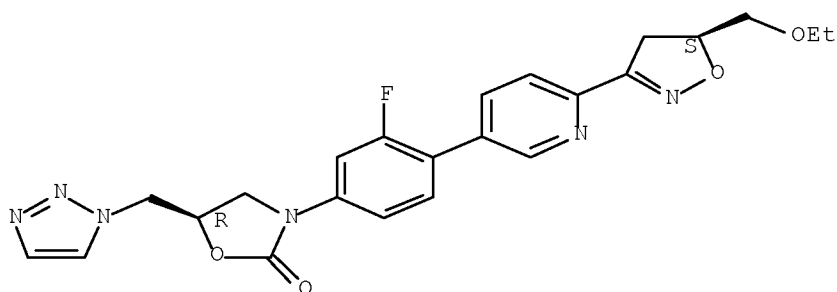
Absolute stereochemistry.



RN 870994-89-1 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[(5S)-5-(ethoxymethyl)-4,5-dihydro-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

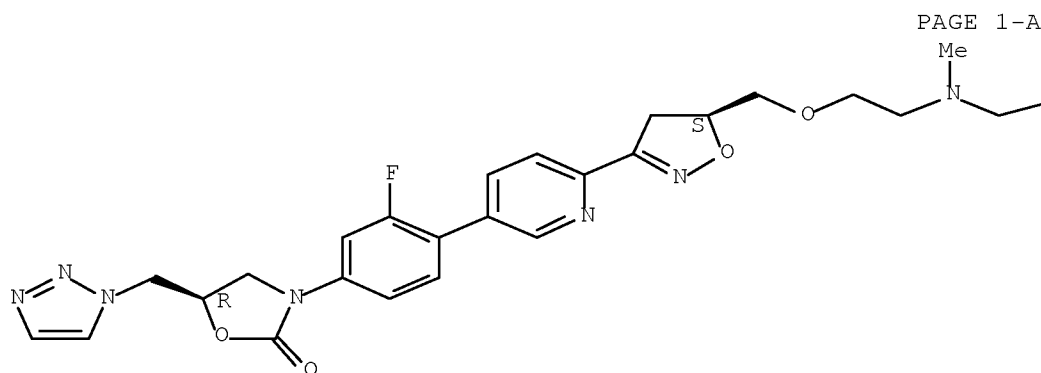
Absolute stereochemistry.



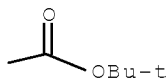
RN 870994-96-0 CAPLUS

CN Glycine, N-[2-[[ (5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methoxy]ethyl]-N-methyl-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.



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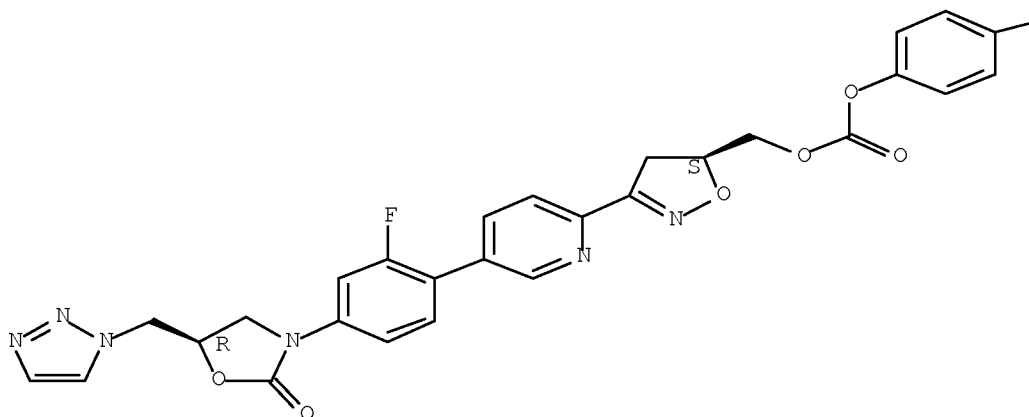
PAGE 1-B

RN 870995-01-0 CAPLUS

CN Carbonic acid, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl 4-nitrophenyl ester (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

—NO<sub>2</sub>

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 2005:1291814 CAPLUS Full-text  
 DOCUMENT NUMBER: 144:36349  
 TITLE: Preparation of  
 3-[4-[6-(4,5-dihydroisoxazol-3-yl)pyridin-3-yl]-3-phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-1,3-oxazolidin-2-ones as antibacterials.  
 INVENTOR(S): Carcanague, Daniel Robert; Gravestock, Michael Barry  
 PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited  
 SOURCE: PCT Int. Appl., 115 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005116021	A1	20051208	WO 2005-GB2040	20050524
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

AU 2005247663	A1	20051208	AU 2005-247663	20050524
CA 2567454	A1	20051208	CA 2005-2567454	20050524
EP 1753756	A1	20070221	EP 2005-746731	20050524

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU

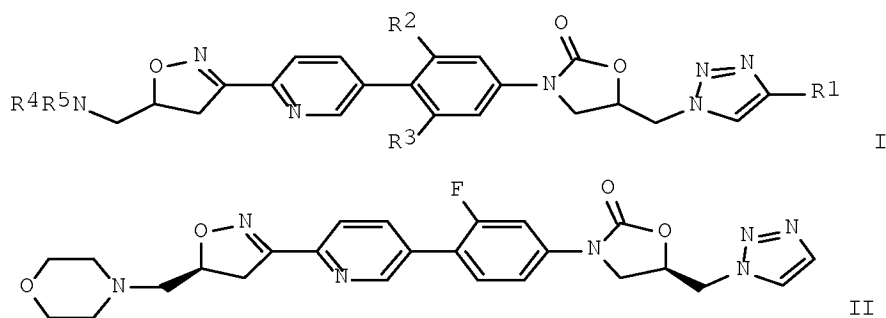
CN 1989136	A	20070627	CN 2005-80025055	20050524
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JP 2008500315	T	20080110	JP 2007-514084	20050524
US 20080064689	A1	20080313	US 2006-569148	20061115
MX 2006013539	A	20070126	MX 2006-13539	20061122
IN 2006DN07658	A	20070817	IN 2006-DN7658	20061218
NO 2006005863	A	20070220	NO 2006-5863	20061219
KR 2007027614	A	20070309	KR 2006-727174	20061222

PRIORITY APPLN. INFO.:

GB 2004-11594	A	20040525
GB 2005-55	A	20050105
WO 2005-GB2040	W	20050524

OTHER SOURCE(S): CASREACT 144:36349; MARPAT 144:36349

GI



AB Title compds. [I; R<sup>1</sup> = H, halo, Me, NCCH<sub>2</sub>, FCH<sub>2</sub>, F<sub>2</sub>CH, F<sub>3</sub>C MeS, alkynyl; R<sup>2</sup>, R<sup>3</sup> = H, F, Cl, CF<sub>3</sub>; R<sup>4</sup>, R<sup>5</sup> = H, Me, (substituted) allyl, Me, NCCH<sub>2</sub>, CH<sub>2</sub>CO<sub>2</sub>H, CO<sub>2</sub>H, COR<sub>6</sub>, etc.; R<sup>4</sup>R<sup>5</sup>N = (substituted) 5-6 membered (unsatd.) heterocyclyl, (substituted) imidazolyl; R<sub>6</sub> = H, Me, cyclopropyl, methylcyclopropyl, CH<sub>2</sub>CO<sub>2</sub>H, etc.], were prepared Thus, 4-[[[(5S)-3-(5-bromopyridin-2-yl)-4,5-dihydroisoxazol-5-yl]methyl]morpholine (preparation given), (5R)-3-[3-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-1,3-oxazolidin-2-one (preparation given), K<sub>2</sub>CO<sub>3</sub>, and (PPh<sub>3</sub>)<sub>4</sub>Pd were heated together in DMF/H<sub>2</sub>O at 80° for 30 min. to give title compound (II). II showed a min. inhibitory concentration of 0.13 µg/mL against Streptococcus pneumoniae.

II 870653-78-4P 870893-08-6P 870893-09-7P  
 870893-10-0P 870893-11-1P 870893-12-2P  
 870893-13-3P 870893-14-4P 870893-15-5P  
 870893-16-6P 870893-18-8P 870893-19-9P  
 870893-20-2P 870893-21-3P 870893-22-4P  
 870893-23-5P 870893-24-6P 870893-25-7P  
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 870893-29-1P 870893-30-4P 870893-31-5P  
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 870894-52-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU



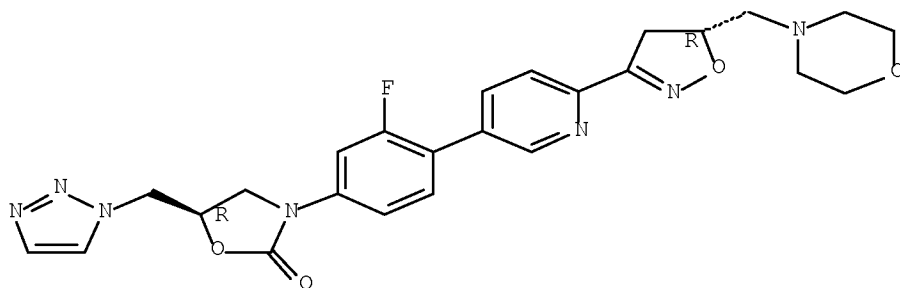
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(claimed compound; preparation of dihydroisoxazolyipyridinylphenyltriazolymethyloxazolidinones as antibacterials)

RN 870653-78-4 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[(5R)-4,5-dihydro-5-(4-morpholinylmethyl)-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

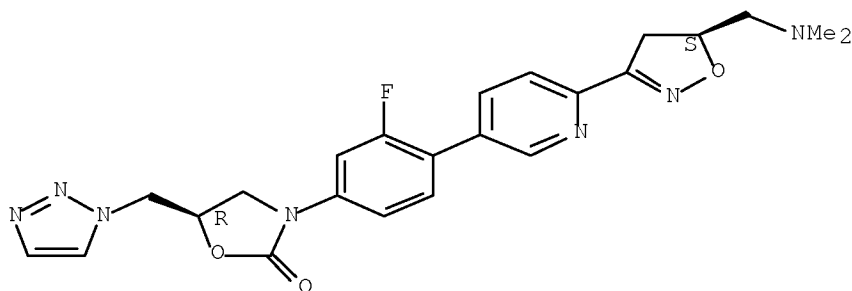
Absolute stereochemistry.



RN 870893-08-6 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[(5S)-5-[(dimethylamino)methyl]-4,5-dihydro-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

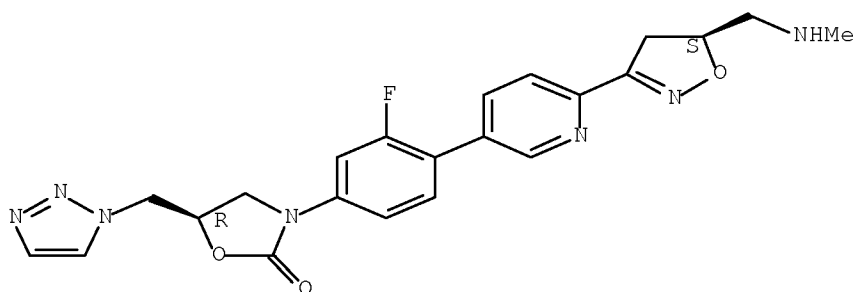
Absolute stereochemistry.



RN 870893-09-7 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[(5S)-4,5-dihydro-5-[(methylamino)methyl]-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

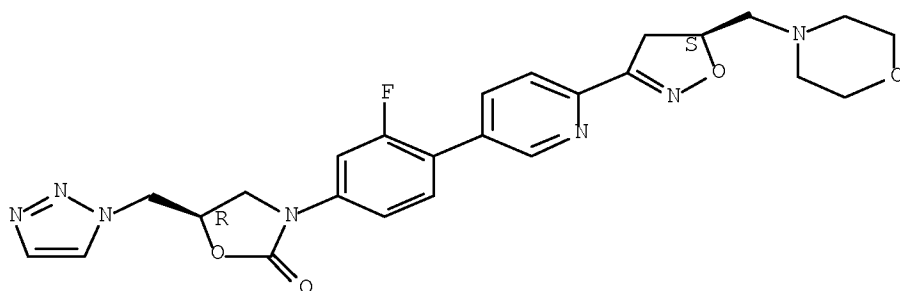
Absolute stereochemistry.



RN 870893-10-0 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[(5S)-4,5-dihydro-5-(4-morpholinylmethyl)-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

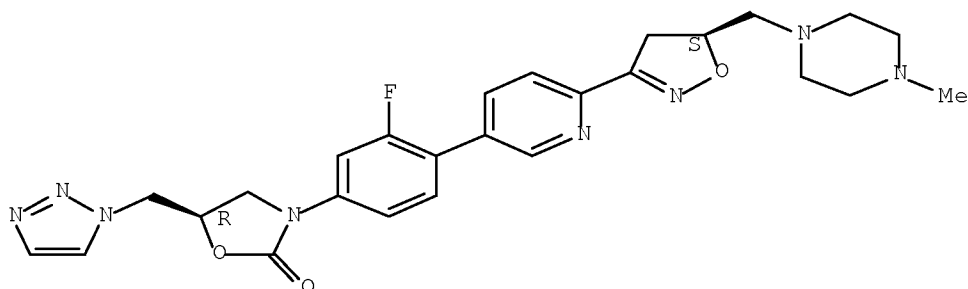
Absolute stereochemistry.



RN 870893-11-1 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[(5S)-4,5-dihydro-5-[(4-methyl-1-piperazinyl)methyl]-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

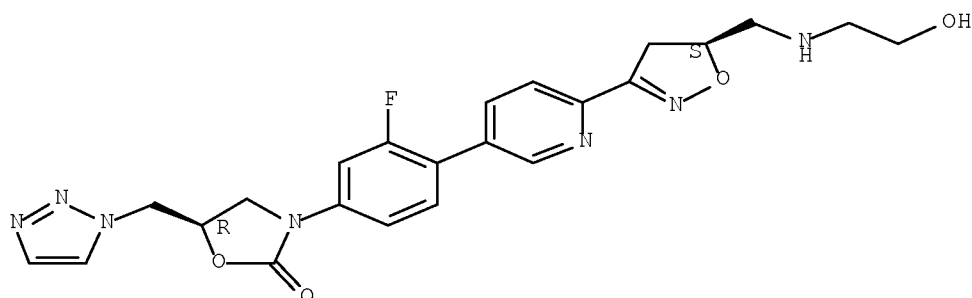
Absolute stereochemistry.



RN 870893-12-2 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[(5S)-4,5-dihydro-5-[[2-(hydroxyethyl)amino]methyl]-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

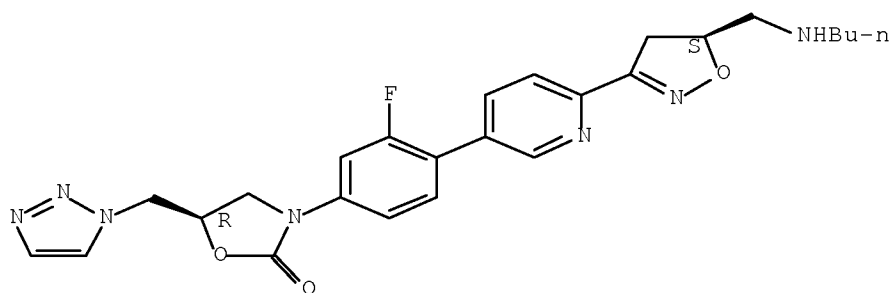
Absolute stereochemistry.



RN 870893-13-3 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[(5S)-5-[(butylamino)methyl]-4,5-dihydro-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

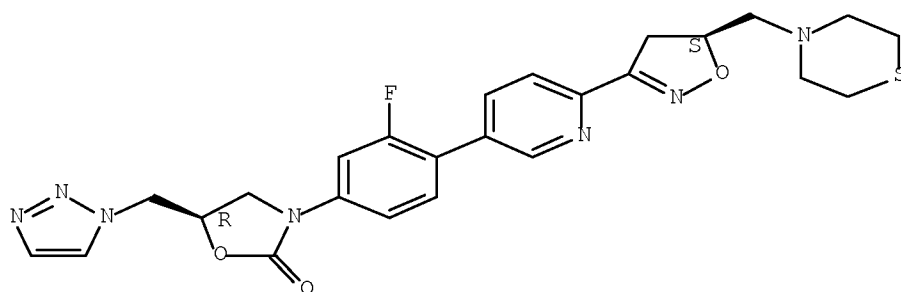
Absolute stereochemistry.



RN 870893-14-4 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[(5S)-4,5-dihydro-5-(4-thiomorpholinylmethyl)-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

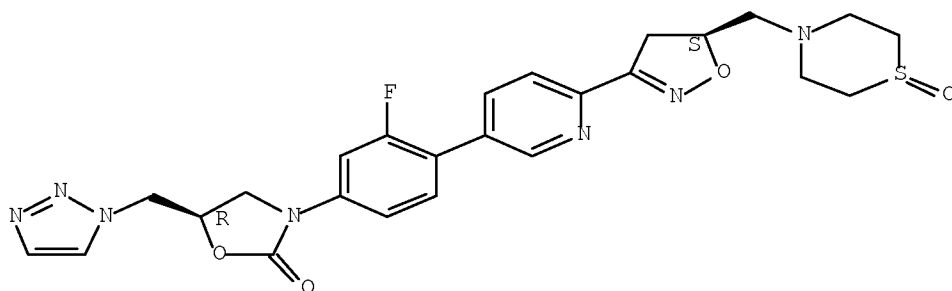


RN 870893-15-5 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[(5S)-4,5-dihydro-5-[(1-oxido-4-thiomorpholinyl)methyl]-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-

1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

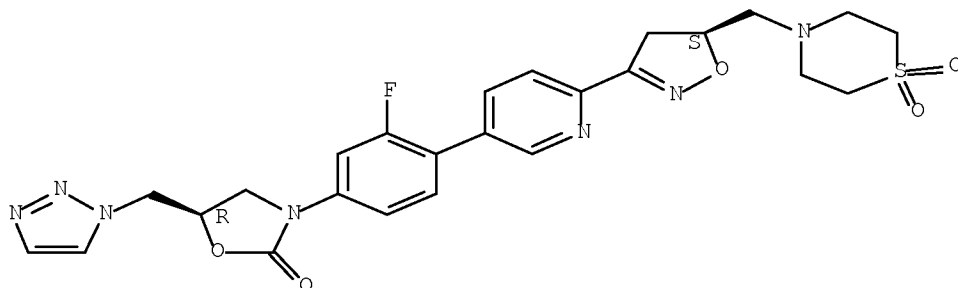
Absolute stereochemistry.



RN 870893-16-6 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[(5S)-5-[(1,1-dioxido-4-thiomorpholinyl)methyl]-4,5-dihydro-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

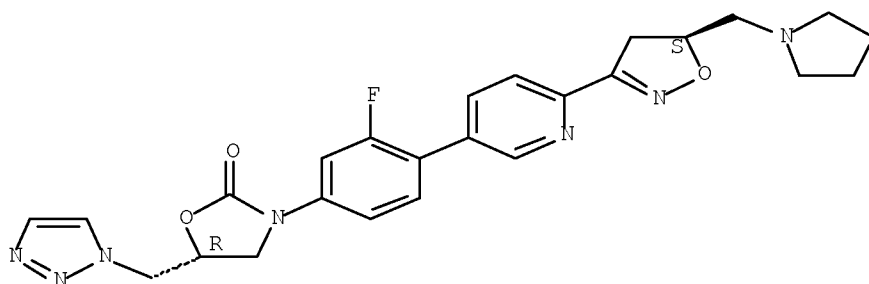
Absolute stereochemistry.



RN 870893-18-8 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[(5S)-4,5-dihydro-5-(1-pyrrolidinylmethyl)-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

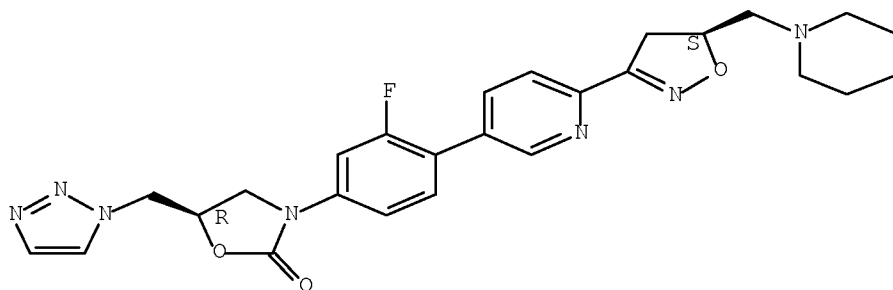
Absolute stereochemistry.



RN 870893-19-9 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[(5S)-4,5-dihydro-5-(1-piperidinylmethyl)-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

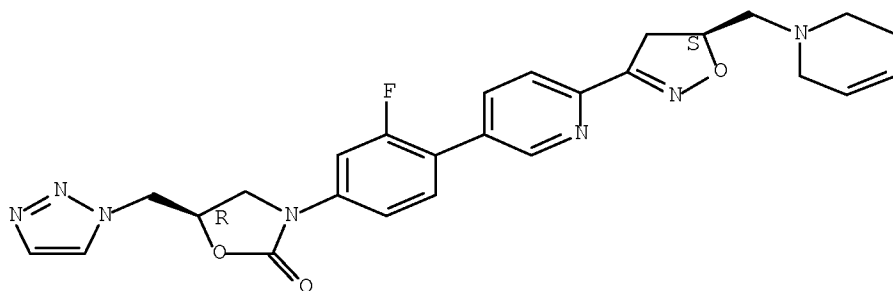
Absolute stereochemistry.



RN 870893-20-2 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[(5S)-5-[(3,6-dihydro-1(2H)-pyridinyl)methyl]-4,5-dihydro-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

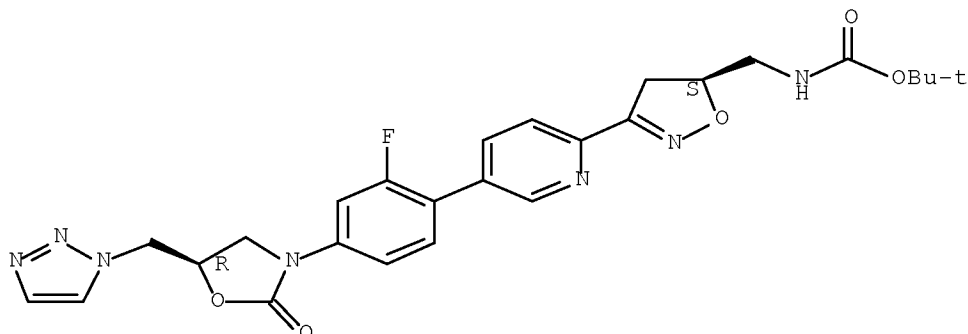
Absolute stereochemistry.



RN 870893-21-3 CAPLUS

CN Carbamic acid, [[(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl)methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

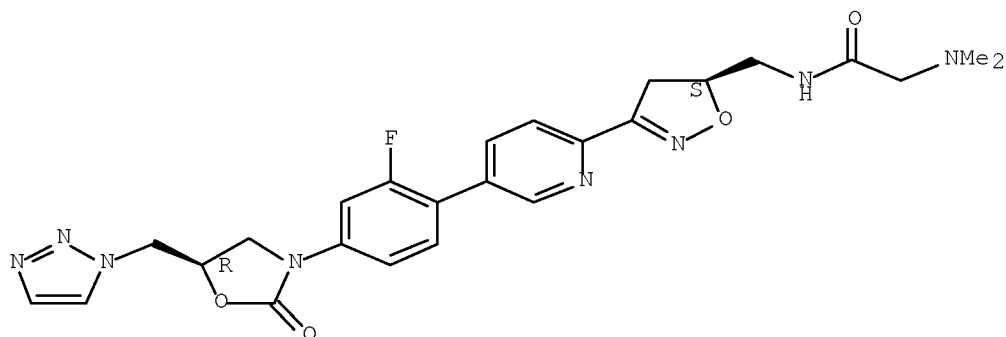
Absolute stereochemistry.



RN 870893-22-4 CAPLUS

CN Acetamide, 2-(dimethylamino)-N-[[ (5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl]- (CA INDEX NAME)

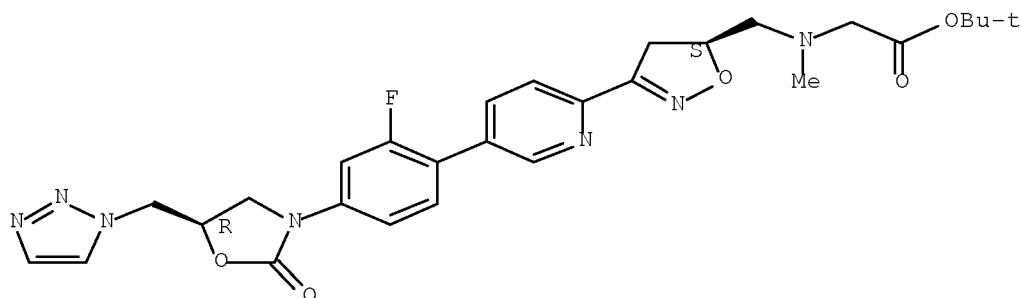
Absolute stereochemistry.



RN 870893-23-5 CAPLUS

CN Glycine, N-[[ (5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl]-N-methyl-, 1,1-dimethylethyl ester (CA INDEX NAME)

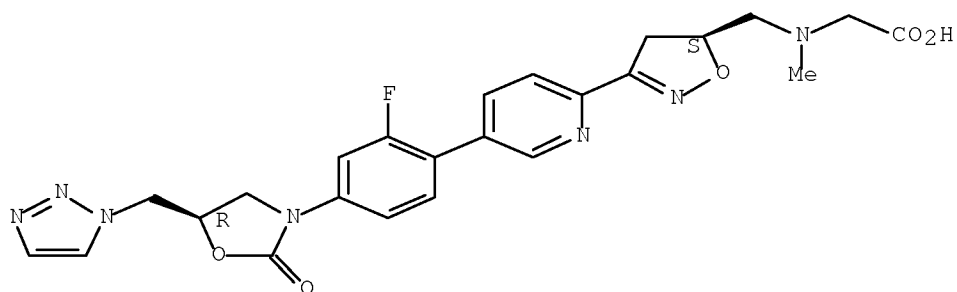
Absolute stereochemistry.



RN 870893-24-6 CAPLUS

CN Glycine, N-[[ (5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl]-N-methyl- (CA INDEX NAME)

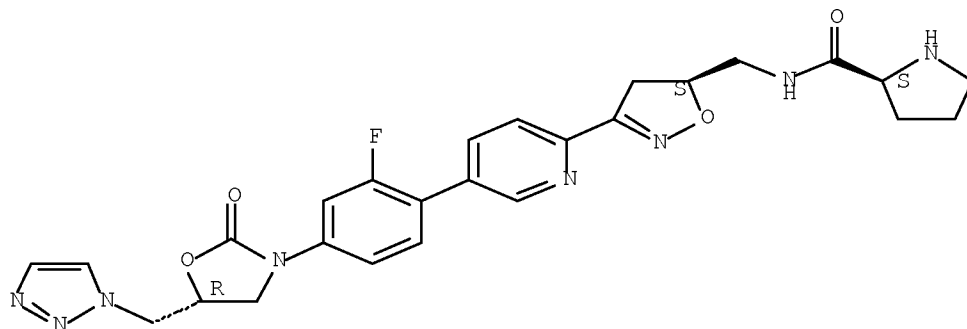
Absolute stereochemistry.



RN 870893-25-7 CAPLUS

CN 2-Pyrrolidinecarboxamide, N-[[[(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl]-, (2S)- (CA INDEX NAME)

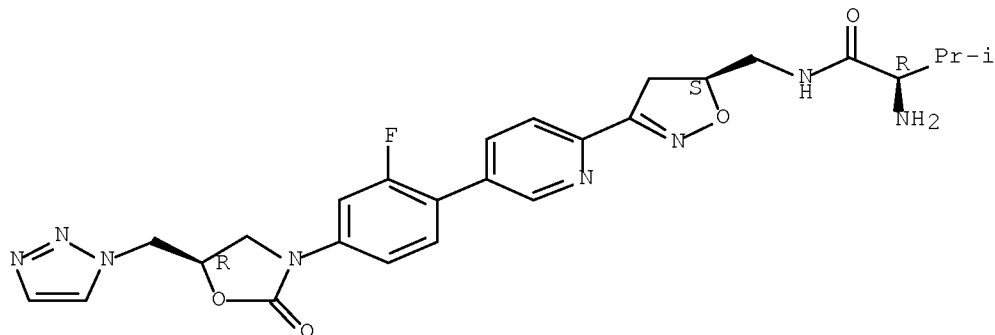
Absolute stereochemistry.



RN 870893-26-8 CAPLUS

CN Butanamide, 2-amino-N-[[[(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl]-3-methyl-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.



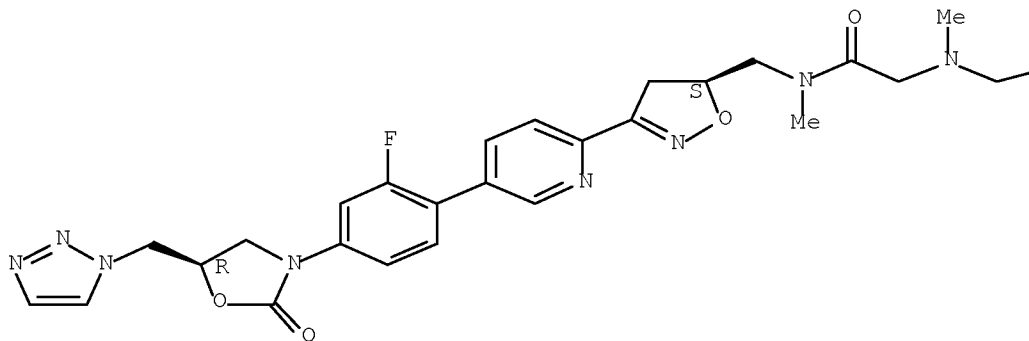
RN 870893-27-9 CAPLUS

CN Propanamide, 2-amino-N-[[[(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-





PAGE 1-A



PAGE 1-B

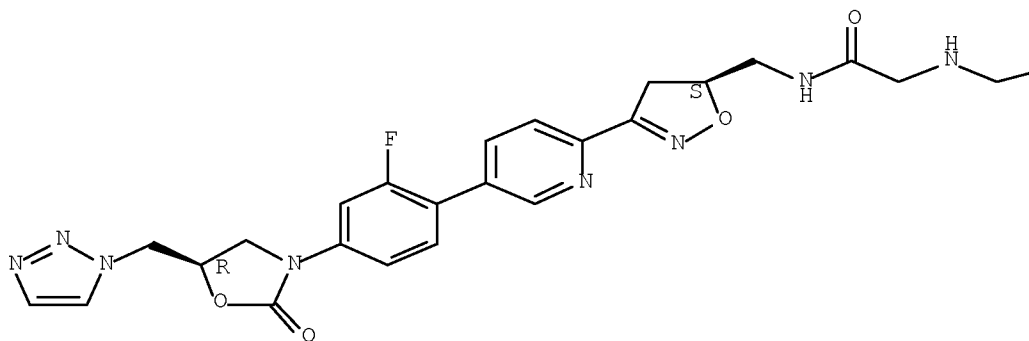
CO<sub>2</sub>H

RN 870893-30-4 CAPLUS

CN Glycine, N-[2-[[[(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl]amino]-2-oxoethyl]- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



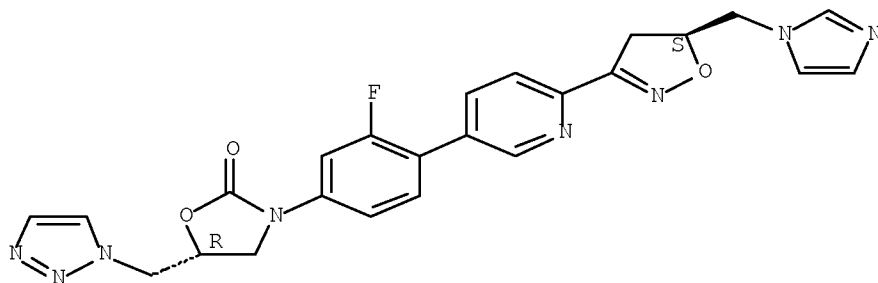
PAGE 1-B

CO<sub>2</sub>H

RN 870893-31-5 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[(5S)-4,5-dihydro-5-(1H-imidazol-1-ylmethyl)-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

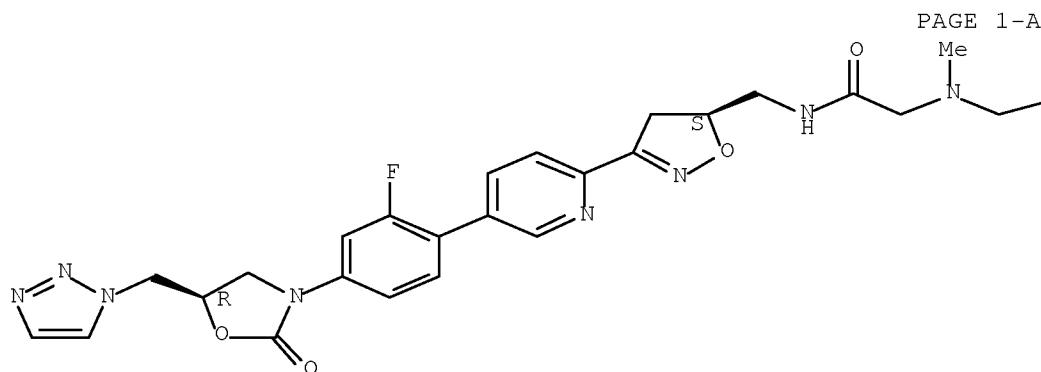
Absolute stereochemistry.



RN 870893-32-6 CAPLUS

CN Glycine, N-[2-[[[(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl)methyl]amino]-2-oxoethyl]-N-methyl- (CA INDEX NAME)

Absolute stereochemistry.



PAGE 1-B

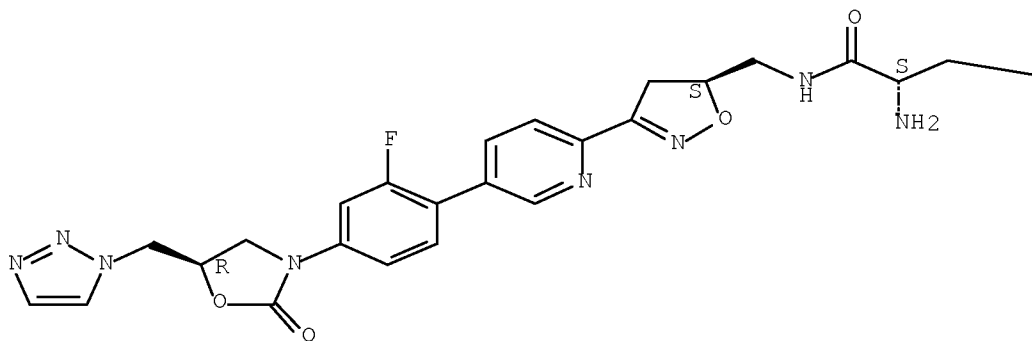
CO<sub>2</sub>H

RN 870893-33-7 CAPLUS

CN Butanoic acid, 3-amino-4-[[[(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl)methyl]amino]-4-oxo-, (3S)- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

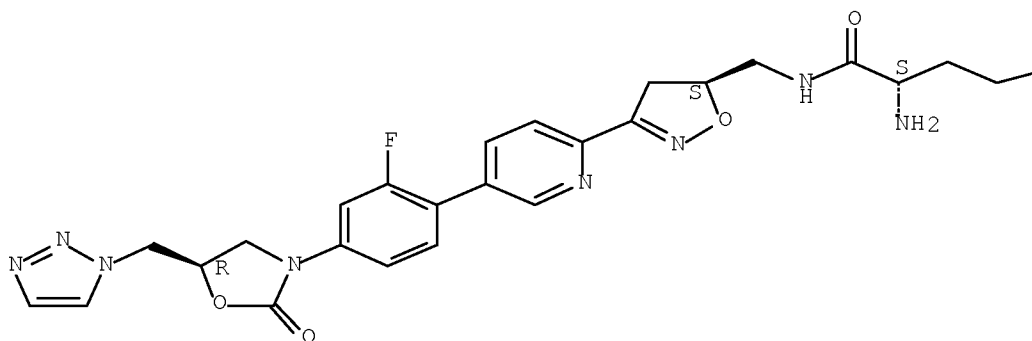
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RN 870893-34-8 CAPLUS

CN Pentanoic acid, 4-amino-5-[[[ (5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl]amino]-5-oxo-, (4S)- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



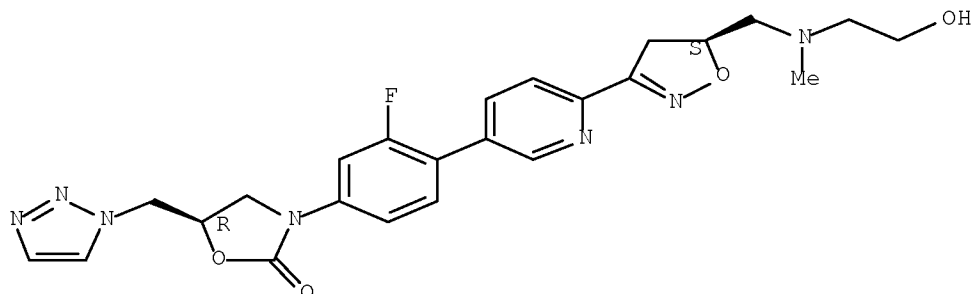
PAGE 1-B

—CO<sub>2</sub>H

RN 870894-52-3 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[(5S)-4,5-dihydro-5-[[ (2-hydroxyethyl)methylamino]methyl]-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



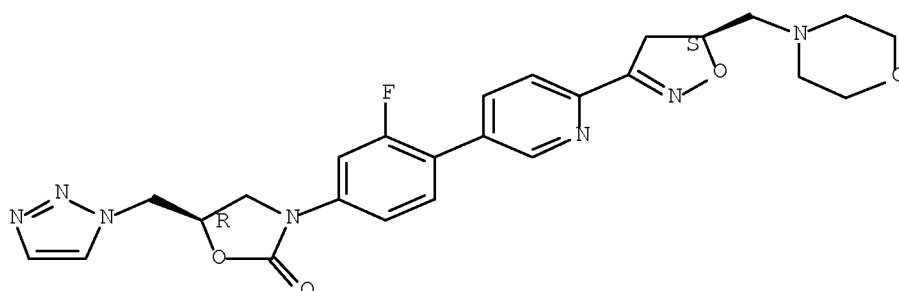
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 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
 (Uses)

(preparation of  
 dihydroisoxazolylpyridinylphenyltriazolylmethyloxazolidinone  
 s as antibacterials)

RN 870893-71-3 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[(5S)-4,5-dihydro-5-(4-morpholinylmethyl)-3-  
 isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-,  
 hydrochloride (1:1), (5R)- (CA INDEX NAME)

Absolute stereochemistry.

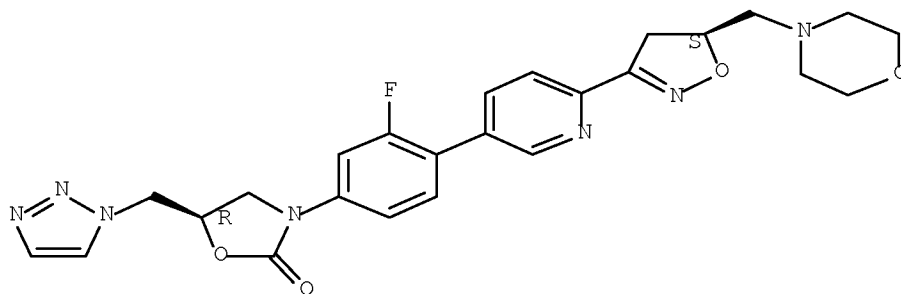


● HCl

RN 870893-72-4 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[(5S)-4,5-dihydro-5-(4-morpholinylmethyl)-3-  
 isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-,  
 hydrobromide (1:1), (5R)- (CA INDEX NAME)

Absolute stereochemistry.



● HBr

RN 870893-73-5 CAPLUS

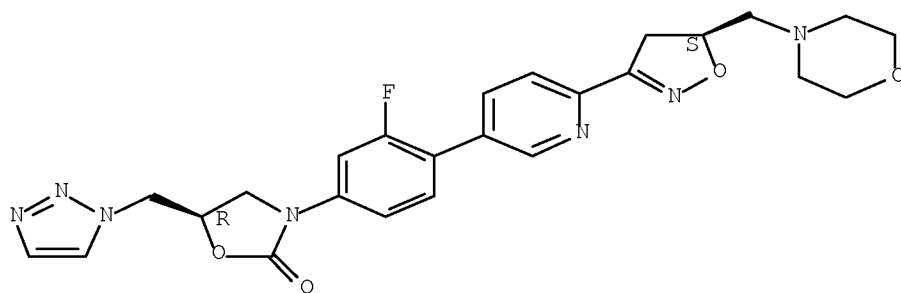
CN 2-Oxazolidinone, 3-[4-[6-[(5S)-4,5-dihydro-5-(4-morpholinylmethyl)-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)-, methanesulfonate (1:1) (CA INDEX NAME)

CM 1

CRN 870893-10-0

CMF C25 H26 F N7 O4

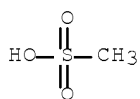
Absolute stereochemistry.



CM 2

CRN 75-75-2

CMF C H4 O3 S

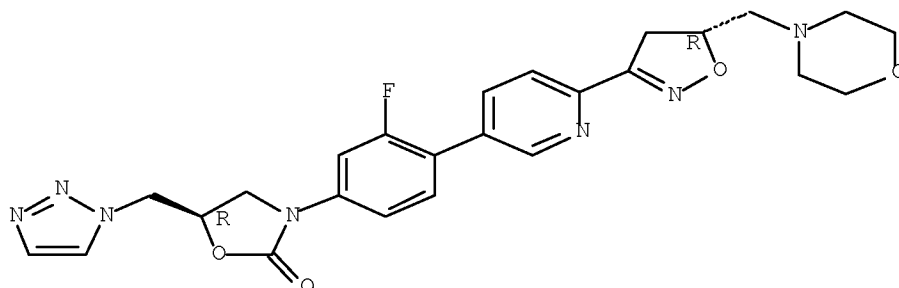


RN 870893-74-6 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[(5R)-4,5-dihydro-5-(4-morpholinylmethyl)-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-,

hydrochloride (1:1), (5R)- (CA INDEX NAME)

Absolute stereochemistry.

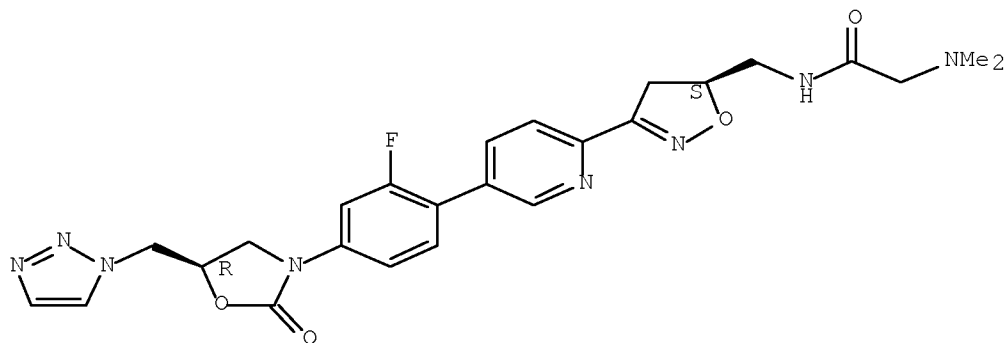


● HCl

RN 870893-75-7 CAPLUS

CN Acetamide, 2-(dimethylamino)-N-[[ (5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.

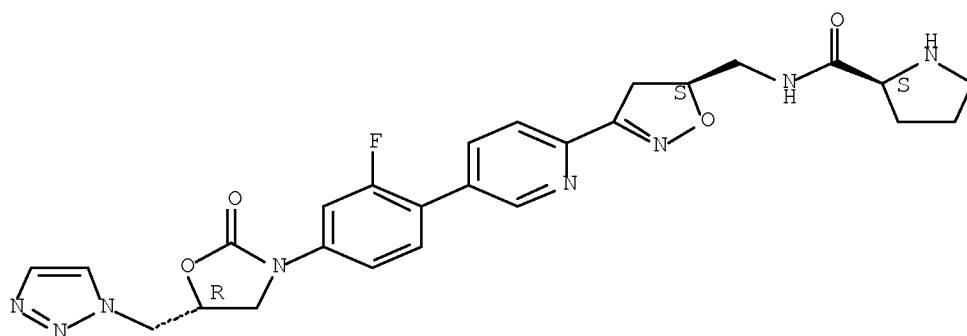


● HCl

RN 870893-76-8 CAPLUS

CN 2-Pyrrolidinecarboxamide, N-[[ (5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl]-, hydrochloride (1:1), (2S)- (CA INDEX NAME)

Absolute stereochemistry.

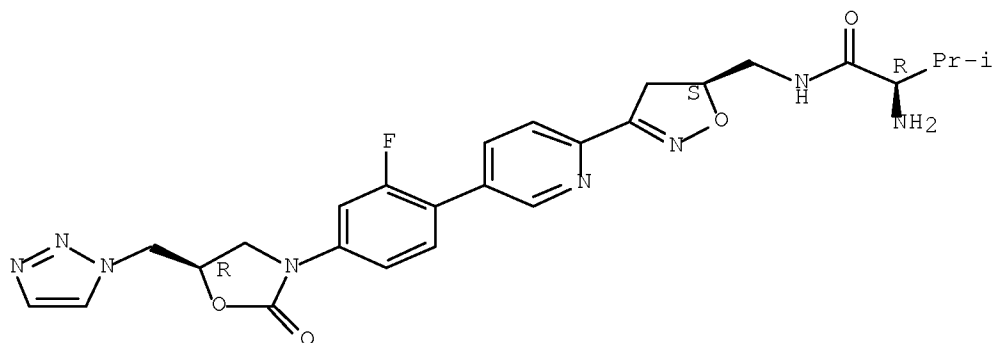


● HCl

RN 870893-77-9 CAPLUS

CN Butanamide, 2-amino-N-[[[(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl]-3-methyl-, hydrochloride (1:1), (2R)- (CA INDEX NAME)

Absolute stereochemistry.

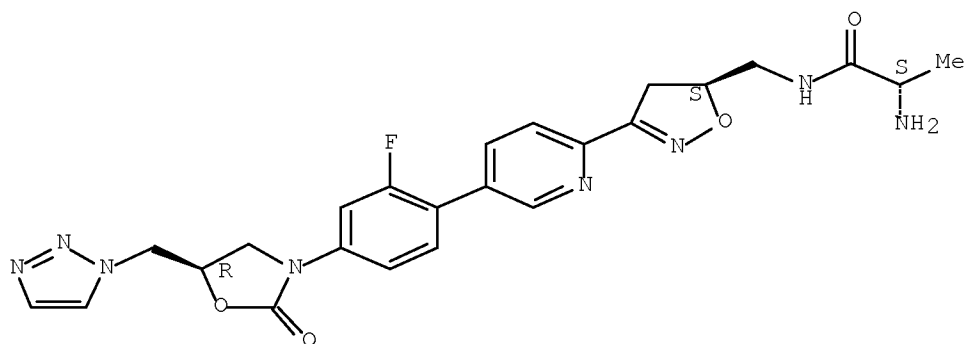


● HCl

RN 870893-78-0 CAPLUS

CN Propanamide, 2-amino-N-[[[(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl]-, hydrochloride (1:1), (2S)- (CA INDEX NAME)

Absolute stereochemistry.

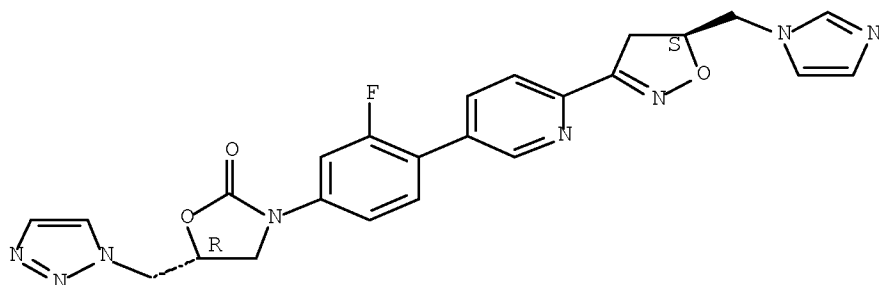


● HCl

RN 870893-79-1 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[(5S)-4,5-dihydro-5-(1H-imidazol-1-ylmethyl)-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, hydrochloride (1:1), (5R)- (CA INDEX NAME)

Absolute stereochemistry.



● HCl

IT 870893-58-6P 870893-61-1P 870893-63-3P

870893-65-5P 870893-66-6P 870893-68-8P

870893-69-9P 870893-70-2P

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(preparation of

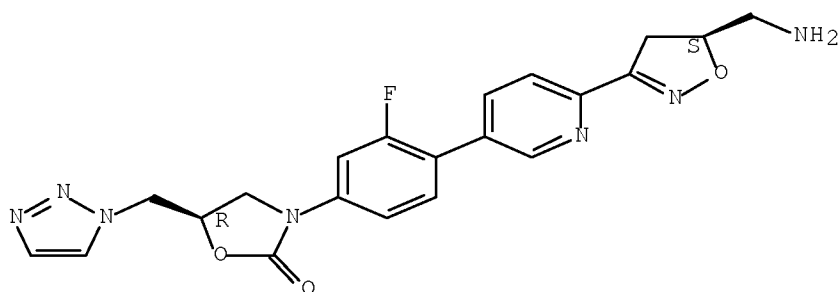
dihydroisoxazolylpyridinylphenyltriazolylmethyloxazolidinone  
s as antibacterials)

RN 870893-58-6 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[(5S)-5-(aminomethyl)-4,5-dihydro-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

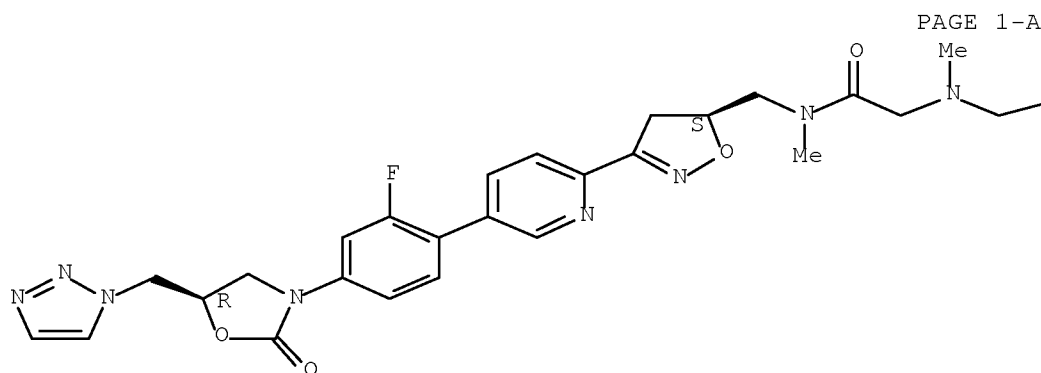




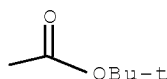
RN 870893-61-1 CAPLUS

CN Glycine, N-[2-[[[(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl]methylamino]-2-oxoethyl]-N-methyl-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.



PAGE 1-A



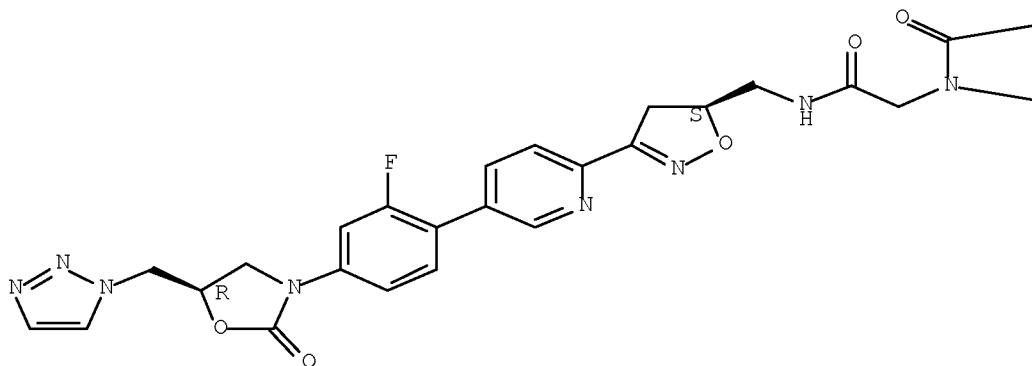
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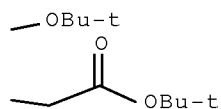
CN Glycine, N-[(1,1-dimethylethoxy)carbonyl]-N-[2-[[[(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl]amino]-2-oxoethyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

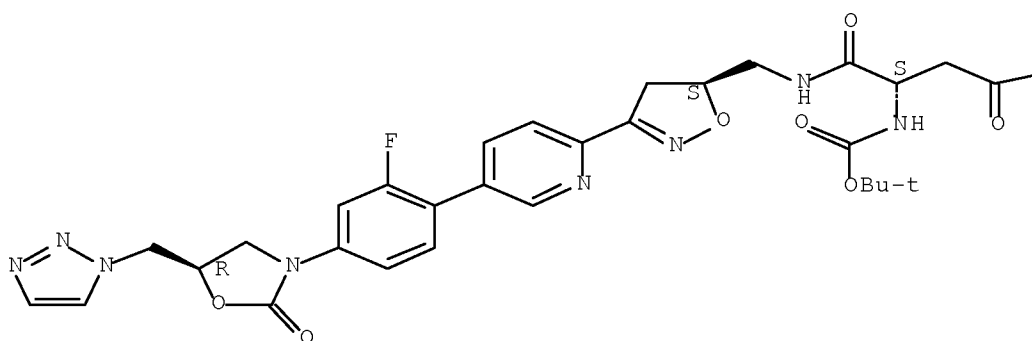


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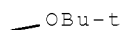
CN Butanoic acid, 3-[[[(1,1-dimethylethoxy)carbonyl]amino]-4-[[[(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl]amino]-4-oxo-, 1,1-dimethylethyl ester, (3S)- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

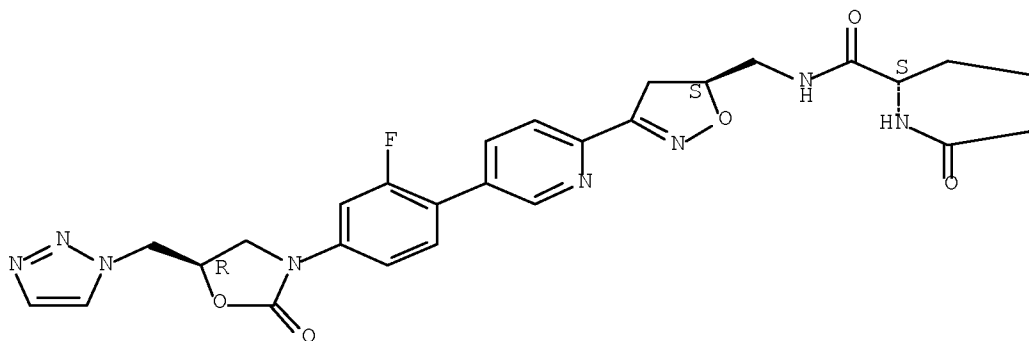


RN 870893-66-6 CAPLUS

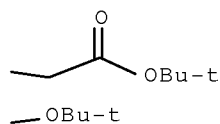
CN Pentanoic acid, 4-[[[(1,1-dimethylethoxy)carbonyl]amino]-5-[[[(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl]amino]-5-oxo-, 1,1-dimethylethyl ester, (4S)- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

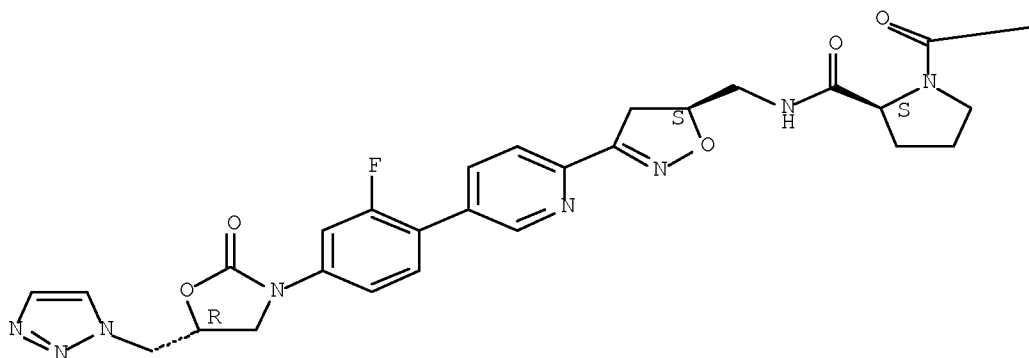


RN 870893-68-8 CAPLUS

CN 1-Pyrrolidinecarboxylic acid, 2-[[[(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl]amino]carbonyl]-, 1,1-dimethylethyl ester, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

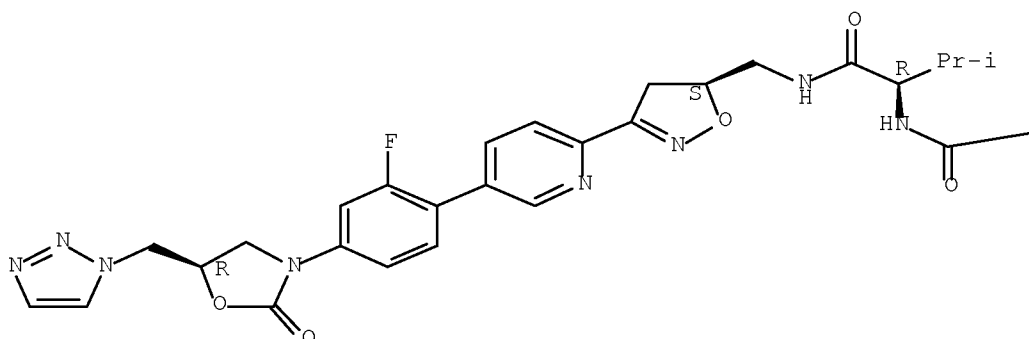
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RN 870893-69-9 CAPLUS

CN Carbamic acid, [(1R)-1-[[[(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl]amino]carbonyl]-2-methylpropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

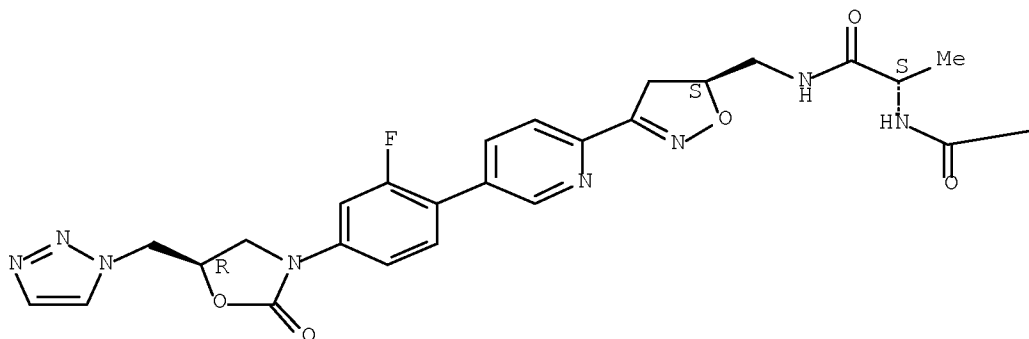
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RN 870893-70-2 CAPLUS

CN Carbamic acid, [(1S)-2-[[[(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl]amino]-1-methyl-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

— OBU-t

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 2004:756715 CAPLUS Full-text  
 DOCUMENT NUMBER: 141:260739  
 TITLE: Preparation of hydroxymethyl dihydroisoxazole derivatives useful as antibiotic agents  
 INVENTOR(S): Gravestock, Michael Barry; Hales, Neil James; Carcanague, Daniel Robert  
 PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited  
 SOURCE: PCT Int. Appl., 107 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004078753	A1	20040916	WO 2004-GB730	20040224
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RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2004218206	A1	20040916	AU 2004-218206	20040224
CA 2517706	A1	20040916	CA 2004-2517706	20040224
EP 1599471	A1	20051130	EP 2004-713945	20040224
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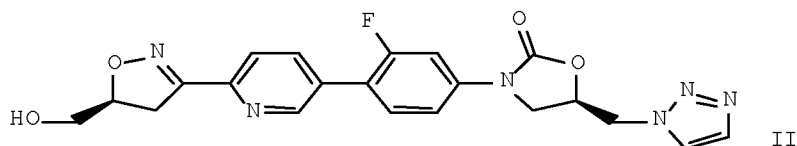
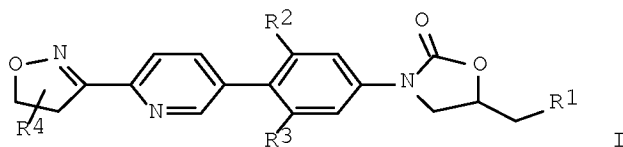
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AT 396991	T	20080615	AT 2004-713945	20040224
ES 2305732	T3	20081101	ES 2004-713945	20040224
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US 20060270637	A1	20061130	US 2005-546373	20050819
US 7192974	B2	20070320		
ZA 2005006789	A	20060531	ZA 2005-6789	20050824
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HK 1083097	A1	20090102	HK 2006-103068	20060309

PRIORITY APPLN. INFO.:

GB 2003-4723	A	20030301
GB 2003-18607	A	20030808
WO 2004-GB730	W	20040224

OTHER SOURCE(S): MARPAT 141:260739

GI



AB The title compds. I [R1 = -NH(C=W)R5 or (substituted)1,2,3-Triazolyl; W = O or S; R2, R3 = H, F, Cl, CF3, OMe, SMe, and Et; R5 = H, alkyl, Me, 5-halo-2-thienyl, etc.; R4 = hydroxymethyl] were prepared as antibacterial agents. For example, compound II was prepared from (5R)-3-(3-fluorophenyl)-5-hydroxymethyloxazolidin-2-one in a multi-step synthesis. Antibacterial properties of II against several types of bacteria were determined [MIC( $\mu$ g/mL): methicillin sensitive and quinolone sensitive staphylococcus aureus (0.25), methicillin resistant and quinolone resistant staphylococcus aureus (0.5), streptococcus pneumoniae (0.02), haemophilus influenza (4), and Moraxella catarrhalis (0.5)].

IT 702681-58-1P

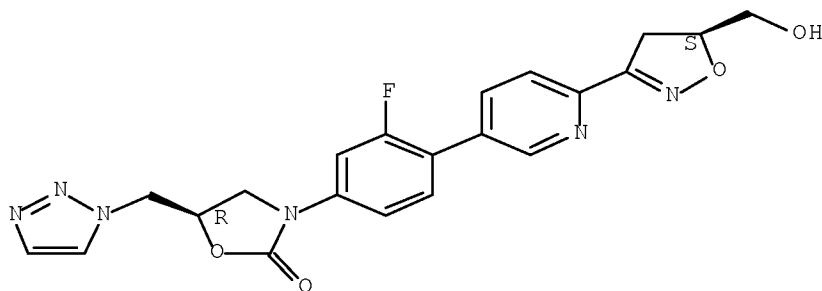
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of hydroxymethyl dihydroisoxazole derivs. useful as antibiotic agents)

RN 702681-58-1 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[(5S)-4,5-dihydro-5-(hydroxymethyl)-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



IT 756873-54-8P 756873-57-1P

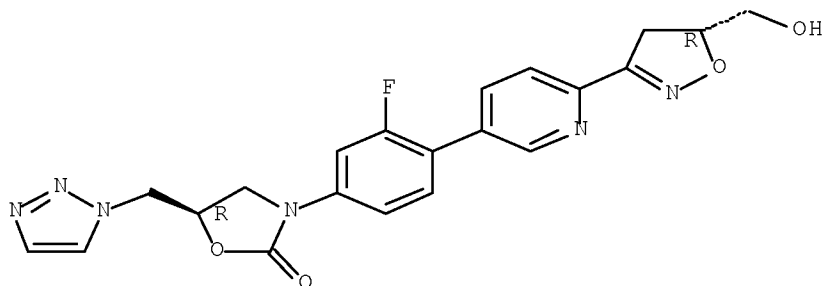
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of hydroxymethyl dihydroisoxazole derivs. useful as antibiotic agents)

RN 756873-54-8 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[(5R)-4,5-dihydro-5-(hydroxymethyl)-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

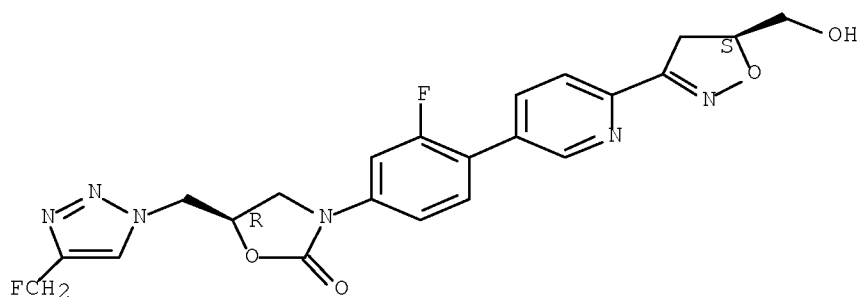
Absolute stereochemistry.



RN 756873-57-1 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[(5S)-4,5-dihydro-5-(hydroxymethyl)-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-[4-(fluoromethyl)-1H-1,2,3-triazol-1-yl]methyl]-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



IT 756874-06-3P 756874-09-6P

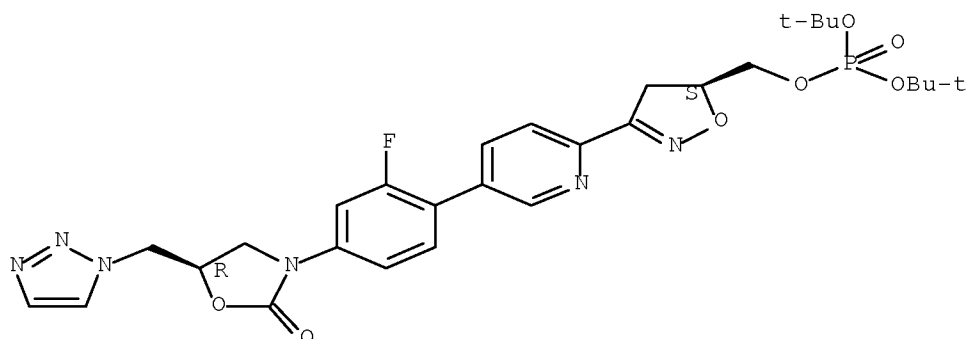
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of hydroxymethyl dihydroisoxazole derivs. useful as antibiotic agents)

RN 756874-06-3 CAPLUS

CN Phosphoric acid, bis(1,1-dimethylethyl)  
[(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester  
(CA INDEX NAME)

Absolute stereochemistry.

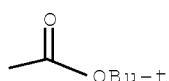
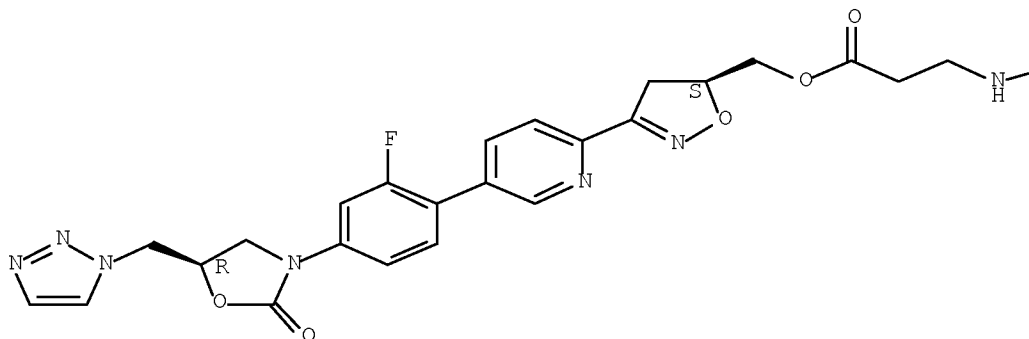


RN 756874-09-6 CAPLUS

CN  $\beta$ -Alanine, N-[(1,1-dimethylethoxy)carbonyl]-,  
[(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester  
(CA INDEX NAME)

Absolute stereochemistry.



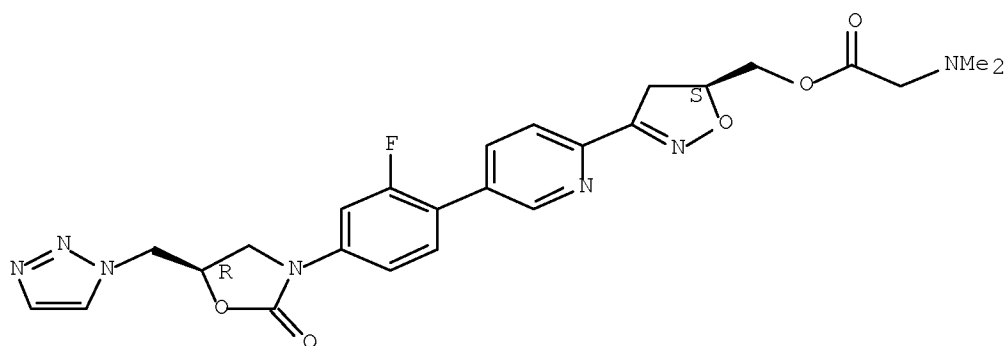


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IT      756873-76-4P 756873-84-4P 756873-87-7P
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      (Preparation); RACT (Reactant or reagent); USES (Uses)
      (pro-drug; preparation of hydroxymethyl dihydroisoxazole derivs. useful as
      antibiotic agents)
RN      756873-76-4  CAPLUS
CN      Glycine, N,N-dimethyl-, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-
      triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-
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Absolute stereochemistry.

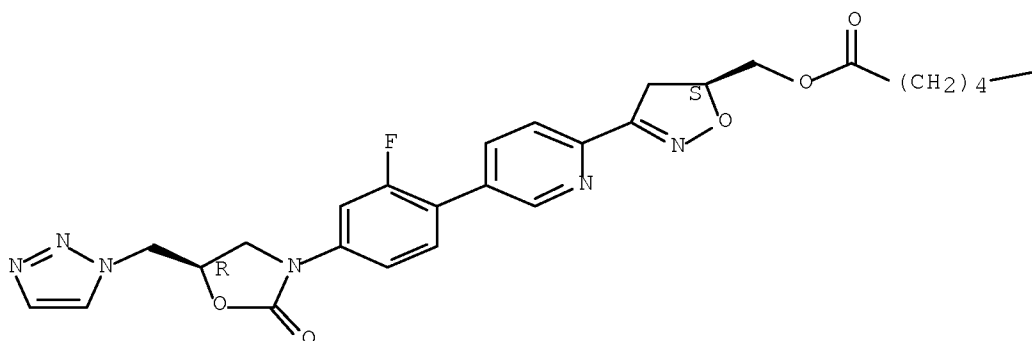


● HCl

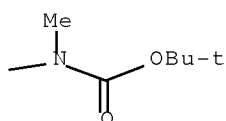
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Absolute stereochemistry.

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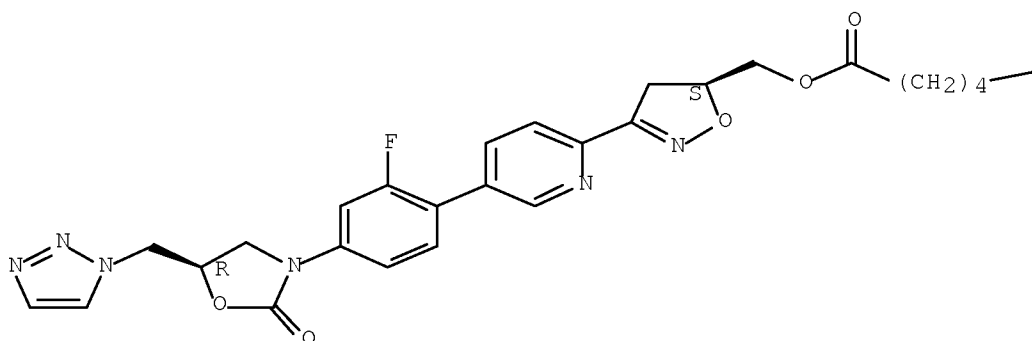


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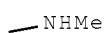
CN Pentanoic acid, 5-(methylamino)-, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester (CA INDEX NAME)

Absolute stereochemistry.

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PAGE 1-B



IT	702681-56-9P	702681-66-1P	702682-78-8P
	756873-58-2P	756873-59-3P	756873-60-6P
	756873-61-7P	756873-62-8P	756873-63-9P
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	756873-80-0P	756873-81-1P	756873-82-2P
	756873-83-3P	756873-85-5P	756873-86-6P
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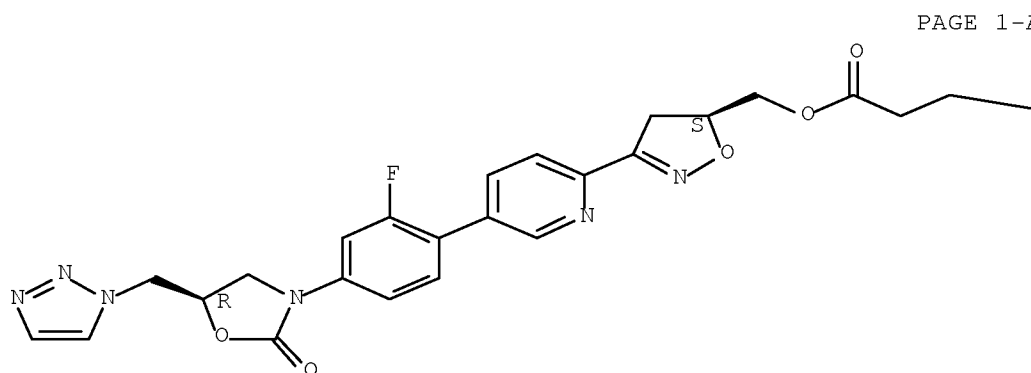
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(pro-drug; preparation of hydroxymethyl dihydroisoxazole derivs. useful as antibiotic agents)

RN 702681-56-9 CAPLUS

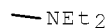
CN    β-Alanine, N,N-diethyl-, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester    (CA INDEX NAME)

Absolute stereochemistry.



PAGE 1-A

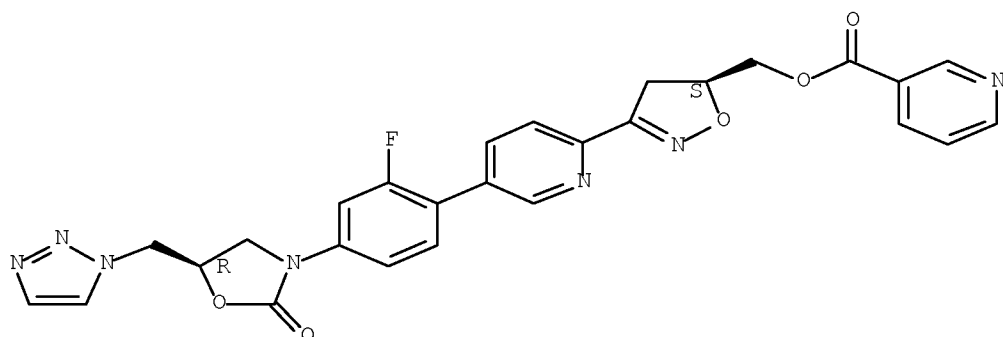
PAGE 1-B



RN 702681-66-1 CAPLUS

CN 3-Pyridinecarboxylic acid, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester (CA INDEX NAME)

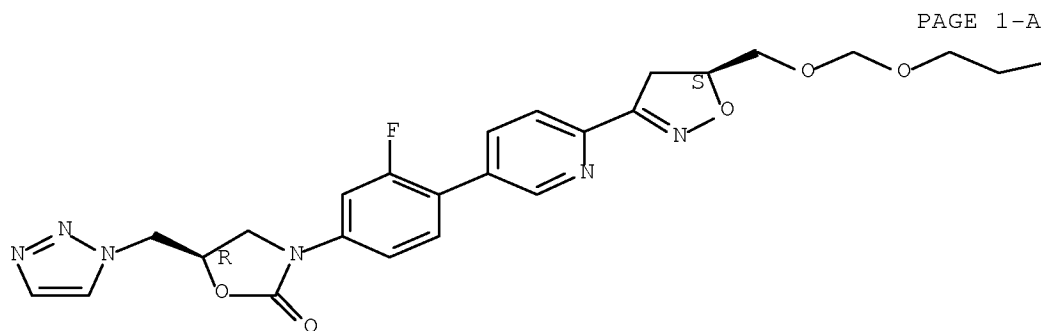
Absolute stereochemistry.



RN 702682-78-8 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[(5S)-4,5-dihydro-5-[(2-methoxyethoxy)methoxy]methyl]-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



PAGE 1-A

—OMe

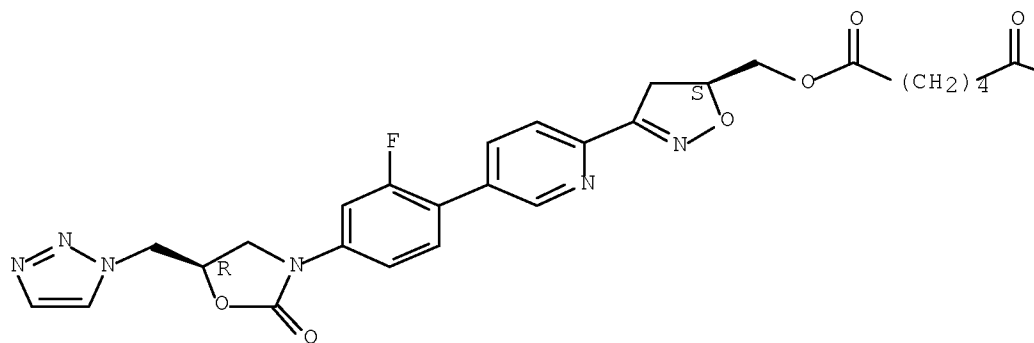
PAGE 1-B

RN 756873-58-2 CAPLUS

CN Hexanedioic acid, 1-ethyl 6-[[[(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl] ester (CA INDEX NAME)

Absolute stereochemistry.

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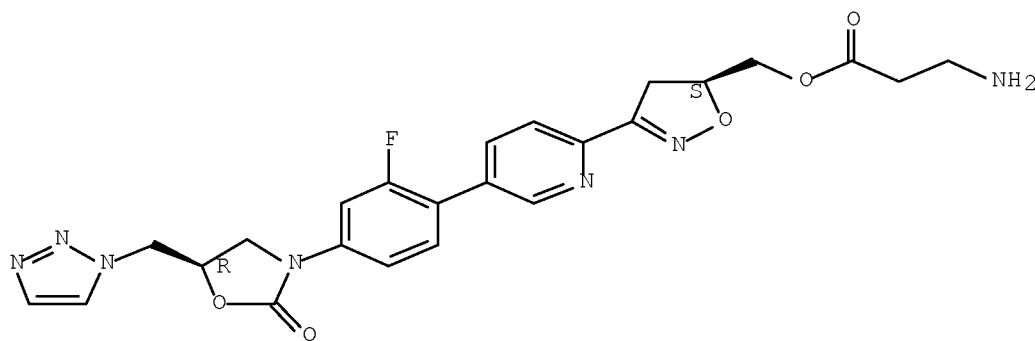
PAGE 1-B



RN 756873-59-3 CAPLUS

CN  $\beta$ -Alanine, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester (CA INDEX NAME)

Absolute stereochemistry.

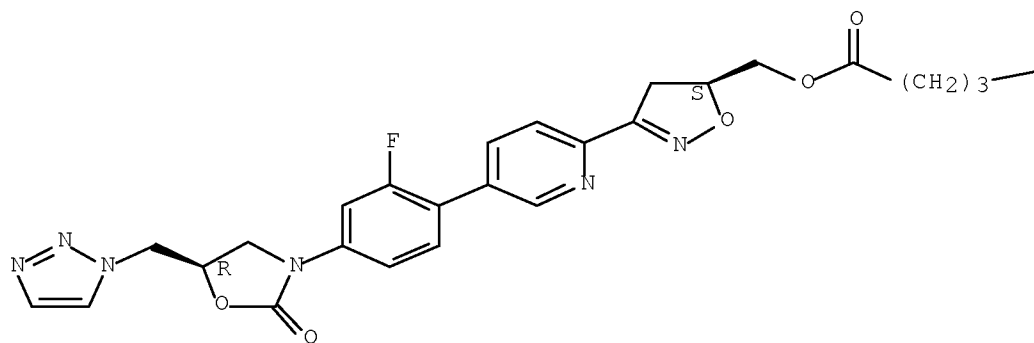


RN 756873-60-6 CAPLUS

CN Butanoic acid, 4-(dimethylamino)-, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



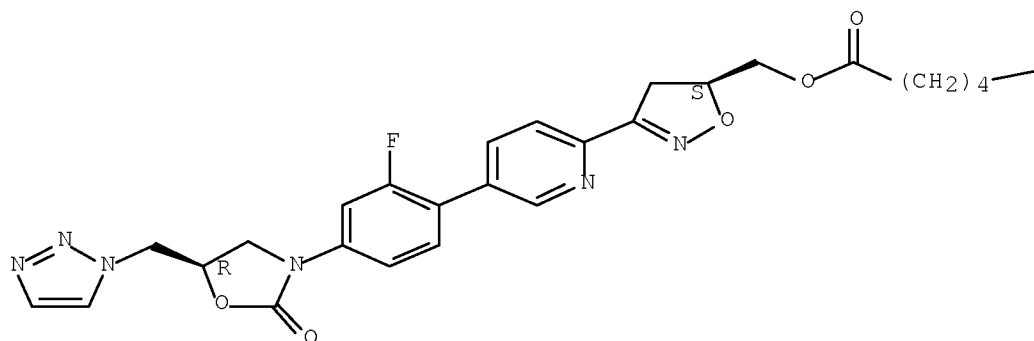
PAGE 1-B

RN 756873-61-7 CAPLUS

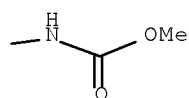
CN Pentanoic acid, 5-[(methoxycarbonyl)amino]-,  
 [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester  
 (CA INDEX NAME)

Absolute stereochemistry.

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PAGE 1-B

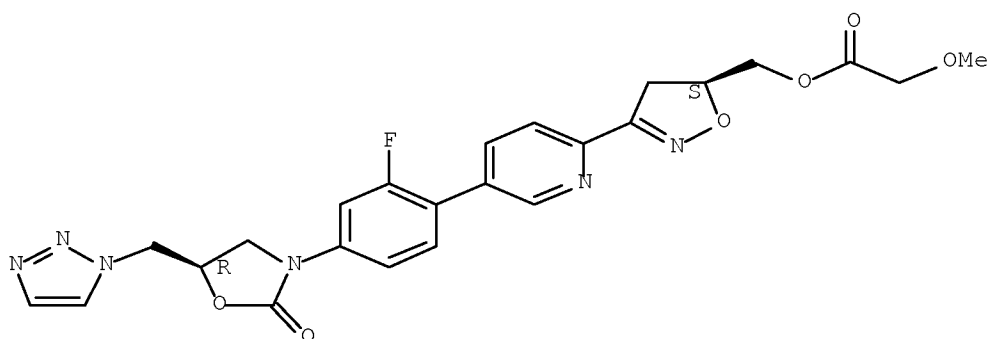


RN 756873-62-8 CAPLUS

CN Acetic acid, 2-methoxy-, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-

triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester (CA INDEX NAME)

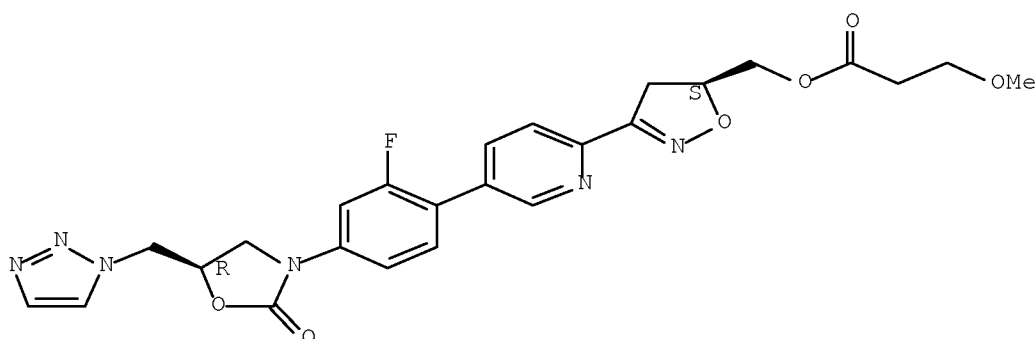
Absolute stereochemistry.



RN 756873-63-9 CAPLUS

CN Propanoic acid, 3-methoxy-, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester (CA INDEX NAME)

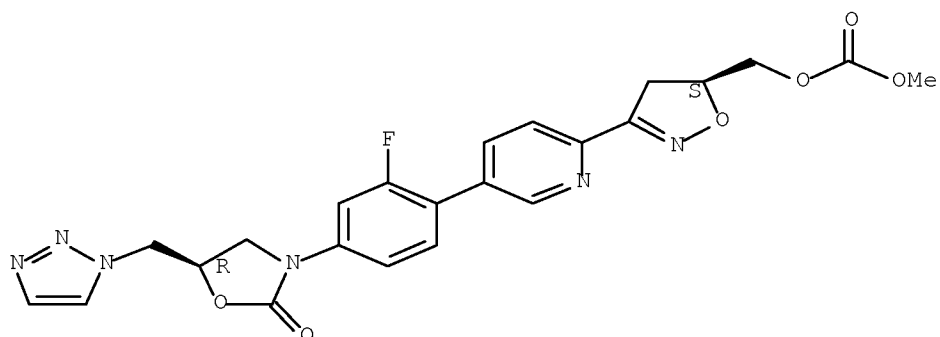
Absolute stereochemistry.



RN 756873-64-0 CAPLUS

CN Carbonic acid, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl methyl ester (CA INDEX NAME)

Absolute stereochemistry.

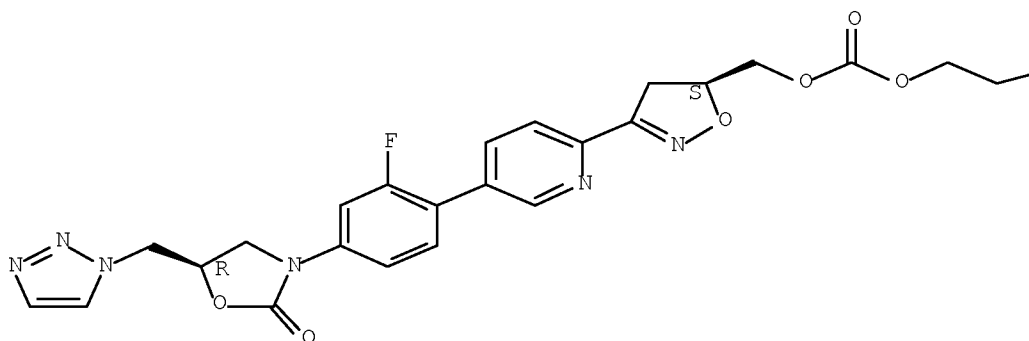


RN 756873-65-1 CAPLUS

CN Carbonic acid, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl 2-methoxyethyl ester (CA INDEX NAME)

Absolute stereochemistry.

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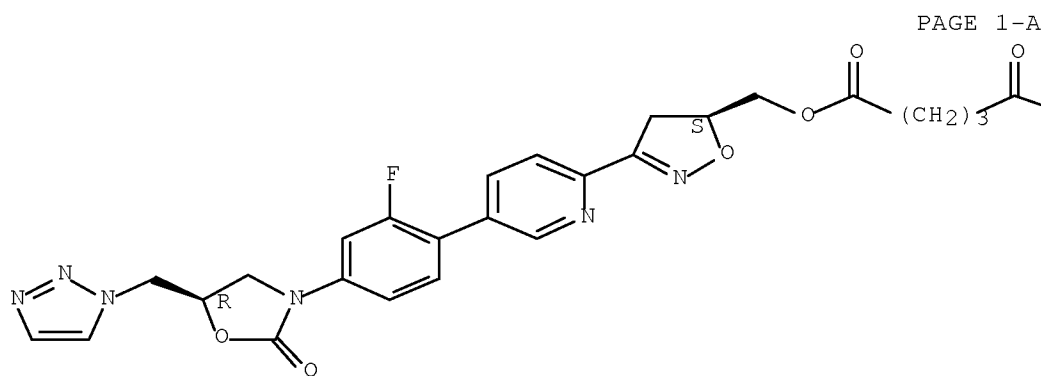
—OMe

RN 756873-66-2 CAPLUS

CN Pentanedioic acid, 1-[[[(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl] 5-methyl ester (CA INDEX NAME)

Absolute stereochemistry.





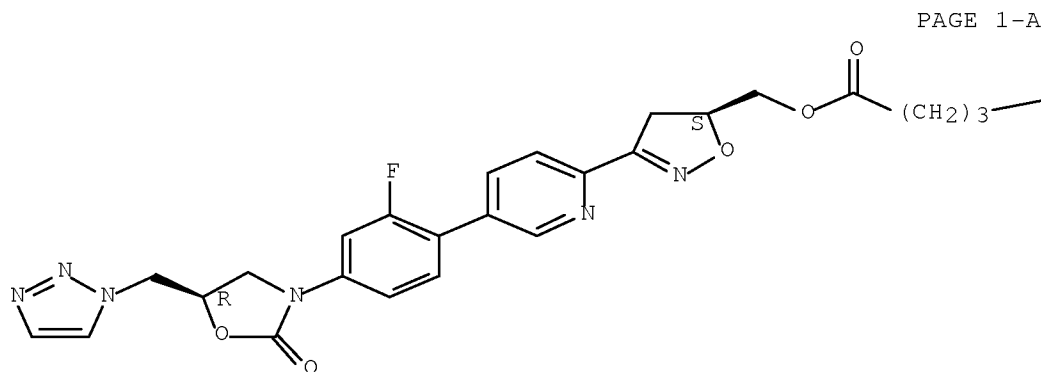
PAGE 1-B

OMe

RN 756873-67-3 CAPLUS

CN Butanoic acid, 4-methoxy-, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester (CA INDEX NAME)

Absolute stereochemistry.



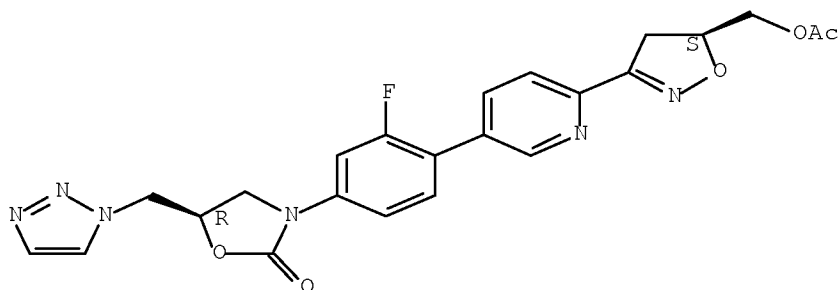
PAGE 1-B

OMe

RN 756873-68-4 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[(5S)-5-[(acetyloxy)methyl]-4,5-dihydro-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

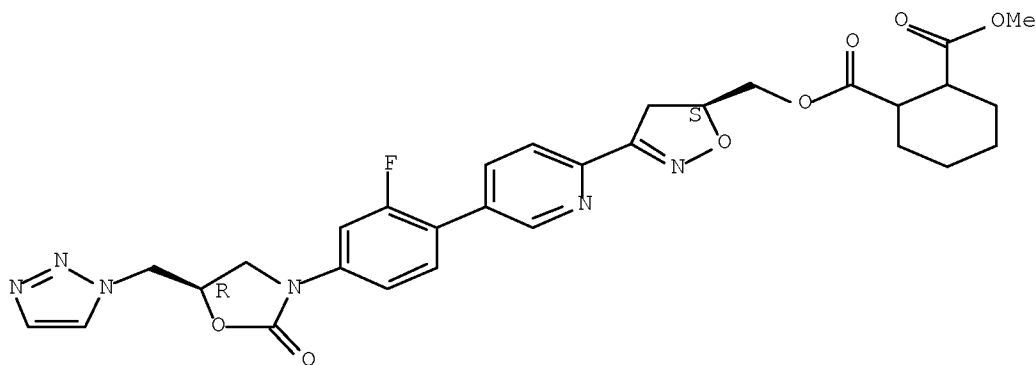
Absolute stereochemistry.



RN 756873-69-5 CAPLUS

CN 1,2-Cyclohexanedicarboxylic acid, 1-[[[(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl] 2-methyl ester (CA INDEX NAME)

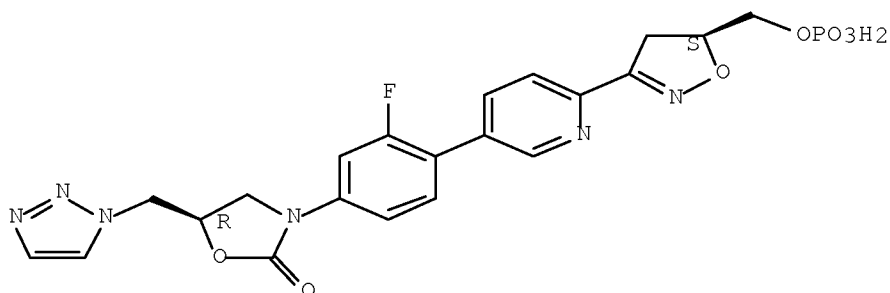
Absolute stereochemistry.



RN 756873-70-8 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[(5S)-4,5-dihydro-5-[(phosphonoxy)methyl]-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, ammoniate (1:2), (5R)- (CA INDEX NAME)

Absolute stereochemistry.

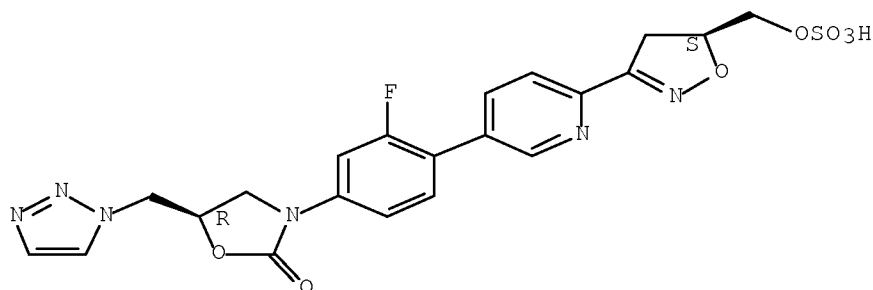


● 2 NH<sub>3</sub>

RN 756873-71-9 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[(5S)-4,5-dihydro-5-[(sulfooxy)methyl]-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

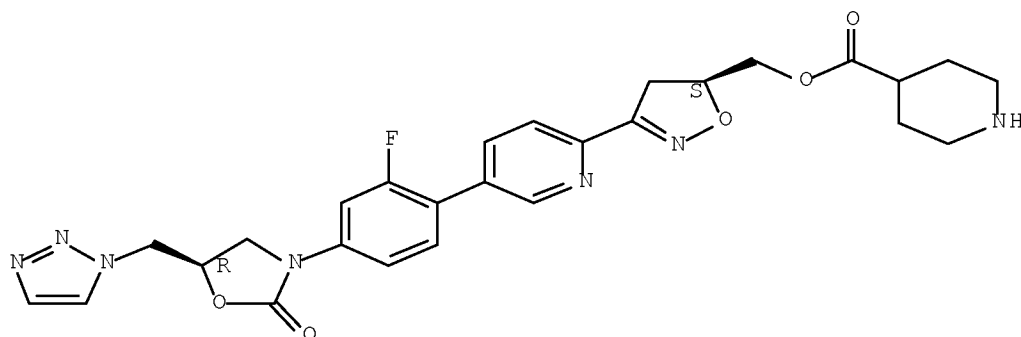
Absolute stereochemistry.



RN 756873-72-0 CAPLUS

CN 4-Piperidinecarboxylic acid, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester (CA INDEX NAME)

Absolute stereochemistry.

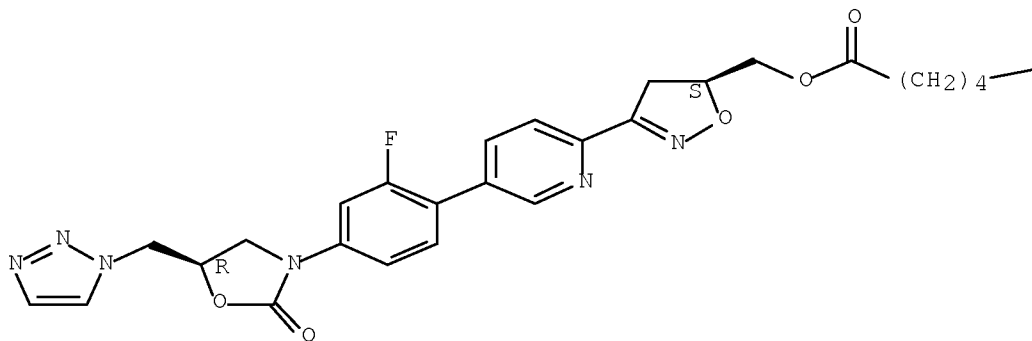


RN 756873-73-1 CAPLUS

CN Pentanoic acid, 5-(dimethylamino)-, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester (CA INDEX NAME)

Absolute stereochemistry.

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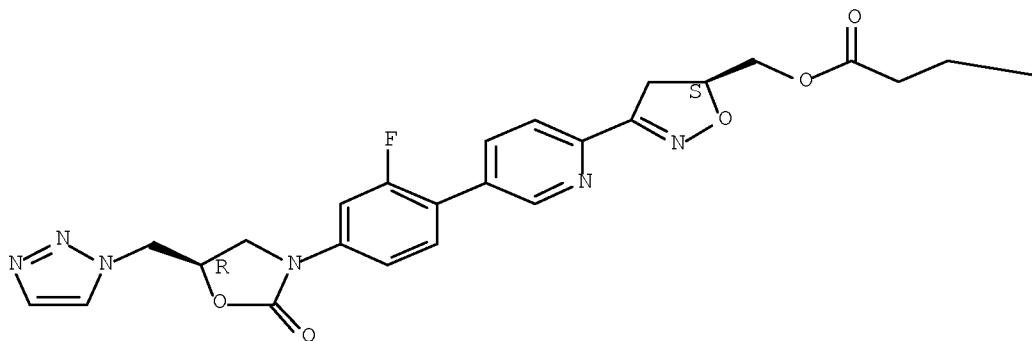
NMe<sub>2</sub>

RN 756873-74-2 CAPLUS

CN Butanedioic acid, 1-[[ (5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl] ester (CA INDEX NAME)

Absolute stereochemistry.

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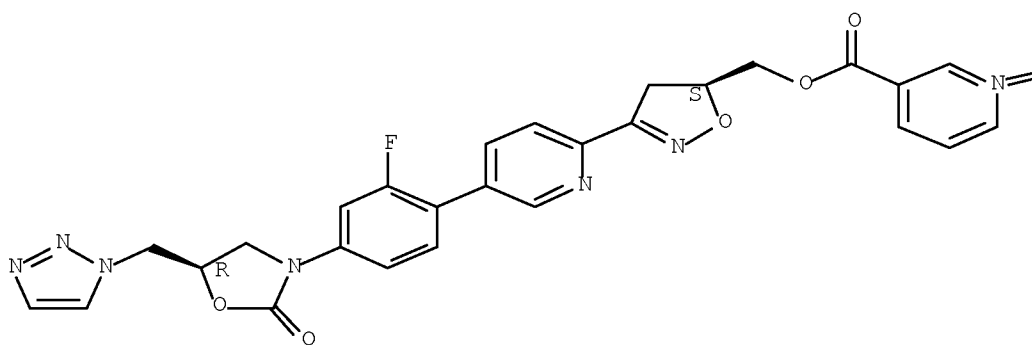
CO<sub>2</sub>H

RN 756873-75-3 CAPLUS

CN 3-Pyridinecarboxylic acid, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester, 1-oxide (CA INDEX NAME)

Absolute stereochemistry.

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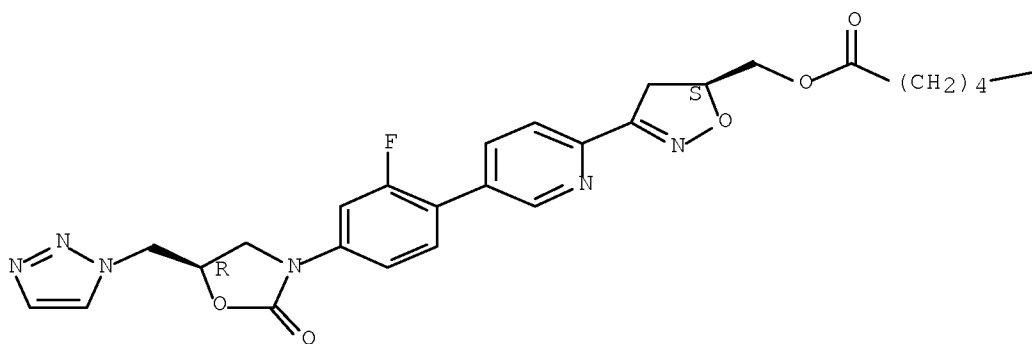


RN 756873-77-5 CAPLUS

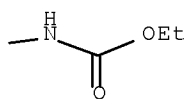
CN Pentanoic acid, 5-[(ethoxycarbonyl)amino]-,  
 [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester  
 (CA INDEX NAME)

Absolute stereochemistry.

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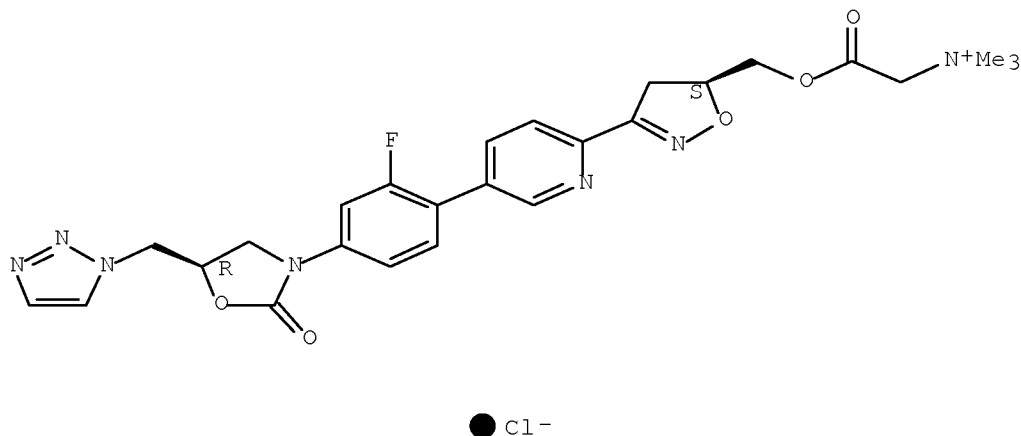
PAGE 1-B



RN 756873-78-6 CAPLUS

CN Ethanaminium, 2-[[ (5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methoxy]-N,N,N-trimethyl-2-oxo-, chloride (1:1) (CA INDEX NAME)

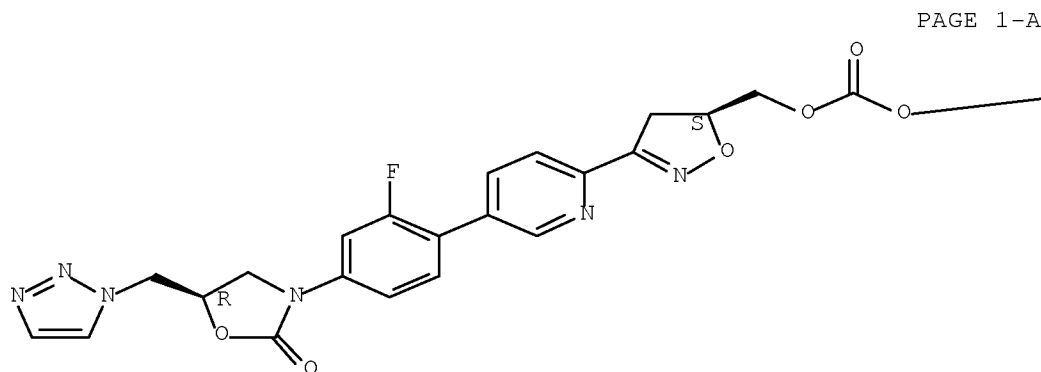
Absolute stereochemistry.



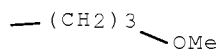
RN 756873-79-7 CAPLUS

CN Carbonic acid, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl 3-methoxypropyl ester (CA INDEX NAME)

Absolute stereochemistry.



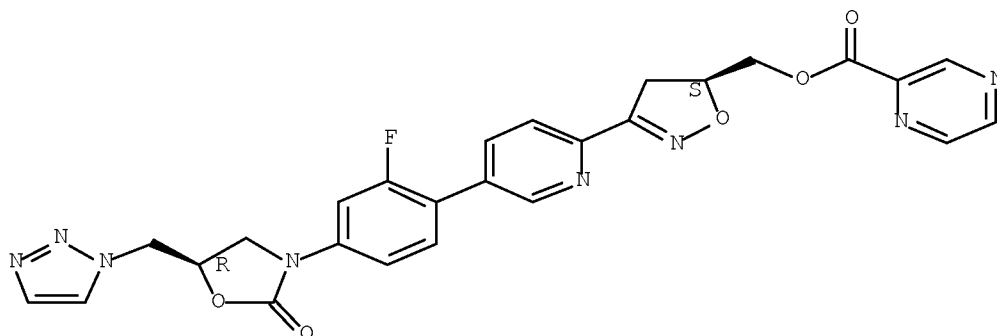
PAGE 1-B



RN 756873-80-0 CAPLUS

CN 2-Pyrazinecarboxylic acid, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester (CA INDEX NAME)

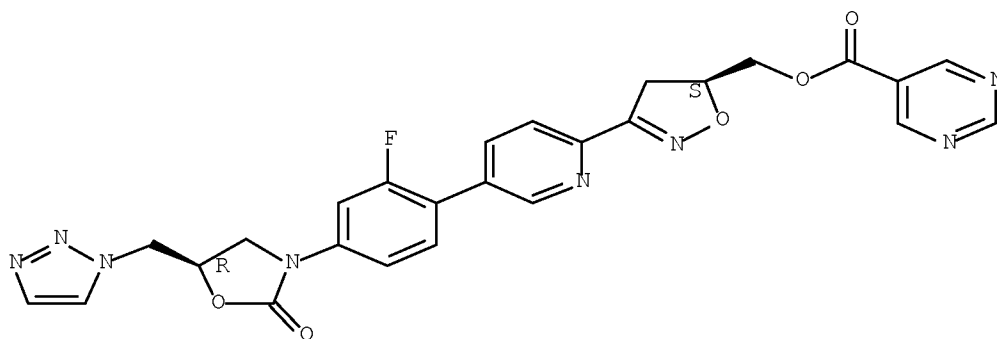
Absolute stereochemistry.



RN 756873-81-1 CAPLUS

CN 5-Pyrimidinecarboxylic acid, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester (CA INDEX NAME)

Absolute stereochemistry.

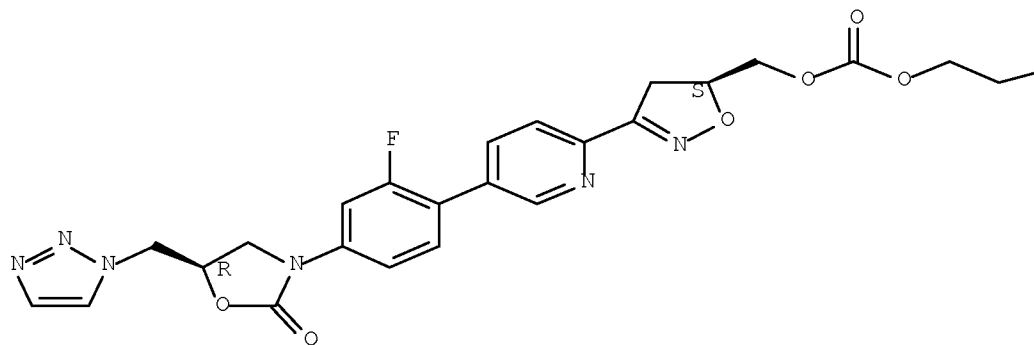


RN 756873-82-2 CAPLUS

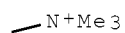
CN Ethanaminium, 2-[[[[(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methoxy]carbonyl]oxy]-N,N,N-trimethyl-, chloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.

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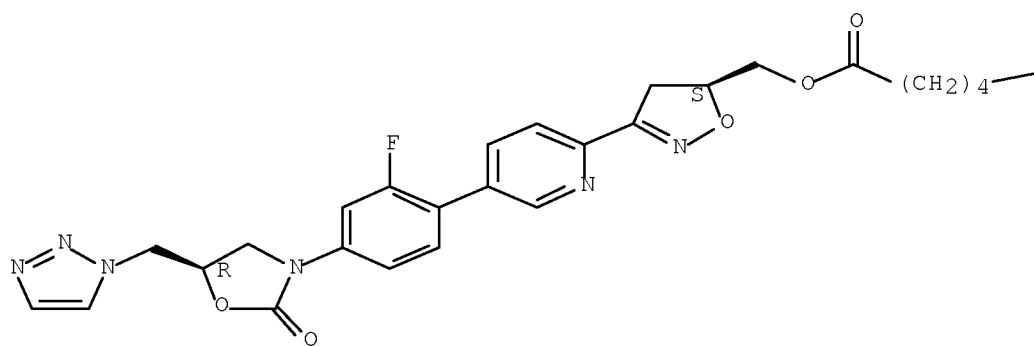


RN 756873-83-3 CAPLUS

CN Pentanoic acid, 5-[(methoxycarbonyl)methylamino]-,  
 [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester  
 (CA INDEX NAME)

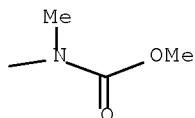
Absolute stereochemistry.

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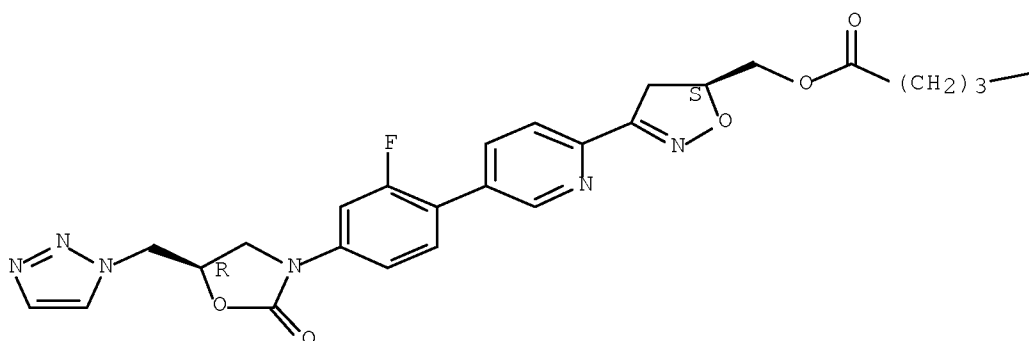


RN 756873-85-5 CAPLUS

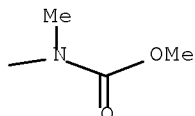
CN Butanoic acid, 4-[(methoxycarbonyl)methylamino]-,  
 [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester  
 (CA INDEX NAME)

Absolute stereochemistry.

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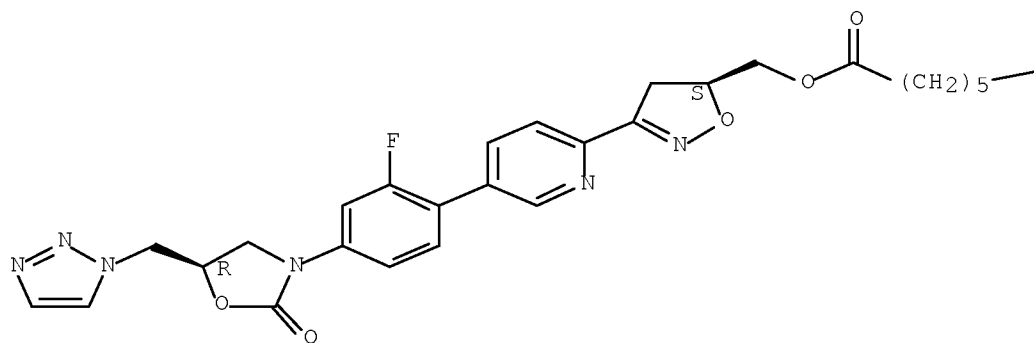


RN 756873-86-6 CAPLUS

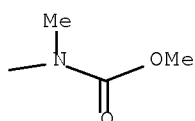
CN Hexanoic acid, 6-[(methoxycarbonyl)methylamino]-,  
 [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester  
 (CA INDEX NAME)

Absolute stereochemistry.

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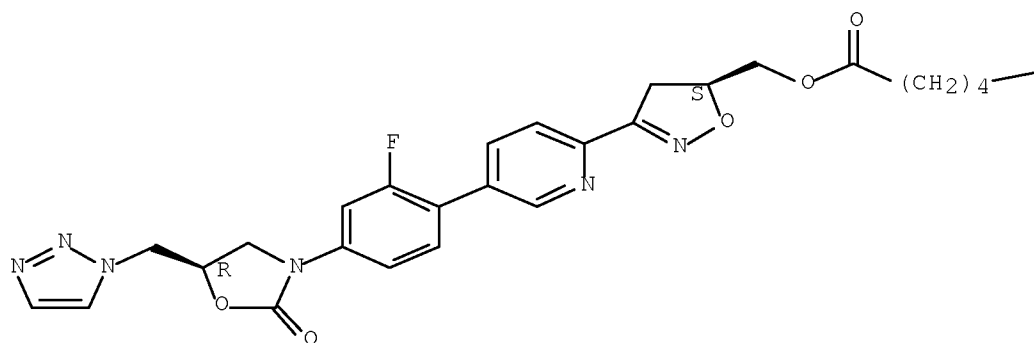


RN 756873-88-8 CAPLUS

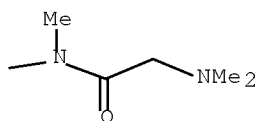
CN Pentanoic acid, 5-[[2-(dimethylamino)acetyl]methylamino]-,  
 [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester  
 (CA INDEX NAME)

Absolute stereochemistry.

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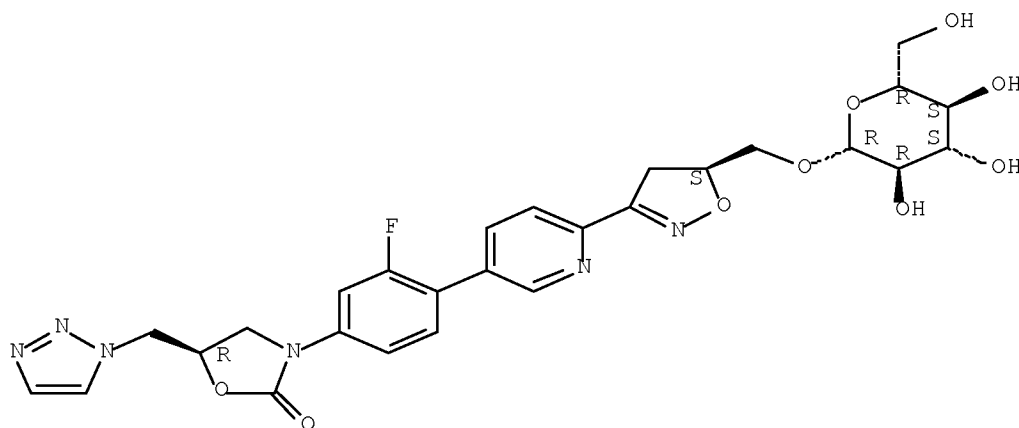
PAGE 1-B



RN 756873-89-9 CAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[6-[(5S)-5-[( $\beta$ -D-glucopyranosyloxy)methyl]-4,5-dihydro-3-isoxazolyl]-3-pyridinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

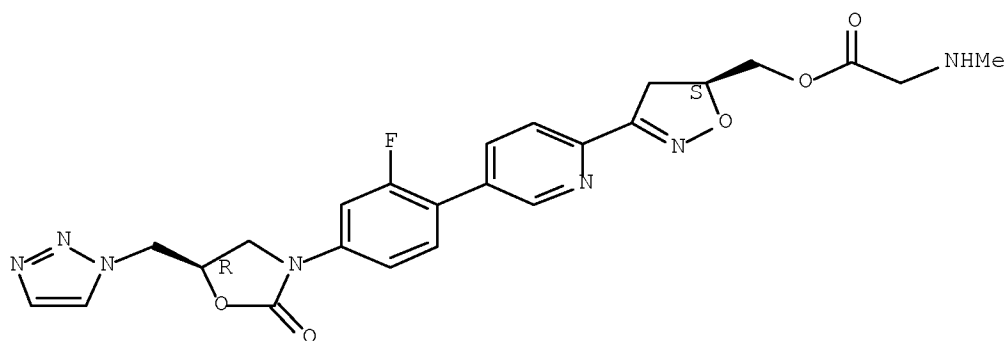
Absolute stereochemistry.



RN 756873-91-3 CAPLUS

CN Glycine, N-methyl-, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester (CA INDEX NAME)

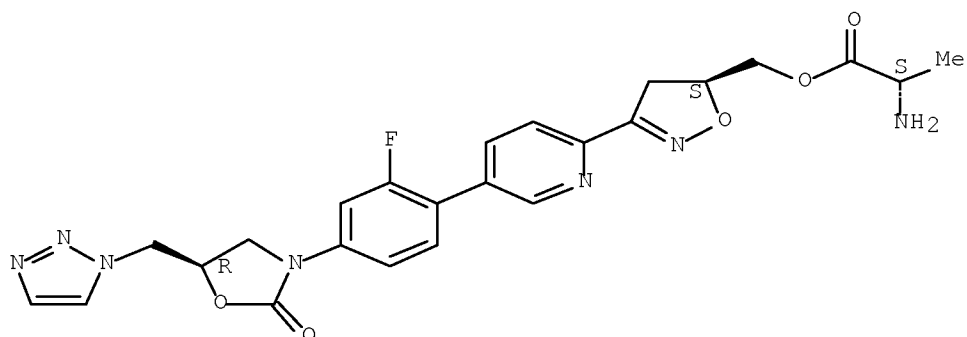
Absolute stereochemistry.



RN 756873-92-4 CAPLUS

CN L-Alanine, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester (CA INDEX NAME)

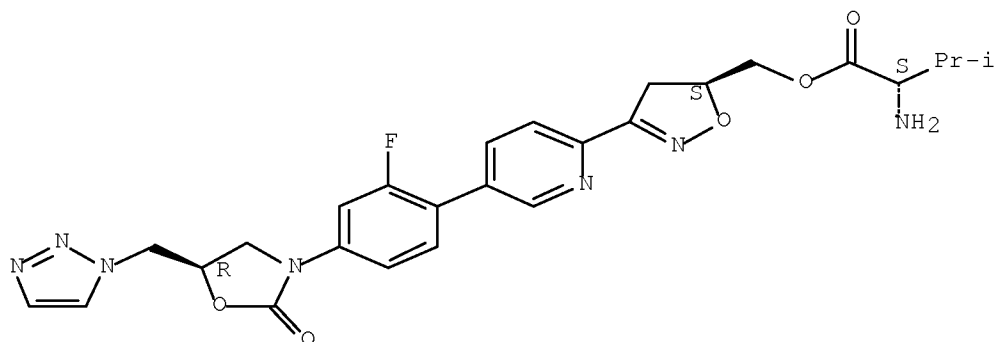
Absolute stereochemistry.



RN 756873-93-5 CAPLUS

CN L-Valine, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

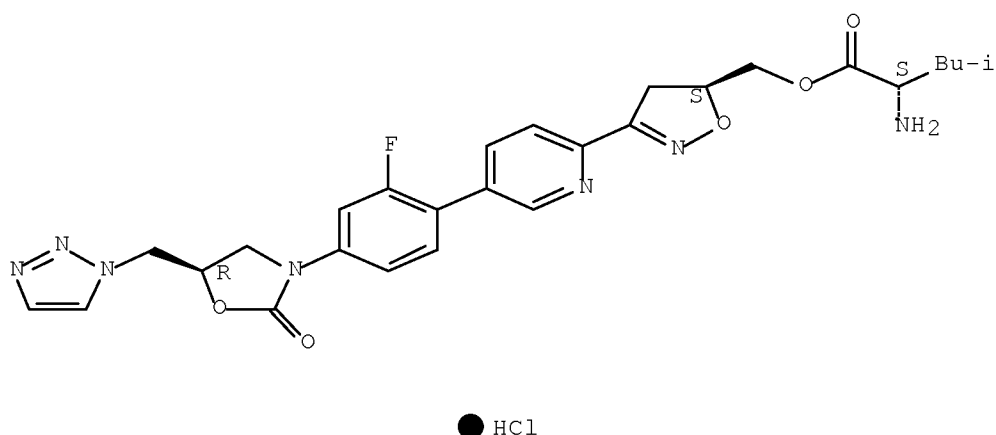


● HCl

RN 756873-94-6 CAPLUS

CN L-Leucine, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

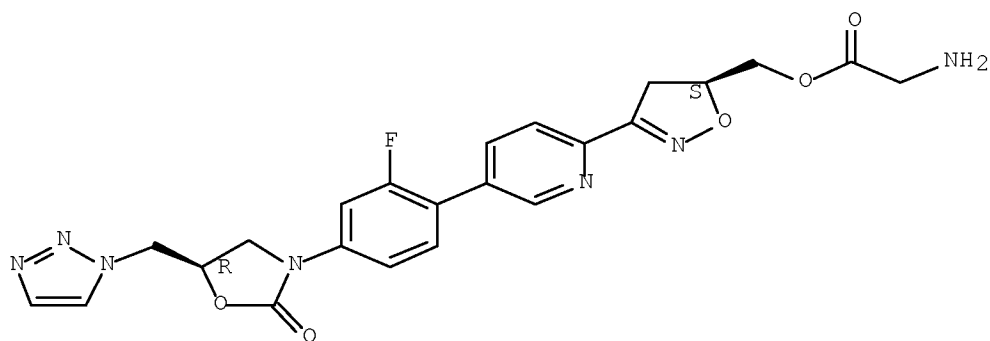
Absolute stereochemistry.



RN 756873-95-7 CAPLUS

CN Glycine, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester (CA INDEX NAME)

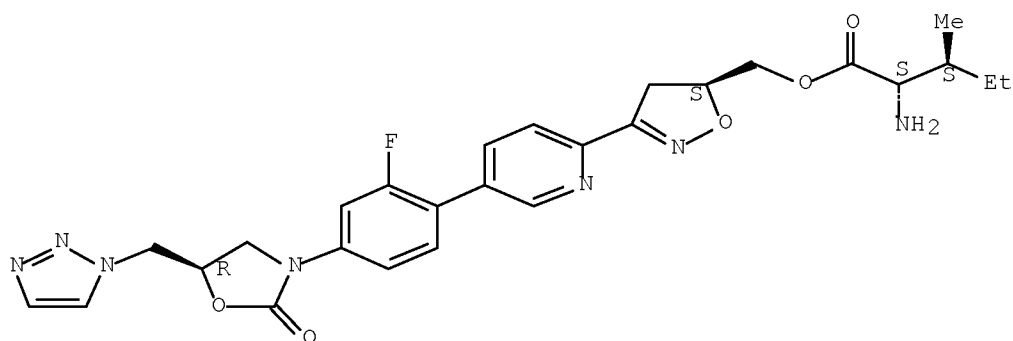
Absolute stereochemistry.



RN 756873-96-8 CAPLUS

CN L-Isoleucine, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester (CA INDEX NAME)

Absolute stereochemistry.

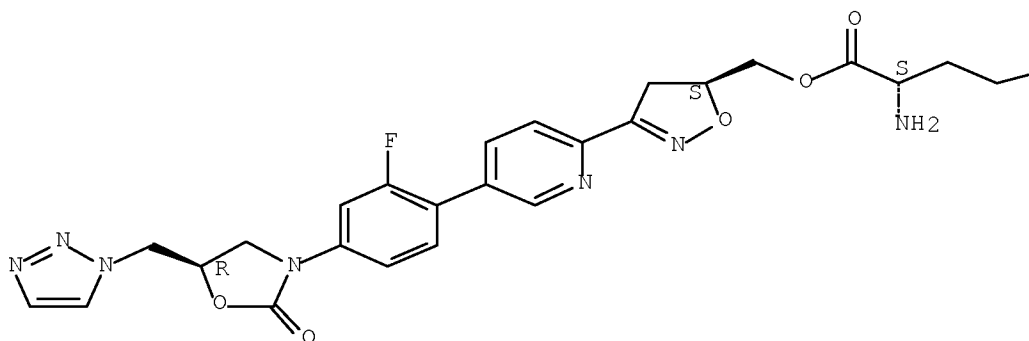


RN 756873-97-9 CAPLUS

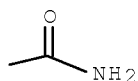
CN L-Glutamine, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester (CA INDEX NAME)

Absolute stereochemistry.

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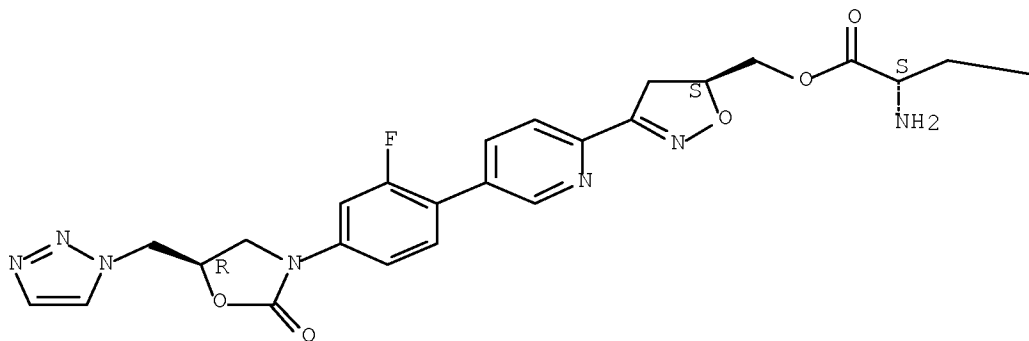


RN 756873-98-0 CAPLUS

CN L-Aspartic acid, 1-[[[(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl] ester (CA INDEX NAME)

Absolute stereochemistry.

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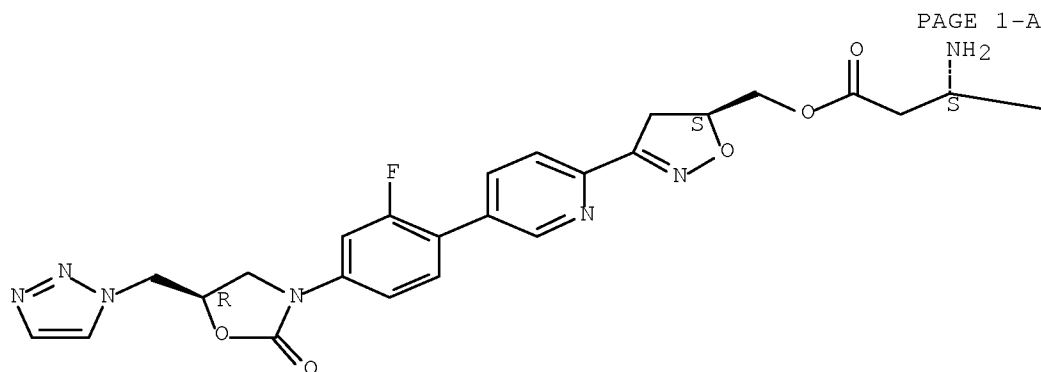
PAGE 1-B

$$-\text{CO}_2\text{H}$$

RN 756873-99-1 CAPLUS

CN L-Aspartic acid, 4-[[ (5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl] ester (CA INDEX NAME)

Absolute stereochemistry.



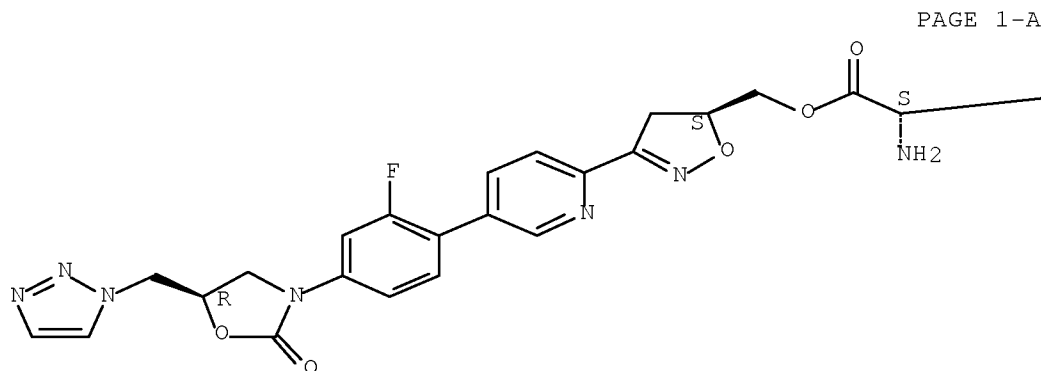
PAGE 1-B

$$-\text{CO}_2\text{H}$$

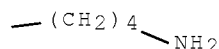
RN 756874-00-7 CAPLUS

CN L-Lysine, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester (CA INDEX NAME)

Absolute stereochemistry.



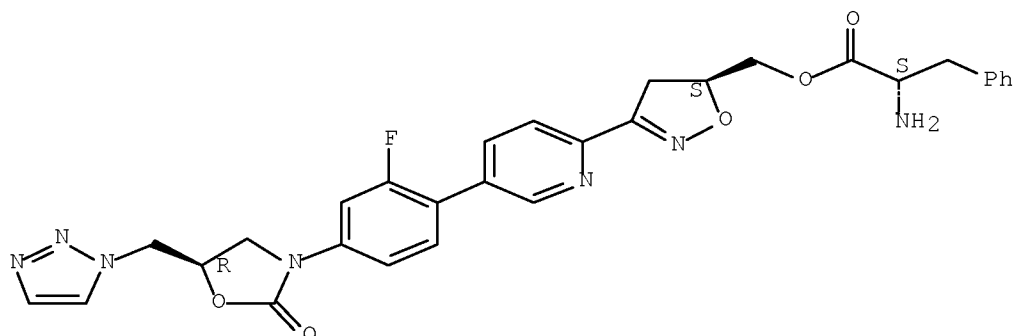
PAGE 1-B



RN 756874-01-8 CAPLUS

CN L-Phenylalanine, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester (CA INDEX NAME)

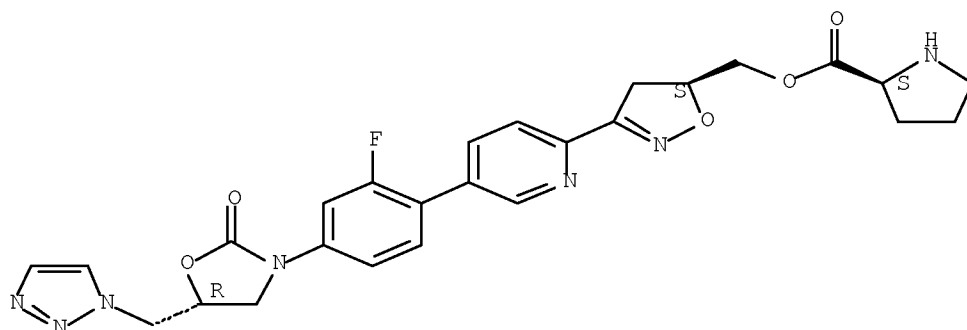
Absolute stereochemistry.



RN 756874-02-9 CAPLUS

CN L-Proline, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester (CA INDEX NAME)

Absolute stereochemistry.



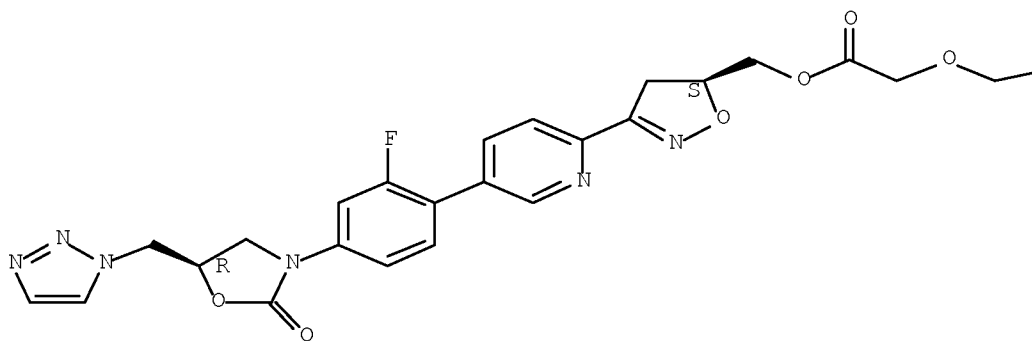
RN 756874-03-0 CAPLUS

CN Acetic acid, 2-[2-[(methoxycarbonyl)methylamino]ethoxy]-,  
[(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl)methyl ester  
(CA INDEX NAME)

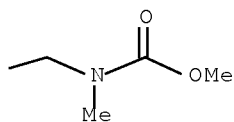
Absolute stereochemistry.



PAGE 1-A



PAGE 1-B

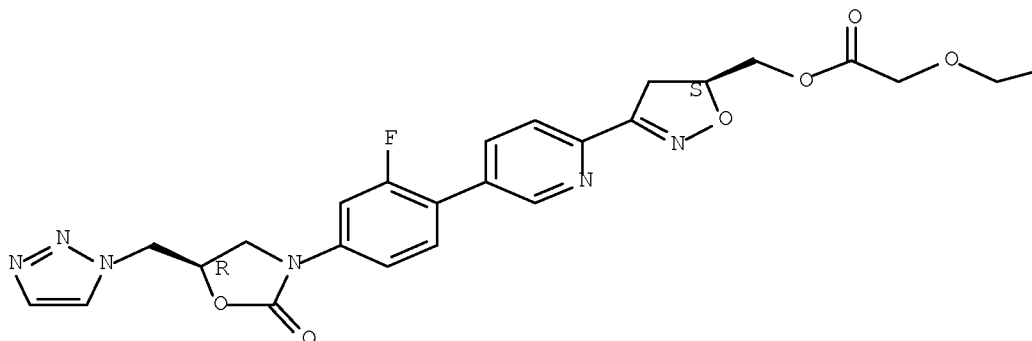


RN 756874-04-1 CAPLUS

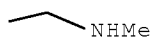
CN Acetic acid, 2-[2-(methylamino)ethoxy]-,  
 [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester,  
 hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



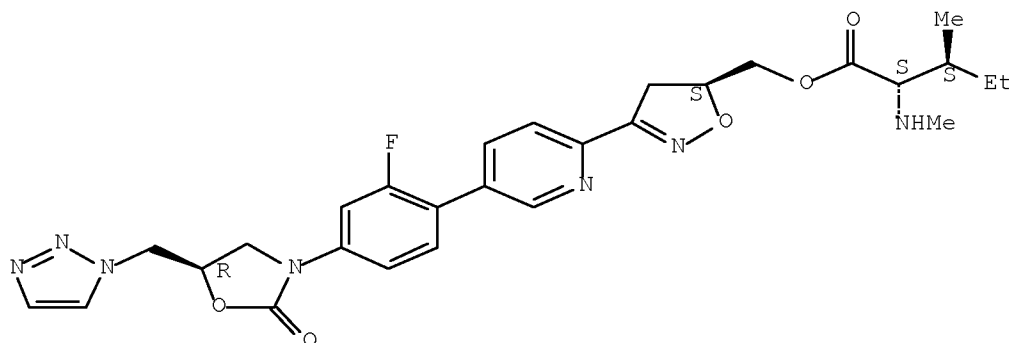
● HCl



RN 756874-05-2 CAPLUS

CN L-Isoleucine, N-methyl-, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:467903 CAPLUS Full-text

DOCUMENT NUMBER: 141:38599

TITLE: Preparation of oxazolidinone/isoxazoline derivatives as antibacterial agents

INVENTOR(S): Carcanague, Daniel Robert; Gravestock, Michael Barry; Hales, Neil James; Hauck, Sheila Irene; Weber, Thomas Peter

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited

SOURCE: PCT Int. Appl., 185 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

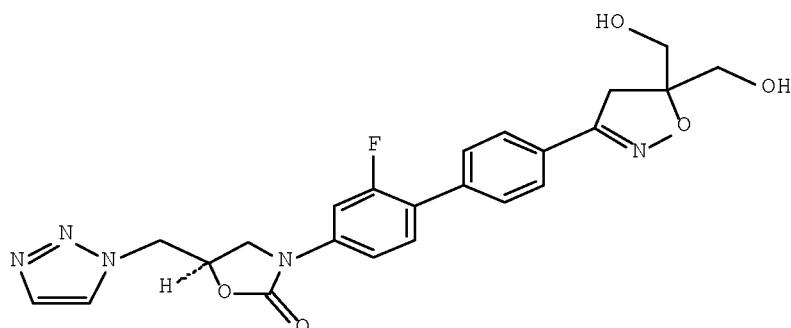
PATENT INFORMATION:

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WO 2004048392	A1	20040610	WO 2003-GB5087	20031124
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TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
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 ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK,  
 TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2507468	A1	20040610	CA 2003-2507468	20031124
AU 2003302404	A1	20040618	AU 2003-302404	20031124
AU 2003302404	B2	20080619		
EP 1567532	A1	20050831	EP 2003-811807	20031124
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003016688	A	20051018	BR 2003-16688	20031124
CN 1742015	A	20060301	CN 2003-80109196	20031124
JP 2006508192	T	20060309	JP 2005-510253	20031124
NZ 540147	A	20080328	NZ 2003-540147	20031124
MX 2005005651	A	20050727	MX 2005-5651	20050526
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PRIORITY APPLN. INFO.:			GB 2002-27702	A 20021128
			GB 2003-4725	A 20030301
			GB 2003-18608	A 20030808
			WO 2003-GB5087	W 20031124

OTHER SOURCE(S): MARPAT 141:38599  
 GI



II

- AB Title compds. (R1a)m-A-C-B-CH<sub>2</sub>-R1b [C = biaryl group; A, B = dihydroisoxazole, oxazolidinone; R1a = CN, carboxy, alkoxycarbonyl, aryl, etc.; m = 0-2; R1b = OH, silyloxy, etc.; I] are prepared For instance, (5R)-3-(3-fluoro-4-iodophenyl)-5-(1H-1,2,3-triazol-1-ylmethyl)-1,3-oxazolidin-2-one (preparation given) is coupled to 5,5-bis[[(tert-butyldimethylsilyl)oxy]methyl]-3-[4-(trimethylstannyl)phenyl]-4,5-dihydroisoxazole (preparation given) (NMP, (furan-2-yl)3P, dba3Pd2) and the resulting adduct desilylated (THF, TBAF) to give II. II exhibits MIC = 0.5 µg/mL against Staphylococcus aureus; compds. of the invention are antibacterial agents.
- IT 501940-91-6P 702680-89-5P 702680-93-1P  
 702681-83-2P, (5R)-3-[4-[6-[(5S)-5-((1R)-1,2-Dihydroxyethyl)-4,5-dihydroisoxazol-3-yl]pyridin-3-yl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-1,3-oxazolidin-2-one  
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP

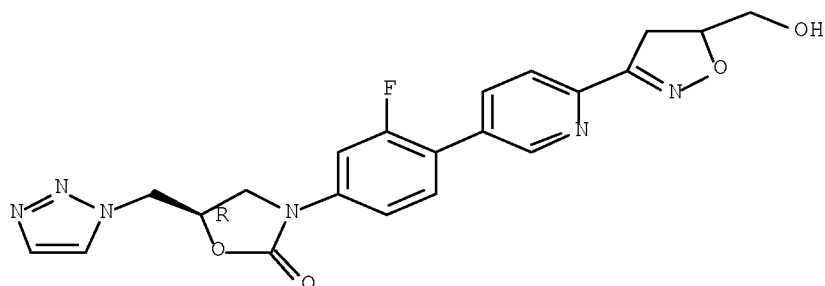
(Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of oxazolidinone/isoxazoline derivs. as antibacterial agents)

RN 501940-91-6 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[4,5-dihydro-5-(hydroxymethyl)-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

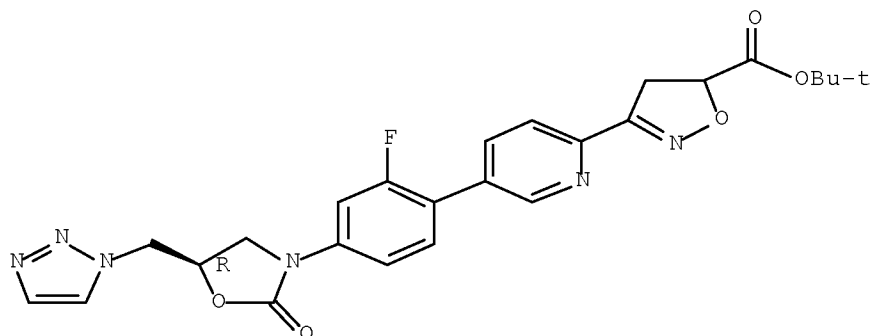
Absolute stereochemistry.



RN 702680-89-5 CAPLUS

CN 5-Isioxazolecarboxylic acid, 3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-, 1,1-dimethylethyl ester (CA INDEX NAME)

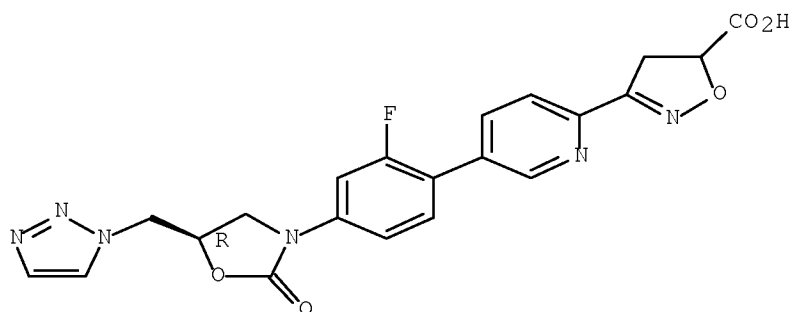
Absolute stereochemistry.



RN 702680-93-1 CAPLUS

CN 5-Isioxazolecarboxylic acid, 3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro- (CA INDEX NAME)

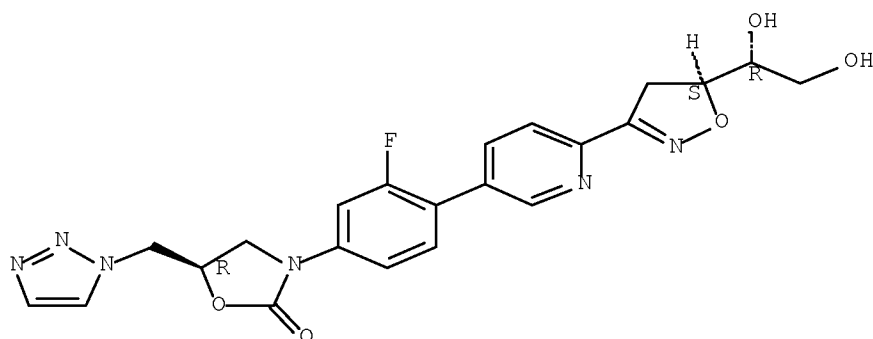
Absolute stereochemistry.



RN 702681-83-2 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[(5S)-5-[(1R)-1,2-dihydroxyethyl]-4,5-dihydro-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



IT 702680-46-4P 702680-51-1P 702680-61-3P  
 702680-65-7P 702680-69-1P 702680-73-7P,  
 (5R)-3-[3-Fluoro-4-[6-[5,5-bis(hydroxymethyl)-4,5-dihydroisoxazol-3-yl]pyridin-3-yl]phenyl]-5-[(4-fluoromethyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 702680-95-3P 702680-99-7P  
 702681-01-4P 702681-07-0P 702681-11-6P  
 702681-15-0P 702681-20-7P 702681-22-9P  
 702681-26-3P 702681-31-0P 702681-39-8P  
 702681-40-1P 702681-42-3P 702681-44-5P  
 702681-47-8P 702681-54-7P 702681-56-9P  
 702681-61-6P 702681-64-9P 702681-66-1P  
 702681-69-4P 702681-71-8P 702681-73-0P  
 702681-87-6P, (5R)-3-[4-[6-[(5R)-5-[(1R)-1,2-Dihydroxyethyl]-4,5-dihydroisoxazol-3-yl]pyridin-3-yl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-1,3-oxazolidin-2-one 702681-97-8P,  
 (5R)-3-[4-[6-[(5S)-5-[(1S)-1,2-Dihydroxyethyl]-4,5-dihydroisoxazol-3-yl]pyridin-3-yl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-1,3-oxazolidin-2-one 702682-01-7P,  
 (5R)-3-[4-[6-[(5R)-5-[(1S)-1,2-Dihydroxyethyl]-4,5-dihydroisoxazol-3-yl]pyridin-3-yl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-1,3-oxazolidin-2-one 702682-13-1P,  
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 702682-32-4P 702682-43-7P,

(5R)-3-[3-Fluoro-4-[6-[(5S)-5-[(2-hydroxyethyl)thio]methyl]-4,5-dihydroisoxazol-3-yl]pyridin-3-yl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-1,3-oxazolidin-2-one 702682-56-2P 702682-72-2P  
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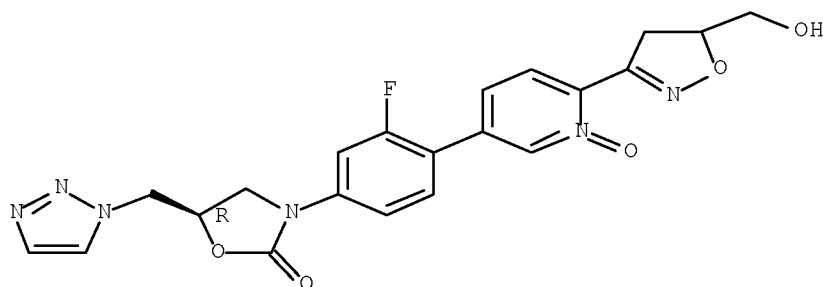
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of oxazolidinone/isoxazoline derivs. as antibacterial agents)

RN 702680-46-4 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[4,5-dihydro-5-(hydroxymethyl)-3-isoxazolyl]-1-oxido-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

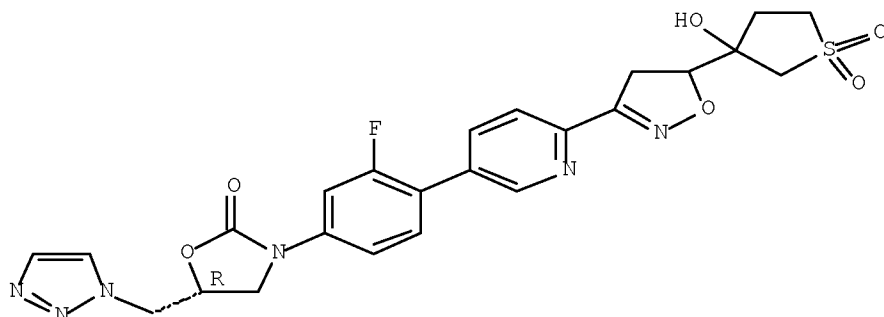
Absolute stereochemistry.



RN 702680-51-1 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[4,5-dihydro-5-(tetrahydro-3-hydroxy-1,1-dioxido-3-thienyl)-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

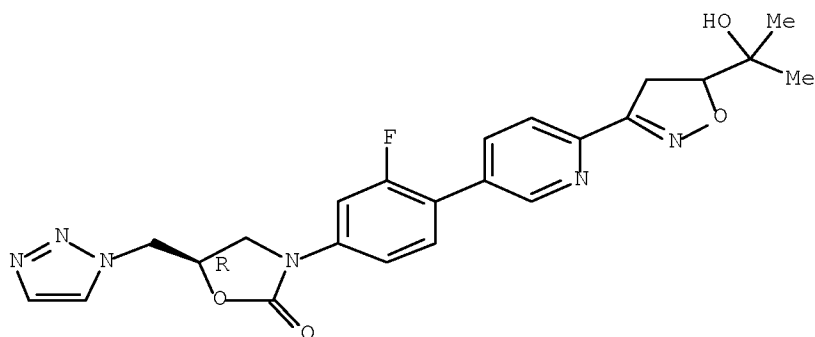
Absolute stereochemistry.



RN 702680-61-3 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[4,5-dihydro-5-(1-hydroxy-1-methylethyl)-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

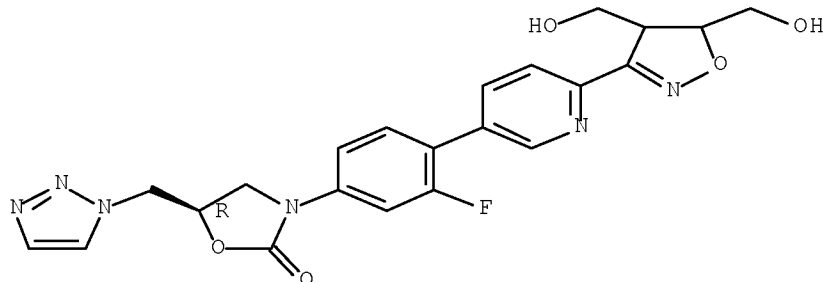
Absolute stereochemistry.



RN 702680-65-7 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[4,5-dihydro-4,5-bis(hydroxymethyl)-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

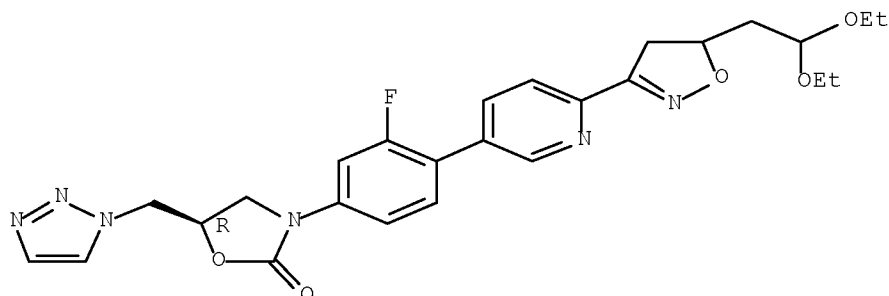
Absolute stereochemistry.



RN 702680-69-1 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[5-(2,2-diethoxyethyl)-4,5-dihydro-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

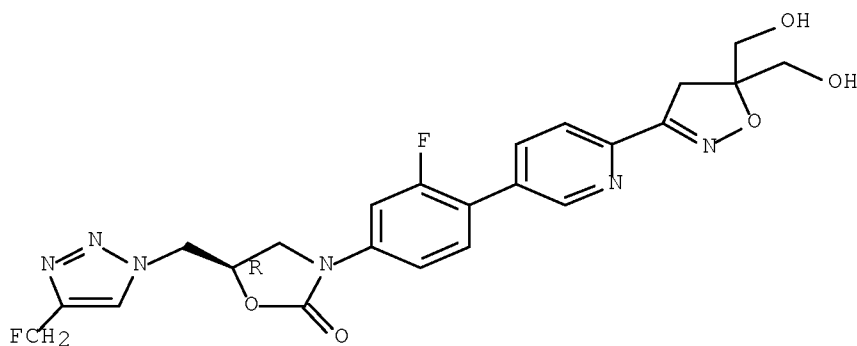
Absolute stereochemistry.



RN 702680-73-7 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[4,5-dihydro-5,5-bis(hydroxymethyl)-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-[[4-(fluoromethyl)-1H-1,2,3-triazol-1-yl]methyl]-, (5R)- (CA INDEX NAME)

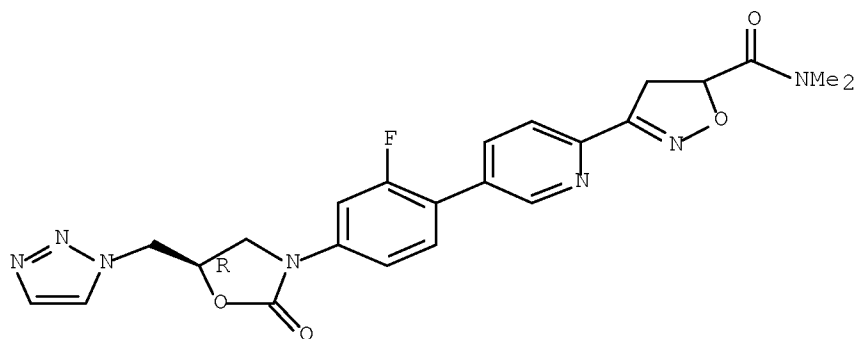
Absolute stereochemistry.



RN 702680-95-3 CAPLUS

CN 5-Isioxazolecaboxamide, 3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-N,N-dimethyl- (CA INDEX NAME)

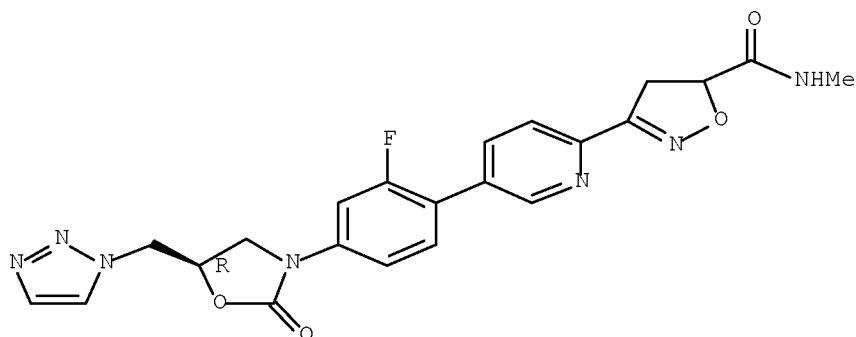
Absolute stereochemistry.



RN 702680-99-7 CAPLUS

CN 5-Isioxazolecaboxamide, 3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-N-methyl- (CA INDEX NAME)

Absolute stereochemistry.

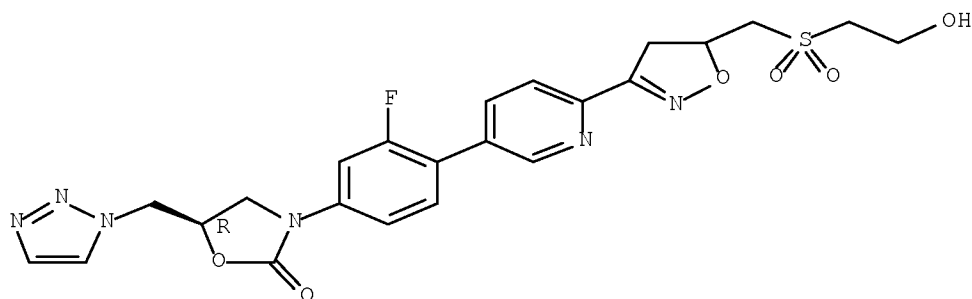




RN 702681-01-4 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[4,5-dihydro-5-[[ (2-hydroxyethyl) sulfonyl]methyl]-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

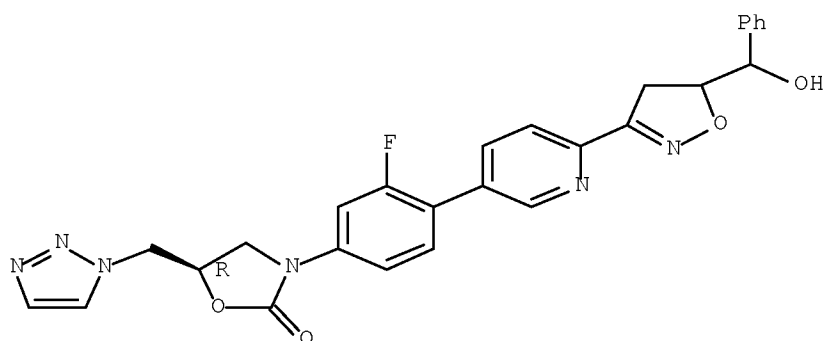
Absolute stereochemistry.



RN 702681-07-0 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[4,5-dihydro-5-(hydroxyphenylmethyl)-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

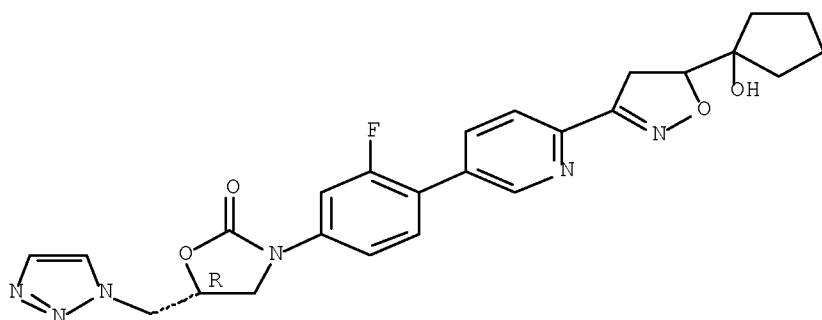
Absolute stereochemistry.



RN 702681-11-6 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[4,5-dihydro-5-(1-hydroxycyclopentyl)-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

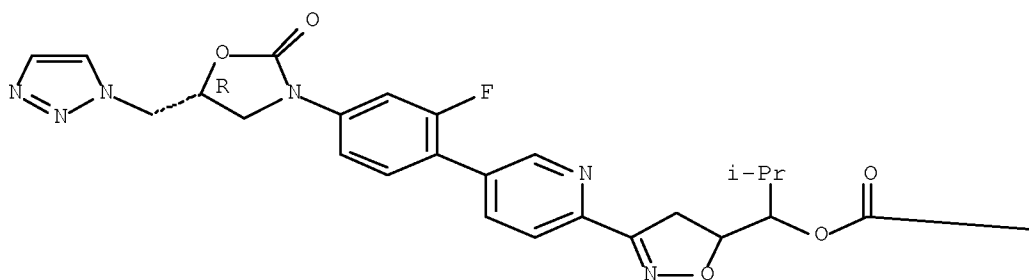


RN 702681-15-0 CAPLUS

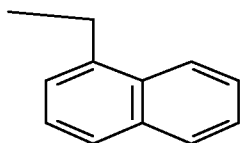
CN 1-Naphthaleneacetic acid, 1-[3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]-2-methylpropyl ester (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



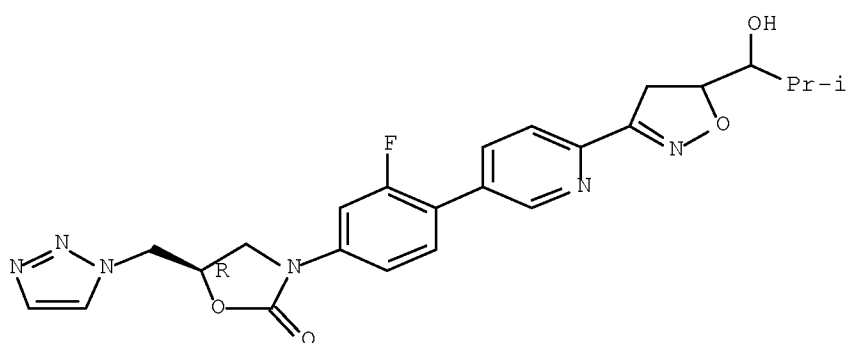
PAGE 1-B



RN 702681-20-7 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[4,5-dihydro-5-(1-hydroxy-2-methylpropyl)-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

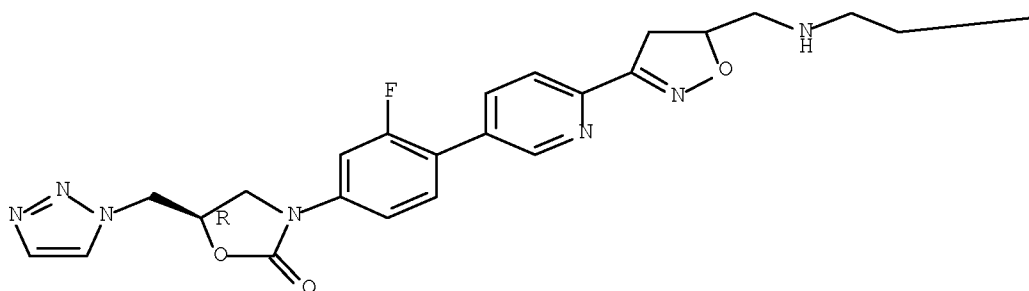


RN 702681-22-9 CAPLUS

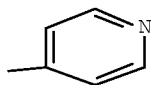
CN 2-Oxazolidinone, 3-[4-[6-[4,5-dihydro-5-[[[2-(4-pyridinyl)ethyl]amino]methyl]-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



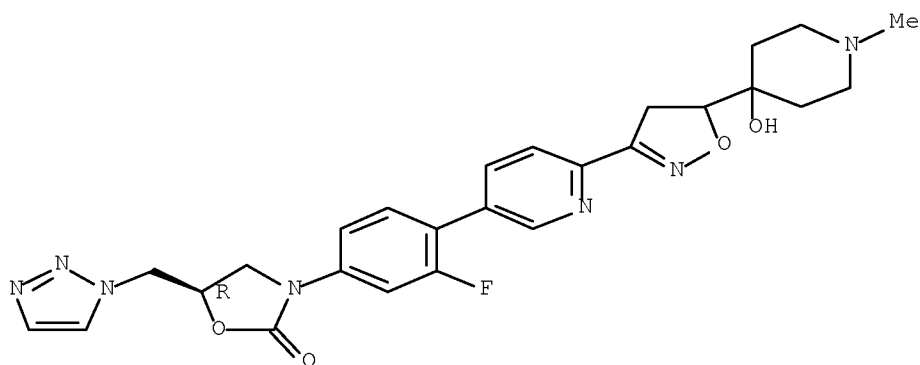
PAGE 1-B



RN 702681-26-3 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[4,5-dihydro-5-(4-hydroxy-1-methyl-4-piperidinyl)-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

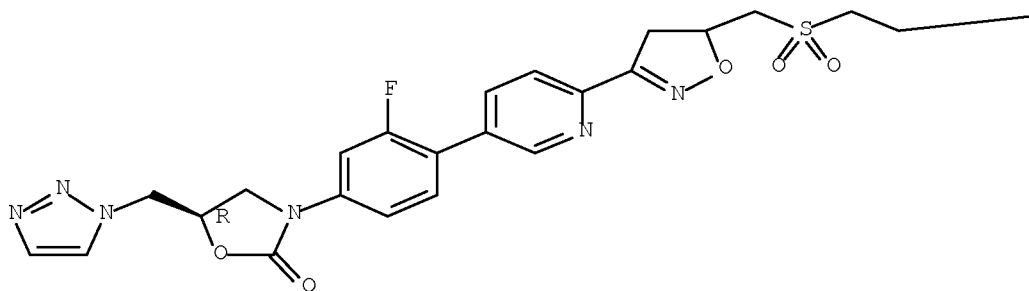


RN 702681-31-0 CAPLUS

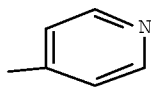
CN 2-Oxazolidinone, 3-[4-[6-[4,5-dihydro-5-[[[2-(4-pyridinyl)ethyl]sulfonyl]methyl]-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



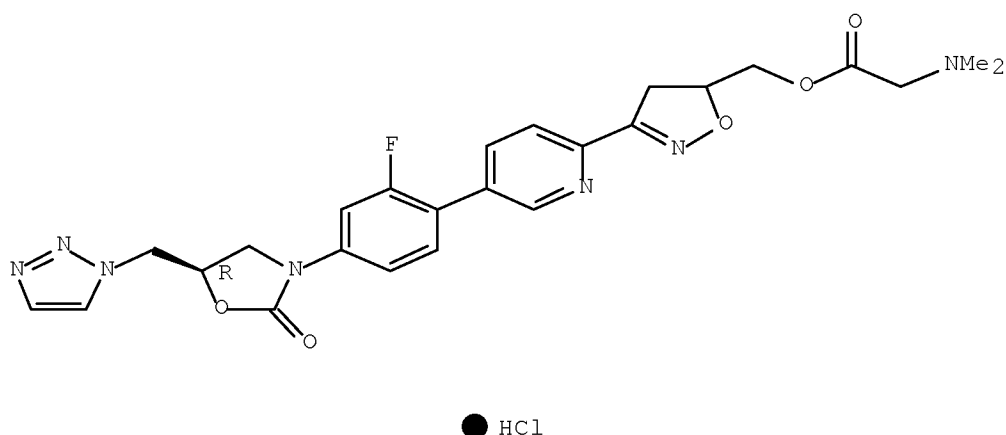
PAGE 1-B



RN 702681-39-8 CAPLUS

CN Glycine, N,N-dimethyl-, [3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

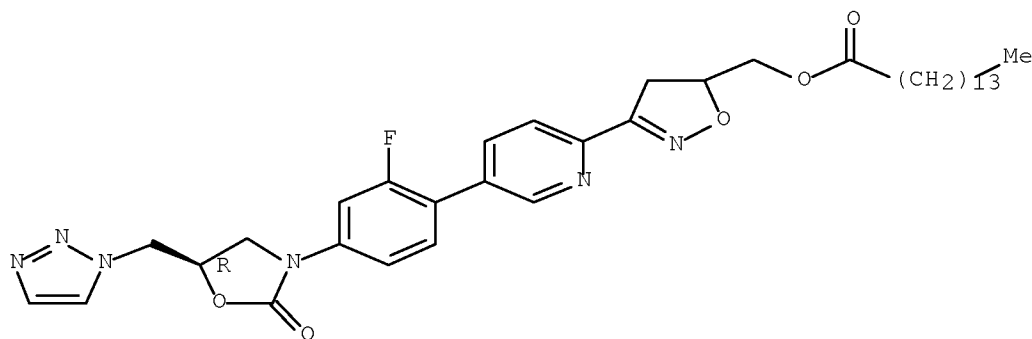
Absolute stereochemistry.



RN 702681-40-1 CAPLUS

CN Pentadecanoic acid, [3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyloxy]methyl ester (CA INDEX NAME)

Absolute stereochemistry.

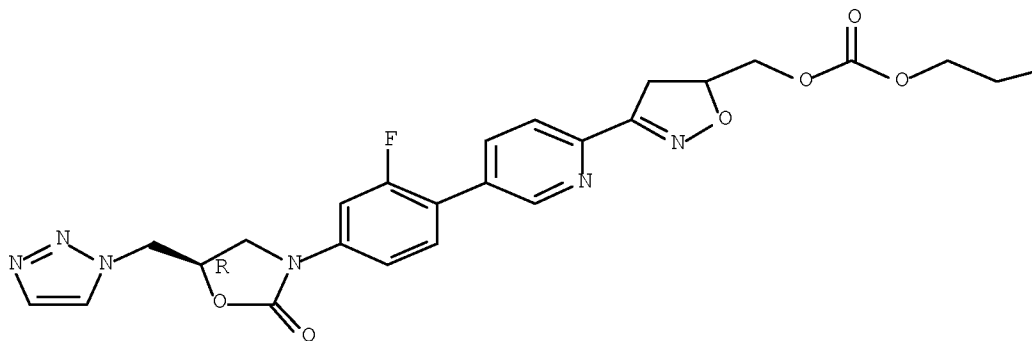


RN 702681-42-3 CAPLUS

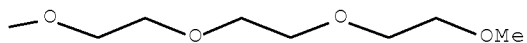
CN 2,5,8,11,14-Pentaoxapentadecanoic acid, [3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyloxy]methyl ester (CA INDEX NAME)

Absolute stereochemistry.

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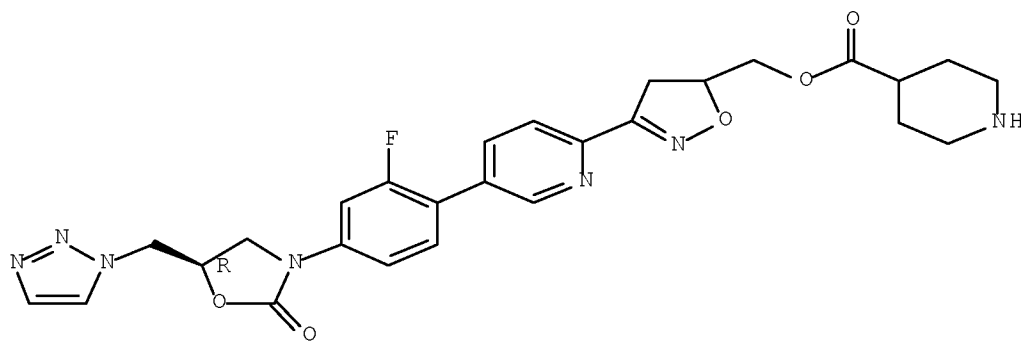
PAGE 1-B



RN 702681-44-5 CAPLUS

CN 4-Piperidinecarboxylic acid, [3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester (CA INDEX NAME)

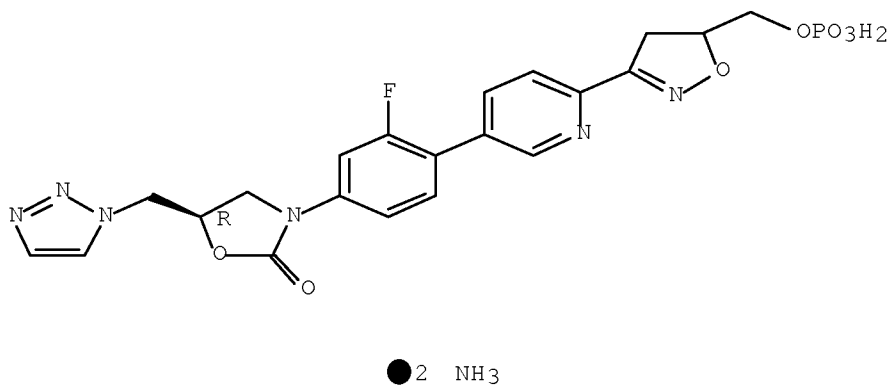
Absolute stereochemistry.



RN 702681-47-8 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[4,5-dihydro-5-[(phosphonoxy)methyl]-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, ammoniate (1:2), (5R)- (CA INDEX NAME)

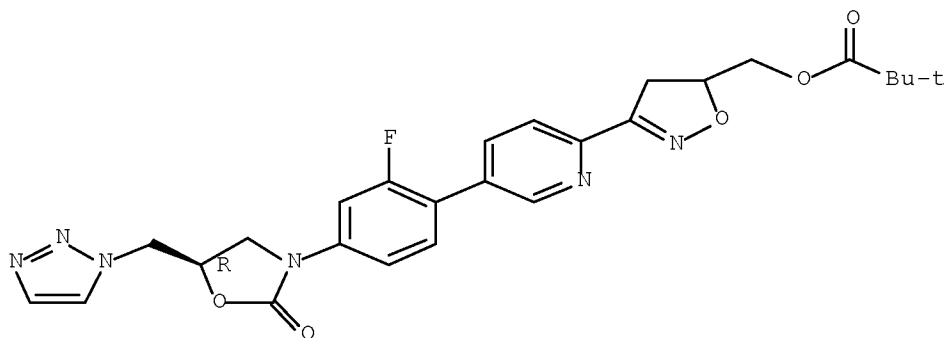
Absolute stereochemistry.



RN 702681-54-7 CAPLUS

CN	Propanoic acid, 2,2-dimethyl-, [3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester (CA INDEX NAME)
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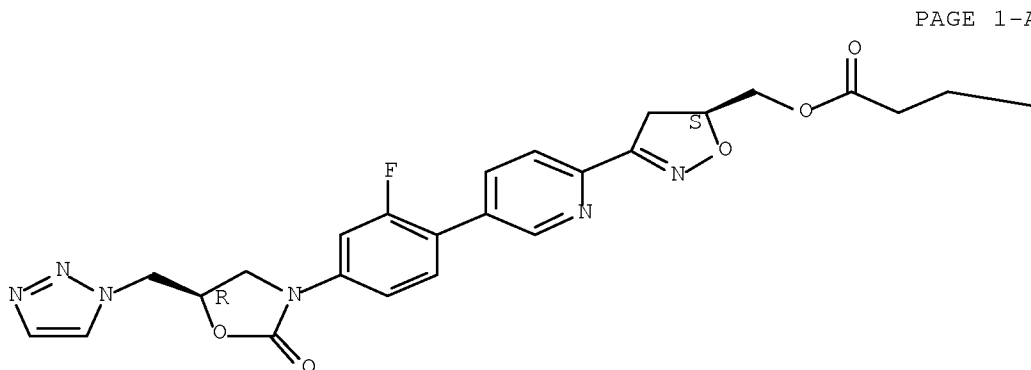
Absolute stereochemistry.



RN 702681-56-9 CAPLUS

CN     $\beta$ -Alanine, N,N-diethyl-, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester    (CA INDEX NAME)

Absolute stereochemistry.



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—NEt<sub>2</sub>

RN 702681-61-6 CAPLUS

CN Butanedioic acid, 1-[[3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl] 4-methyl ester, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

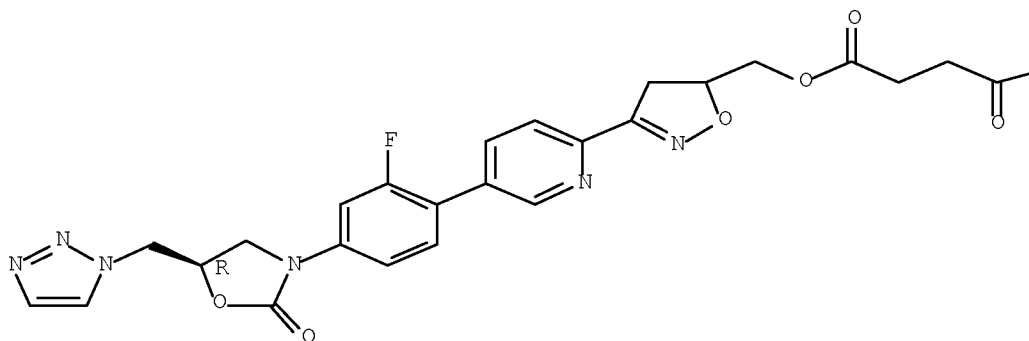
CM 1

CRN 702681-60-5

CMF C26 H25 F N6 O7

Absolute stereochemistry.

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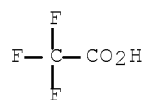
PAGE 1-B

—OMe

CM 2

CRN 76-05-1

CMF C2 H F3 O2





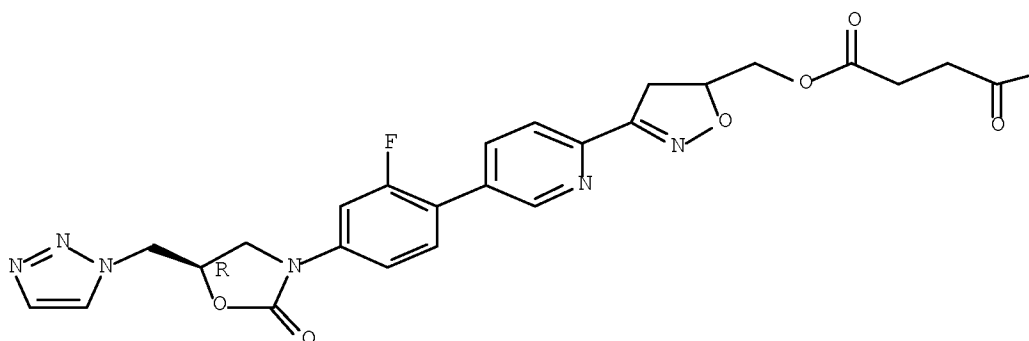
RN 702681-64-9 CAPLUS  
 CN Butanedioic acid, 1-ethyl 4-[[3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl] ester, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 702681-63-8  
 CMF C27 H27 F N6 O7

Absolute stereochemistry.

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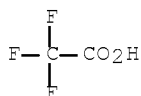


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—OEt

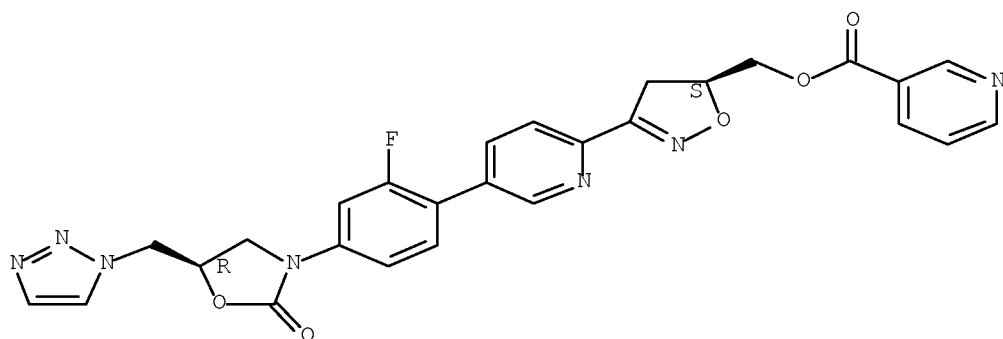
CM 2

CRN 76-05-1  
 CMF C2 H F3 O2



RN 702681-66-1 CAPLUS  
 CN 3-Pyridinecarboxylic acid, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester (CA INDEX NAME)

Absolute stereochemistry.



RN 702681-69-4 CAPLUS

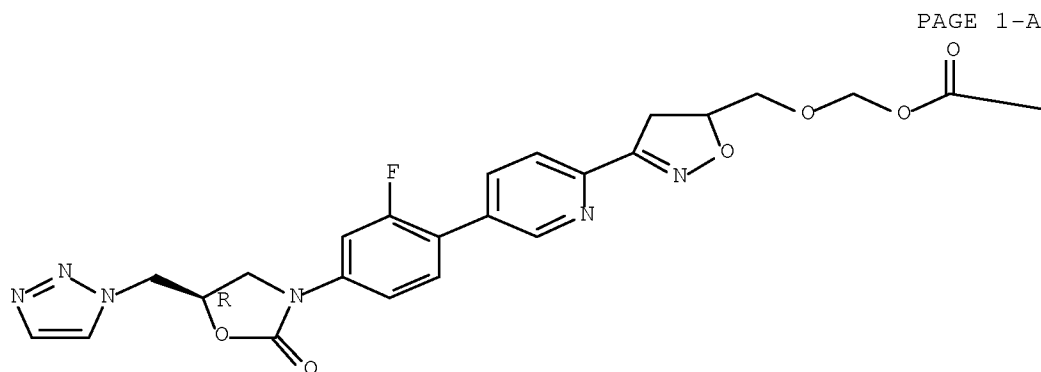
CN Propanoic acid, 2,2-dimethyl-, [[3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methoxy]methyl ester, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 702681-68-3

CMF C27 H29 F N6 O6

Absolute stereochemistry.



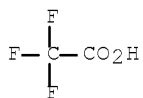
PAGE 1-B

— Bu-t

CM 2

CRN 76-05-1

CMF C2 H F3 O2

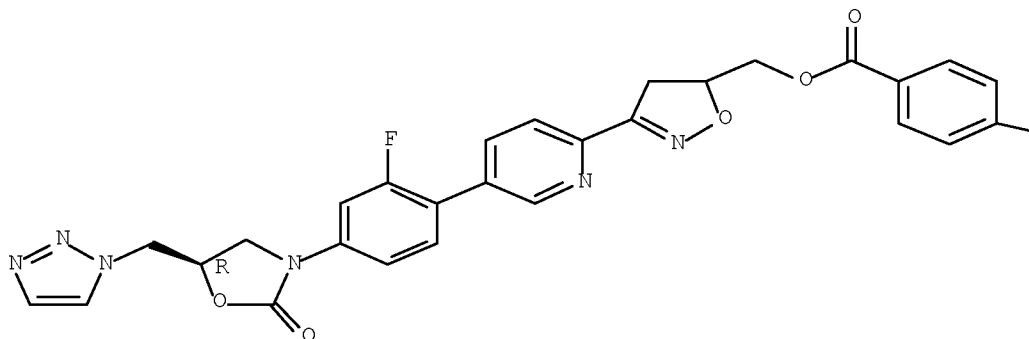


RN 702681-71-8 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[4,5-dihydro-5-[[ (4-nitrobenzoyl)oxy]methyl]-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

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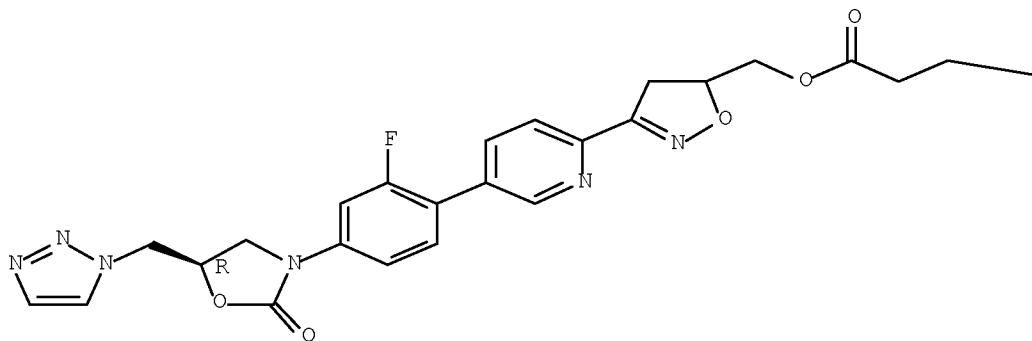


RN 702681-73-0 CAPLUS

CN Butanedioic acid, 1-[[3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl] ester (CA INDEX NAME)

Absolute stereochemistry.

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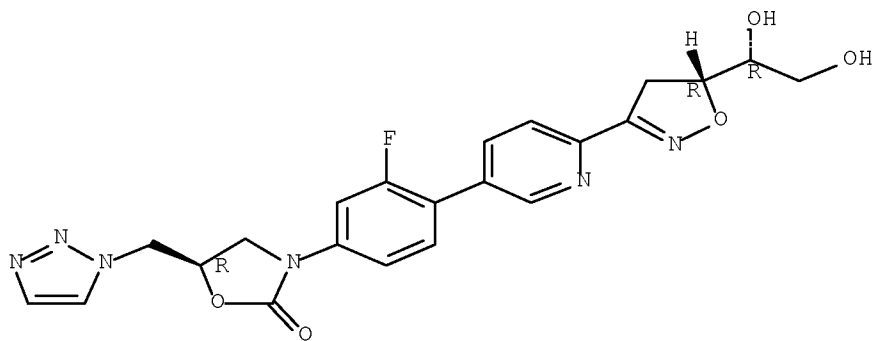
PAGE 1-B

— CO<sub>2</sub>H

RN 702681-87-6 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[(5R)-5-[(1R)-1,2-dihydroxyethyl]-4,5-dihydro-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

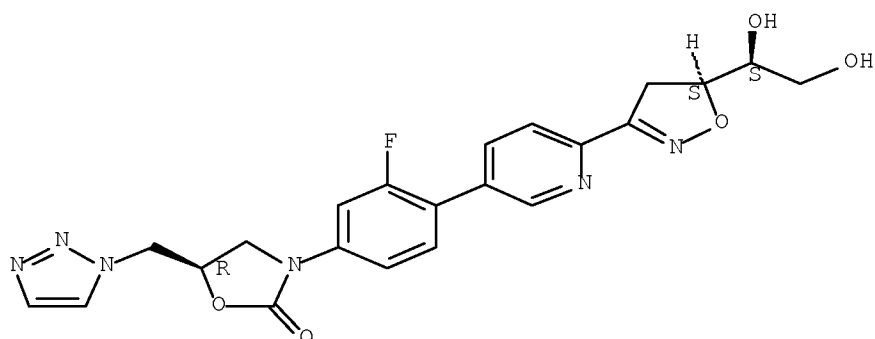
Absolute stereochemistry.



RN 702681-97-8 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[(5S)-5-[(1S)-1,2-dihydroxyethyl]-4,5-dihydro-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

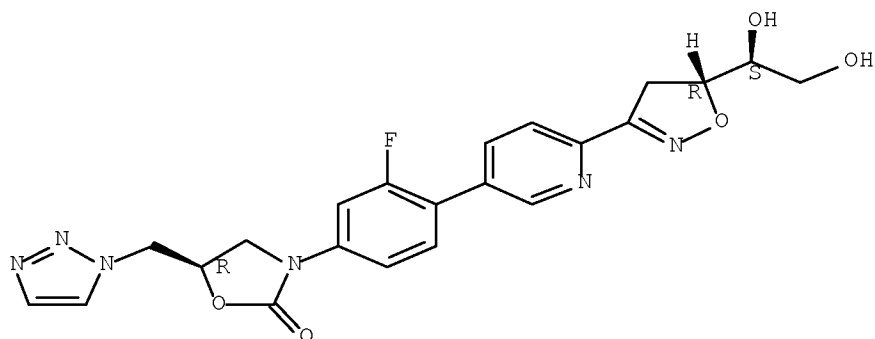
Absolute stereochemistry.



RN 702682-01-7 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[(5R)-5-[(1S)-1,2-dihydroxyethyl]-4,5-dihydro-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

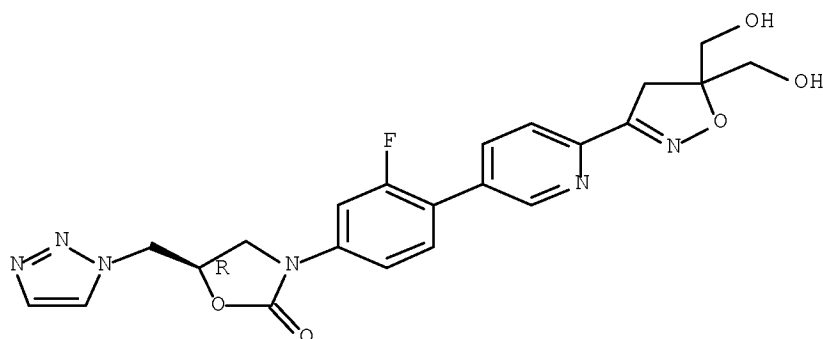
Absolute stereochemistry.



RN 702682-13-1 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[4,5-dihydro-5,5-bis(hydroxymethyl)-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



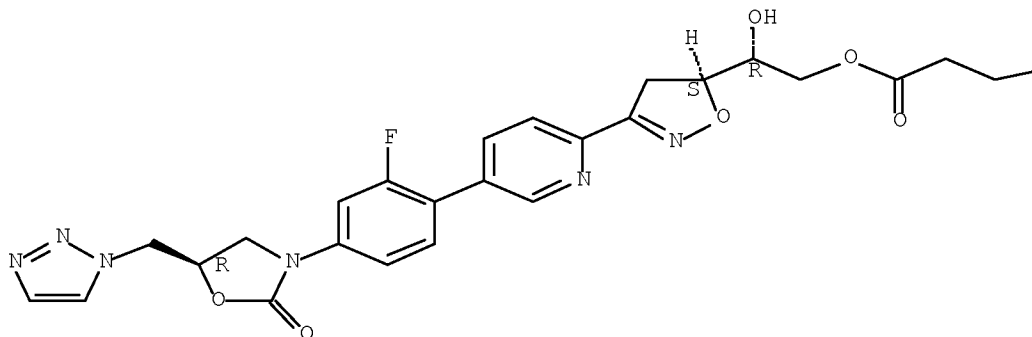
RN 702682-21-1 CAPLUS

CN Propanoic acid, 3-methoxy-, (2R)-2-[(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-

(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]-2-hydroxyethyl ester (CA INDEX NAME)

Absolute stereochemistry.

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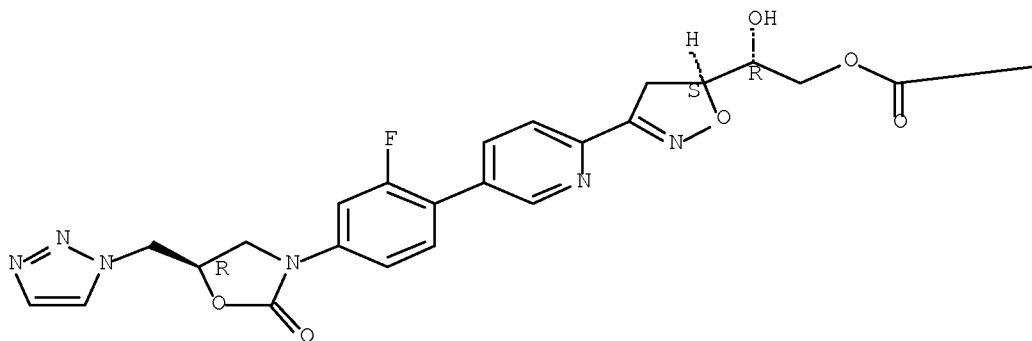
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RN 702682-26-6 CAPLUS

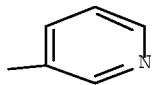
CN 3-Pyridinecarboxylic acid, (2R)-2-[(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]-2-hydroxyethyl ester (CA INDEX NAME)

Absolute stereochemistry.

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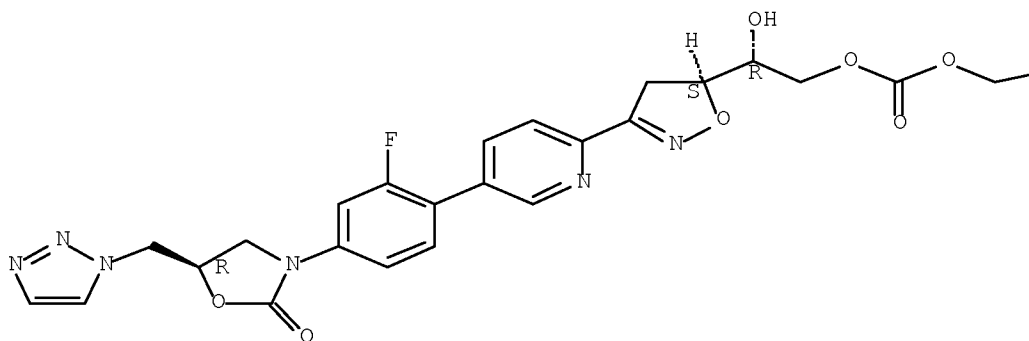


RN 702682-29-9 CAPLUS

CN Carbonic acid, (2R)-2-[(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]-2-hydroxyethyl 2-methoxyethyl ester (CA INDEX NAME)

Absolute stereochemistry.

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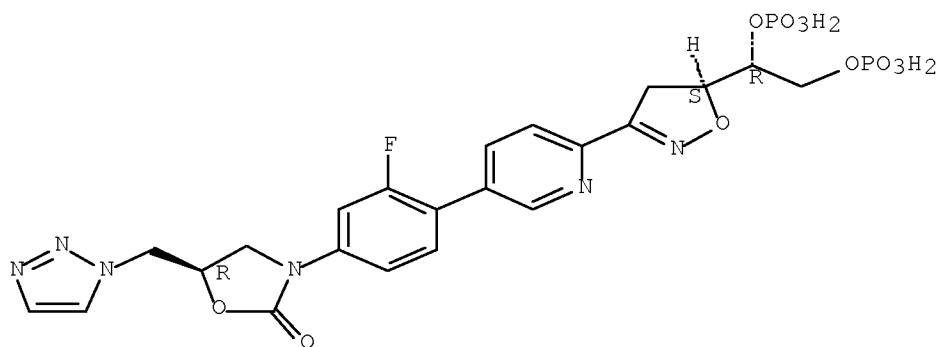
PAGE 1-B



RN 702682-32-4 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[5-[(1R)-1,2-bis(phosphonooxy)ethyl]-4,5-dihydro-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, tetraammonium salt, (5R)- (9CI) (CA INDEX NAME)

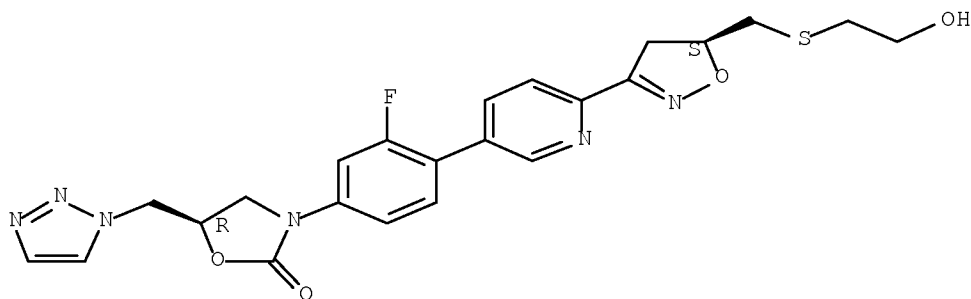
Absolute stereochemistry.

●4 NH<sub>3</sub>

RN 702682-43-7 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[(5S)-4,5-dihydro-5-[[2-hydroxyethyl]thio]methyl]-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

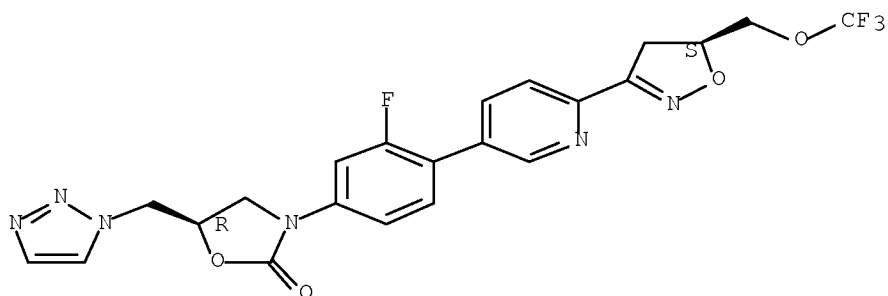
Absolute stereochemistry.



RN 702682-56-2 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[(5S)-4,5-dihydro-5-[(trifluoromethoxy)methyl]-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

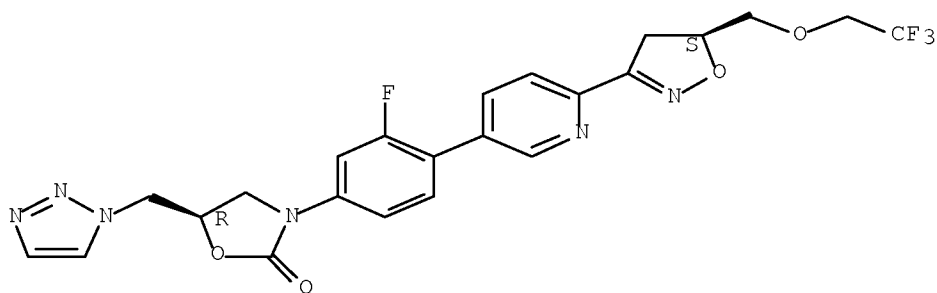
Absolute stereochemistry.



RN 702682-72-2 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[(5S)-4,5-dihydro-5-[(2,2,2-trifluoroethoxy)methyl]-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



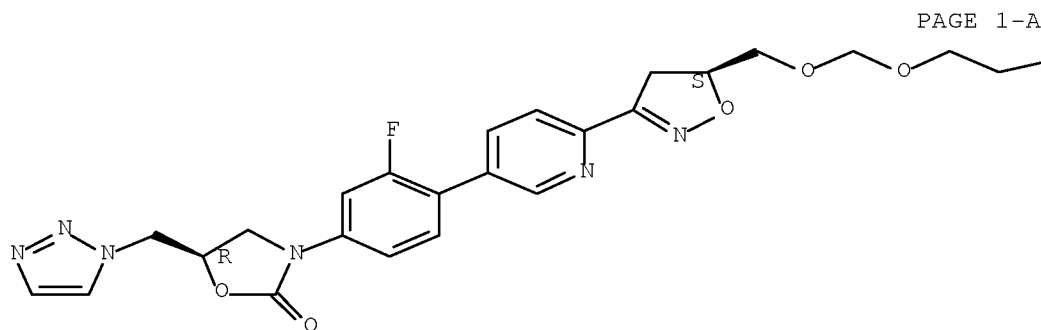
RN 702682-78-8 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[(5S)-4,5-dihydro-5-[[2-(2-



methoxyethoxy)methoxy)methyl]-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



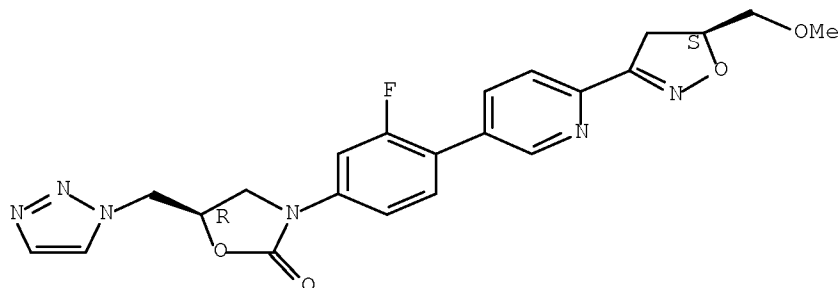
PAGE 1-B

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RN 702682-88-0 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[(5S)-4,5-dihydro-5-(methoxymethyl)-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



IT 702680-97-5P 702681-49-0P 702681-58-1P

702681-85-4P 702681-99-0P 702682-16-4P,

(5R)-3-[4-[6-[5,5-Bis[[(tert-butyldimethylsilyl)oxy)methyl]-4,5-dihydroisoxazol-3-yl]pyridin-3-yl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-1,3-oxazolidin-2-one 702682-35-7P 702682-37-9P

702682-47-1P, (5R)-3-[4-[6-[(5S)-5-(Chloromethyl)-4,5-dihydroisoxazol-3-yl]pyridin-3-yl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-1,3-oxazolidin-2-one

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

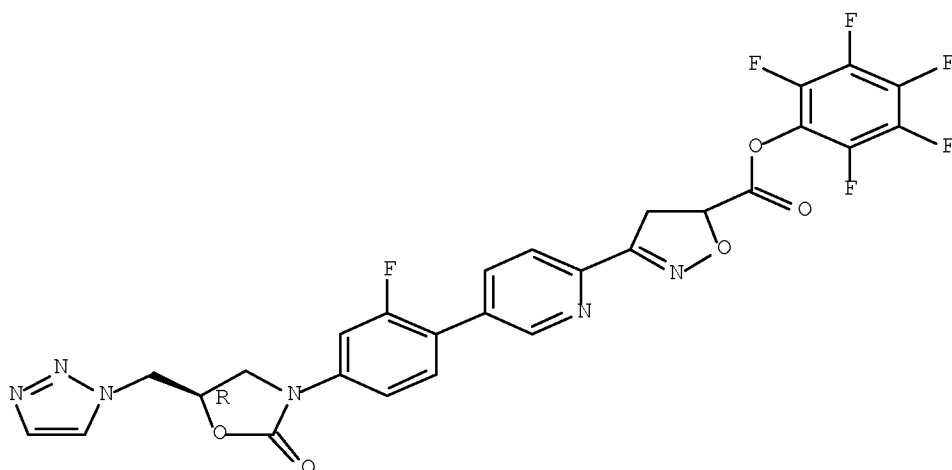
(preparation of oxazolidinone/isoxazoline derivs. as antibacterial agents)

RN 702680-97-5 CAPLUS

CN 5-Isioxazolecarboxylic acid, 3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-

triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-,  
2,3,4,5,6-pentafluorophenyl ester (CA INDEX NAME)

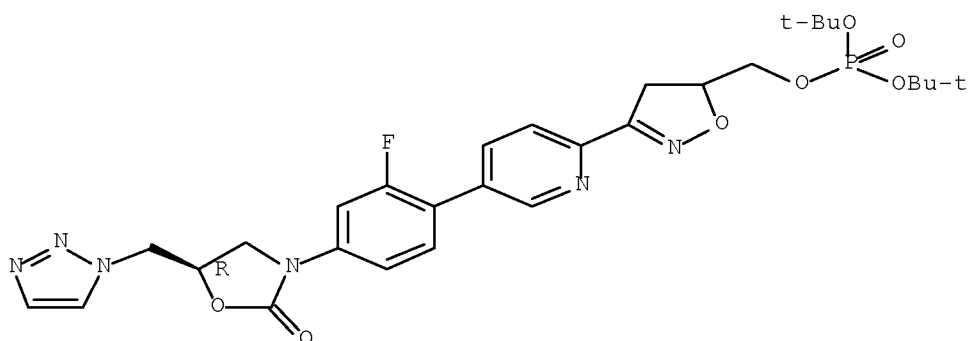
Absolute stereochemistry.



RN 702681-49-0 CAPLUS

CN Phosphoric acid, bis(1,1-dimethylethyl)  
[3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester  
(CA INDEX NAME)

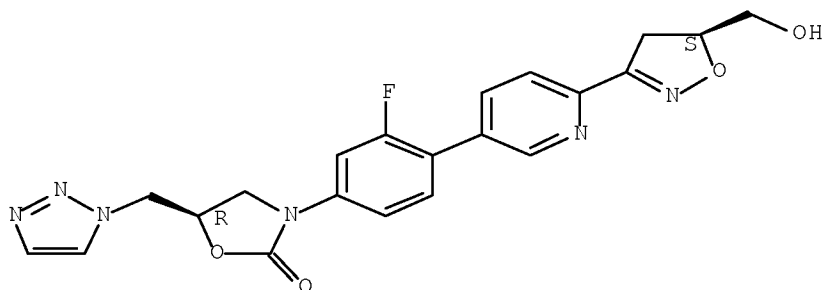
Absolute stereochemistry.



RN 702681-58-1 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[(5S)-4,5-dihydro-5-(hydroxymethyl)-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)-  
(CA INDEX NAME)

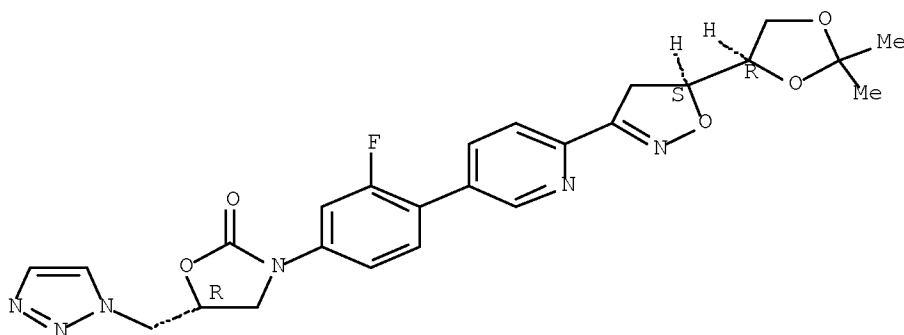
Absolute stereochemistry.



RN 702681-85-4 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[(5S)-5-[(4R)-2,2-dimethyl-1,3-dioxolan-4-yl]-4,5-dihydro-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

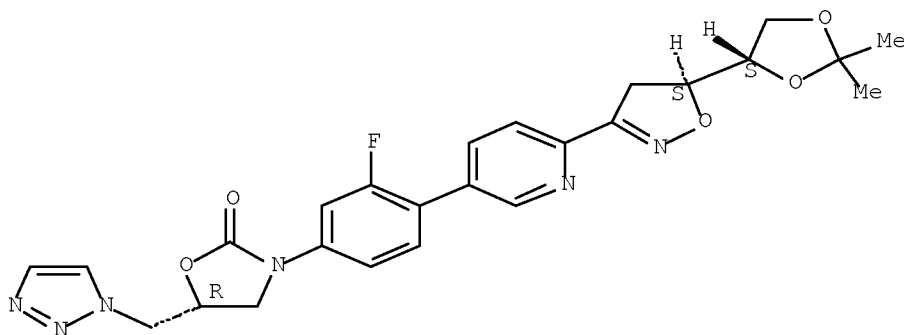
Absolute stereochemistry.



RN 702681-99-0 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[(5S)-5-[(4S)-2,2-dimethyl-1,3-dioxolan-4-yl]-4,5-dihydro-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

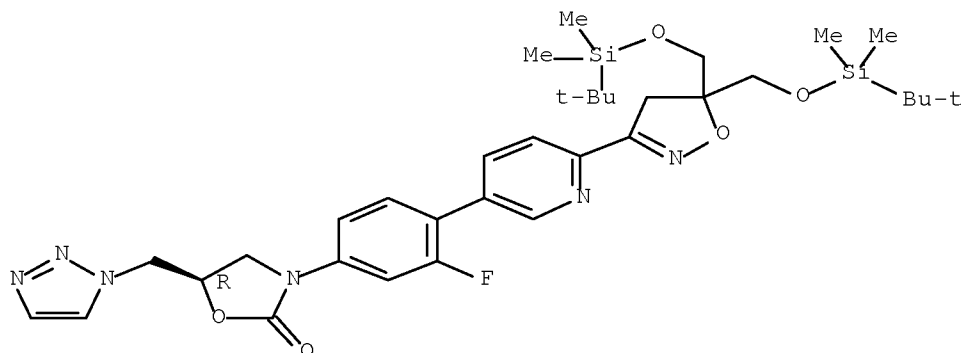


RN 702682-16-4 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[5,5-bis[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-4,5-dihydro-3-isoxazolyl]-3-

pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA  
INDEX NAME)

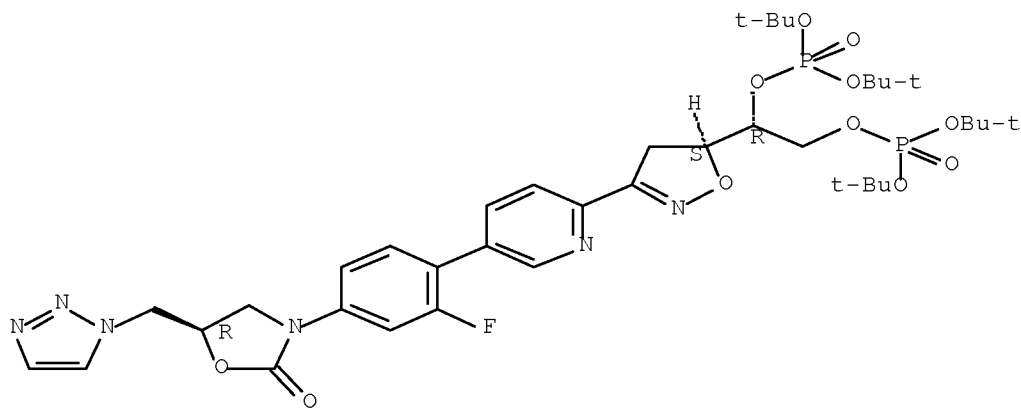
Absolute stereochemistry.



RN 702682-35-7 CAPLUS

CN Phosphoric acid, (1R)-1-[(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]-1,2-ethanediyl tetrakis(1,1-dimethylethyl) ester (9CI) (CA  
INDEX NAME)

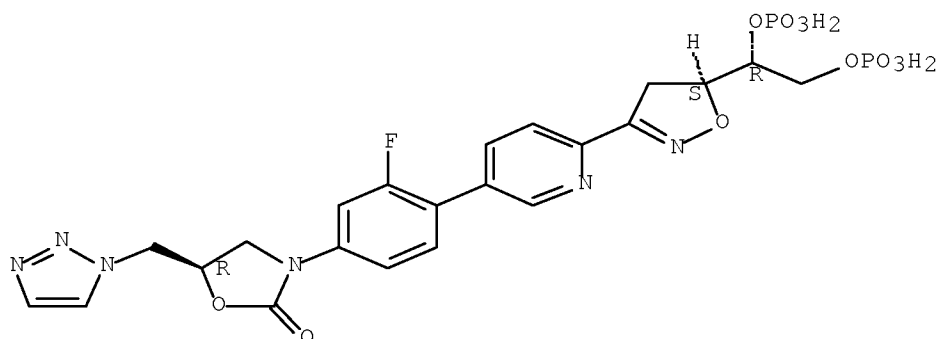
Absolute stereochemistry.



RN 702682-37-9 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[(5S)-5-[(1R)-1,2-bis(phosphonooxy)ethyl]-4,5-dihydro-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

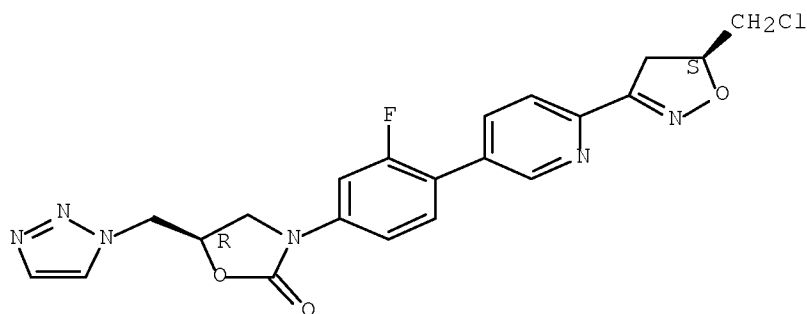
Absolute stereochemistry.



RN 702682-47-1 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[(5S)-5-(chloromethyl)-4,5-dihydro-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2003:221667 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 138:238171

TITLE: Preparation of oxazolidinones and/or isoxazolines as antibacterial agents

INVENTOR(S): Gravestock, Michael Barry; Hales, Neil James; Swain, Michael Lingard; Hauck, Sheila Irene; Mills, Stuart Dennett

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited

SOURCE: PCT Int. Appl., 127 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003022824	A1	20030320	WO 2002-GB4120	20020909
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,				

LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,  
 PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,  
 UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
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 PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,  
 NE, SN, TD, TG

CA 2459766	A1	20030320	CA 2002-2459766	20020909
AU 2002329393	A1	20030324	AU 2002-329393	20020909
AU 2002329393	B2	20080612		
EP 1427711	A1	20040616	EP 2002-765019	20020909
EP 1427711	B1	20050713		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
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HU 2004001005	A2	20040830	HU 2004-1005	20020909
HU 2004001005	A3	20071128		
BR 2002012458	A	20041019	BR 2002-12458	20020909
JP 2005507386	T	20050317	JP 2003-526899	20020909
NZ 531621	A	20050624	NZ 2002-531621	20020909
CN 1639136	A	20050713	CN 2002-822327	20020909
AT 299502	T	20050715	AT 2002-765019	20020909
PT 1427711	T	20051130	PT 2002-765019	20020909
ES 2244802	T3	20051216	ES 2002-765019	20020909
ZA 2004001888	A	20050418	ZA 2004-1888	20040308
MX 2004002303	A	20040629	MX 2004-2303	20040310
NO 2004001428	A	20040608	NO 2004-1428	20040405
US 20050107435	A1	20050519	US 2004-489266	20040909
US 7396847	B2	20080708		
HK 1065789	A1	20051230	HK 2004-108506	20041029

PRIORITY APPLN. INFO.:

GB 2001-21942	A	20010911
GB 2002-15420	A	20020704
WO 2002-GB4120	W	20020909

OTHER SOURCE(S): MARPAT 138:238171

GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Oxazolidinones and isoxazolines (shown as I; variables defined below; e.g. (5S,5S')-N-[3-[4'-[5-(acetylaminomethyl)-2-oxooxazolidin-3-yl]-2,2'-difluorobiphenyl-4-yl]-2-oxooxazolidin-5-ylmethyl]acetamide (shown as II)), or a pharmaceutically-acceptable salt, or an in-vivo-hydrolyzable ester thereof, which useful as antibacterial agents, processes for their manufacture and pharmaceutical compns. containing them are described. For I: C is for example III, IV, V; wherein A and B = 2-oxooxazolidin-3-yl, 2-isoxazolin-3-yl; R2a to R3b = H and F; R1a and R1b =, for example, hydroxy, -NHC(:W)R4, NH(HET-1) and HET-2; wherein W is O or S; R4 is, for example, H, amino, (1-4C)alkyl; HET-1 is, for example, a C-linked 5-membered heteroaryl ring; HET-2 is, for example, an N-linked 5-membered, fully or partially unsatd. heterocyclic ring. I have good activity against a broad range of Gram-pos. pathogens including organisms known to be resistant to most commonly used antibiotics, together with activity against fastidious Gram neg. pathogens such as H. influenzae, M. catarrhalis, Mycoplasma and Chlamydia strains. The min. inhibitory concns. of II for methicillin sensitive and quinolone sensitive Staphylococcus aureus, methicillin resistant and quinolone resistant Staphylococcus aureus, Streptococcus pneumoniae, Streptococcus pyogenes, Haemophilus influenzae, and Moraxella catarrhalis are 0.25, 0.5, 0.06, 0.13, 2.0 and 0.5 µg/mL, resp. Prepn. of 64 examples of I and many intermediates are included. For example,

I was prepared as follows: (5S)-N-[[3-(3-fluoro-4-iodophenyl)-2-oxooxazolidin-5-yl]methyl]acetamide (0.4 mmol) and Bu<sub>4</sub>NBr (0.4 mmol) were stirred in a mixture of DMF (0.5 mL) and NEt<sub>3</sub> (2 mmol), and degassed by bubbling N<sub>2</sub>. Pd(II) acetate (0.04 mmol) was added, and the whole heated at 70° for 18 h. Workup gave the desired product (47 mg). Nine examples of pharmaceutical dosage forms are tabulated.

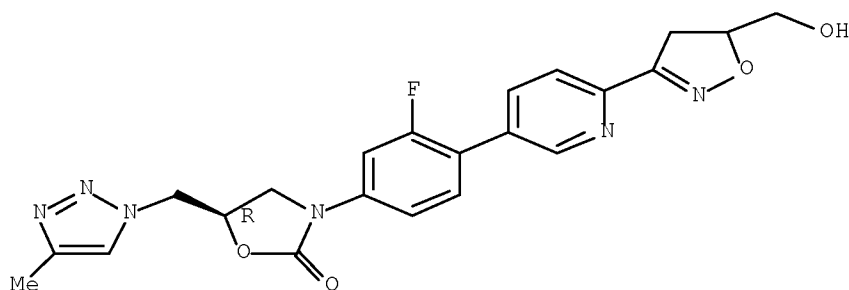
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(5R)-3-[3-Fluoro-4-[6-(5-hydroxymethyl-4,5-dihydroisoxazol-3-yl)pyridin-3-yl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-1,3-oxazolidin-2-one  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of oxazolidinones and/or isoxazolines as antibacterial agents)

RN 501940-86-9 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[4,5-dihydro-5-(hydroxymethyl)-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (CA INDEX NAME)

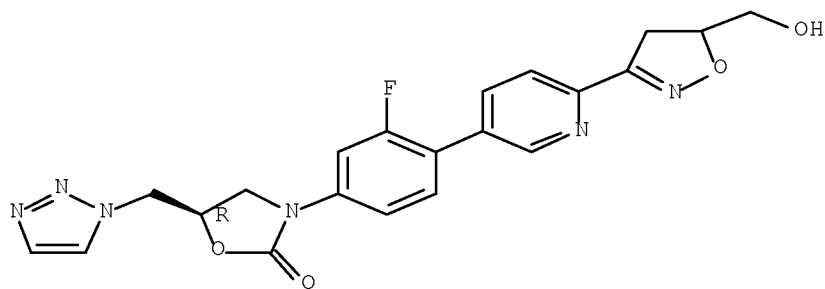
Absolute stereochemistry.



RN 501940-91-6 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[4,5-dihydro-5-(hydroxymethyl)-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

4

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

## STRUCTURE SEARCH

=> fil reg; d stat que 19

FILE 'REGISTRY' ENTERED AT 16:25:30 ON 04 MAR 2009

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STRUCTURE FILE UPDATES: 3 MAR 2009 HIGHEST RN 1115115-78-0

DICTIONARY FILE UPDATES: 3 MAR 2009 HIGHEST RN 1115115-78-0

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 9, 2009.

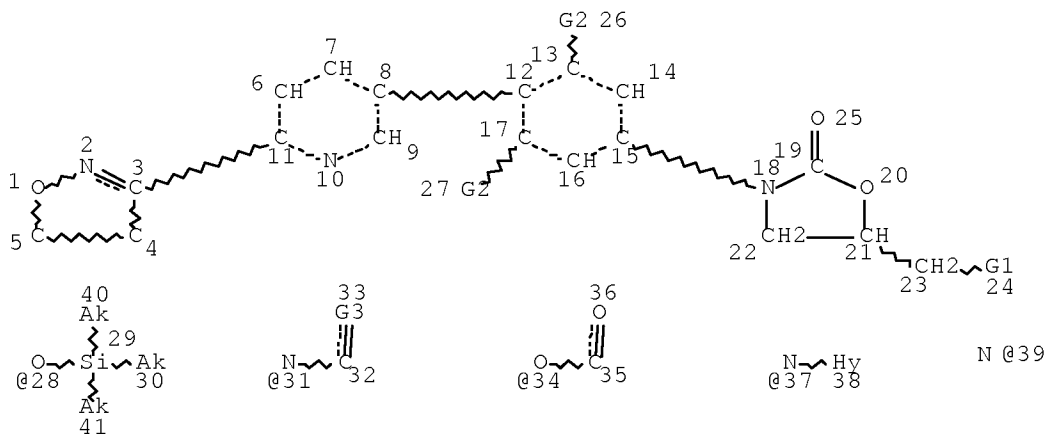
Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stdoc/properties.html>

L3

STR



VAR G1=OH/28/31/34/37/39

VAR G2=H/F

VAR G3=O/S/N

NODE ATTRIBUTES:

NSPEC IS R AT 39

CONNECT IS E1 RC AT 30

CONNECT IS E1 RC AT 40

CONNECT IS E1 RC AT 41

DEFAULT MLEVEL IS ATOM

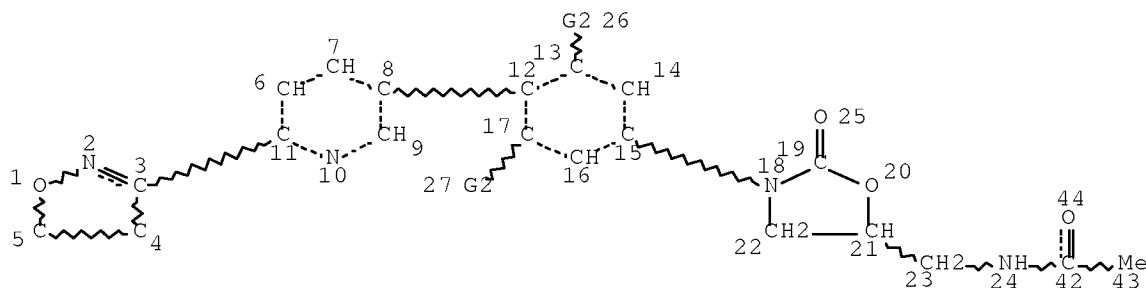
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DEFAULT ECLEVEL IS LIMITED



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STEREO ATTRIBUTES: NONE  
L4 STR



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NODE ATTRIBUTES:  
DEFAULT MLEVEL IS ATOM  
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:  
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NUMBER OF NODES IS 30

STEREO ATTRIBUTES: NONE  
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100.0% PROCESSED 215 ITERATIONS  
SEARCH TIME: 00.00.01

188 ANSWERS

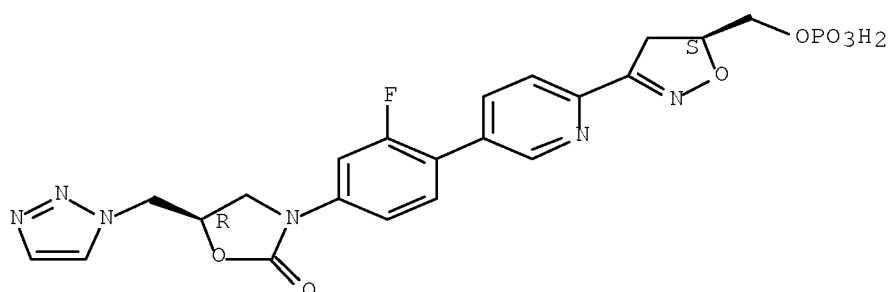
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L4 STR
L9 188 SEA FILE=REGISTRY SSS FUL L3 NOT L4
L17 13 SEA FILE=REGISTRY SPE=ON ABB=ON L9 NOT CAPLUS/LC
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THESE 13 COMPOUNDS HAVE NO ASSOCIATED BIBLIOGRAPHIC REFERENCES. THEY ONLY HAVE REGISTRY RECORDS. THE 'ED' FIELD INDICATES THE DATE OF PUBLIC AVAILABILITY OF THE REGISTRY RECORD.

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L17 ANSWER 1 OF 13 REGISTRY COPYRIGHT 2009 ACS on STN
RN 795264-64-1 REGISTRY
ED Entered STN: 09 Dec 2004
CN 2-Oxazolidinone, 3-[4-[6-[(5S)-4,5-dihydro-5-[(phosphonooxy)methyl]-3-
isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-,
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FS STEREOSEARCH
MF C21 H20 F N6 O7 P
CI COM
SR CA
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Absolute stereochemistry.

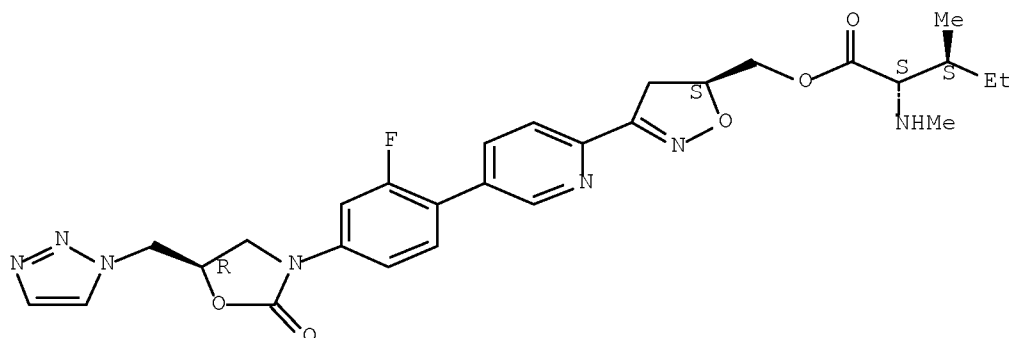


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 RN 794473-36-2 REGISTRY  
 ED Entered STN: 08 Dec 2004  
 CN L-Isoleucine, N-methyl-, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester (CA INDEX NAME)  
 FS STEREOSEARCH  
 MF C28 H32 F N7 O5  
 CI COM  
 SR CA

Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L17 ANSWER 3 OF 13 REGISTRY COPYRIGHT 2009 ACS on STN  
 RN 794473-35-1 REGISTRY  
 ED Entered STN: 08 Dec 2004  
 CN Acetic acid, 2-[2-(methylamino)ethoxy]-, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester

(CA INDEX NAME)

OTHER CA INDEX NAMES:

CN Acetic acid, [2-(methylamino)ethoxy]-,  
 [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester  
 (9CI)

FS STEREOSEARCH

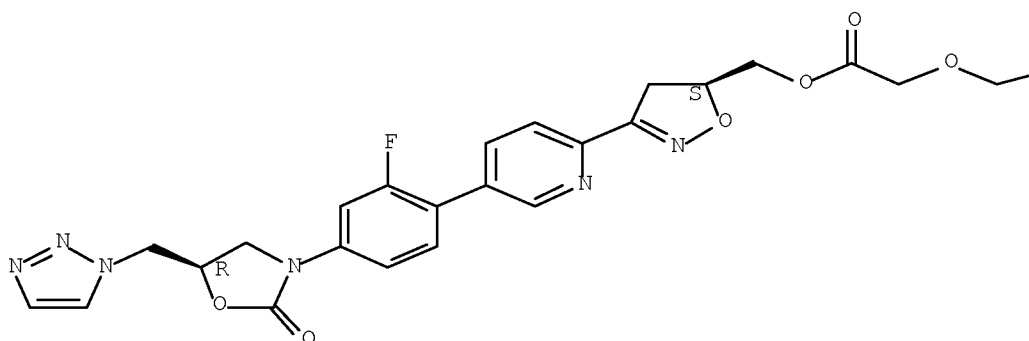
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CI COM

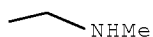
SR CA

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L17 ANSWER 4 OF 13 REGISTRY COPYRIGHT 2009 ACS on STN

RN 794473-34-0 REGISTRY

ED Entered STN: 08 Dec 2004

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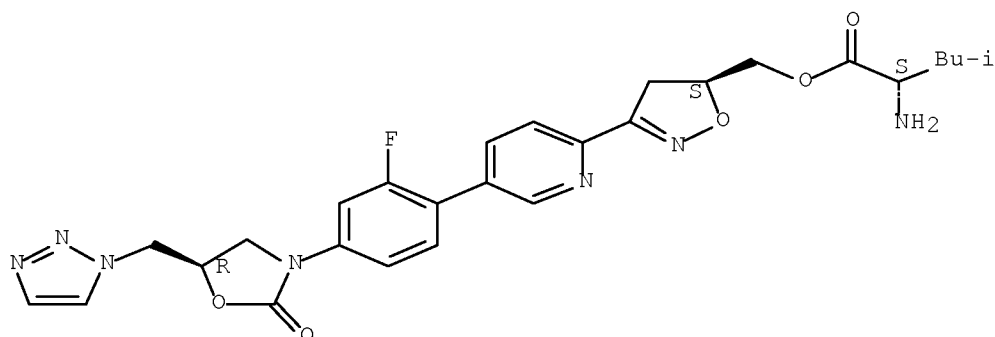
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MF C27 H30 F N7 O5

CI COM

SR CA

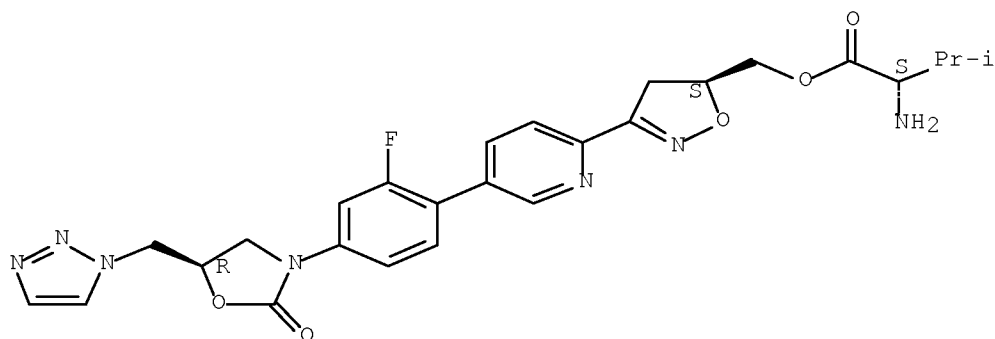
Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L17 ANSWER 5 OF 13 REGISTRY COPYRIGHT 2009 ACS on STN  
 RN 794473-33-9 REGISTRY  
 ED Entered STN: 08 Dec 2004  
 CN L-Valine, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester (CA INDEX NAME)  
 FS STEREOSEARCH  
 MF C26 H28 F N7 O5  
 CI COM  
 SR CA

Absolute stereochemistry.



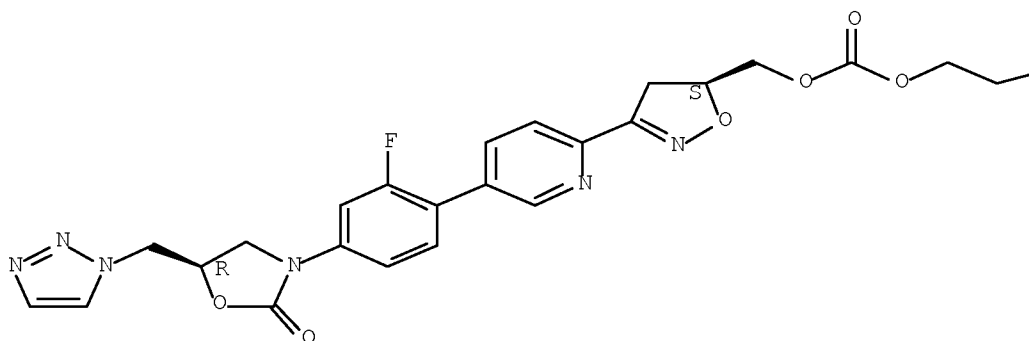
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 CN Ethanaminium, 2-[[[[(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methoxy]carbonyl]oxy]-N,N,N-trimethyl- (CA INDEX NAME)  
 FS STEREOSEARCH  
 MF C27 H31 F N7 O6  
 CI COM

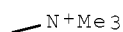
SR CA

Absolute stereochemistry.

PAGE 1-A

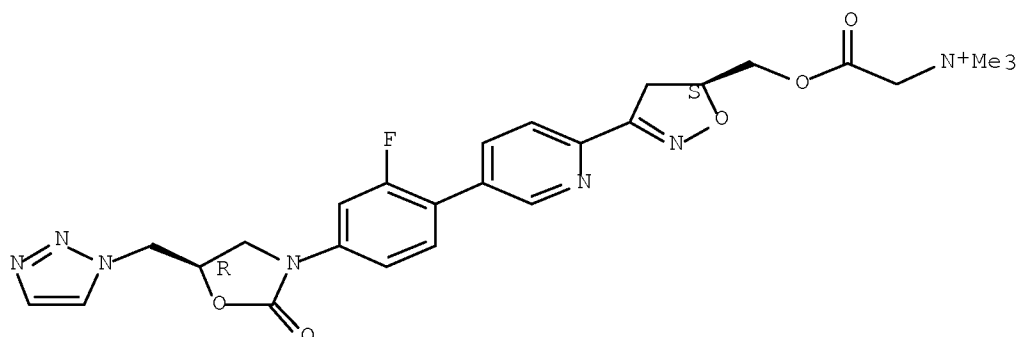


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 RN 794473-31-7 REGISTRY  
 ED Entered STN: 08 Dec 2004  
 CN Ethanaminium, 2-[[[(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methoxy]-N,N,N-trimethyl-2-oxo- (CA INDEX NAME)  
 FS STEREOSEARCH  
 MF C26 H29 F N7 O5  
 CI COM  
 SR CA

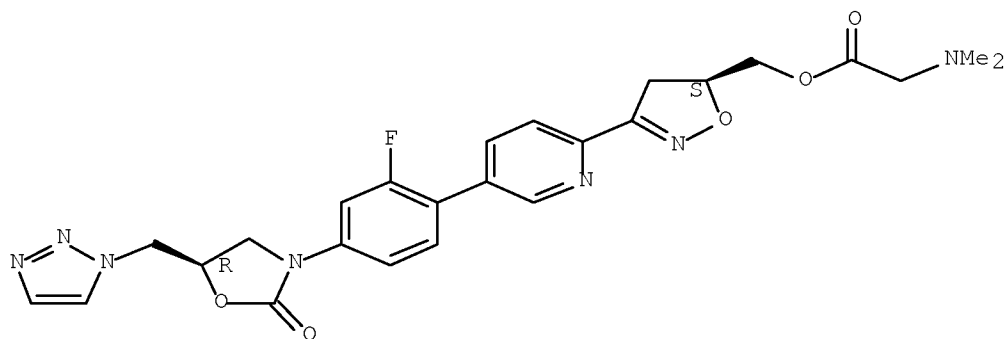
Absolute stereochemistry.



L17 ANSWER 8 OF 13 REGISTRY COPYRIGHT 2009 ACS on STN  
 RN 794473-30-6 REGISTRY  
 ED Entered STN: 08 Dec 2004

CN Glycine, N,N-dimethyl-, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl)methyl ester (CA INDEX NAME)  
 FS STEREOSEARCH  
 MF C25 H26 F N7 O5  
 CI COM  
 SR CA

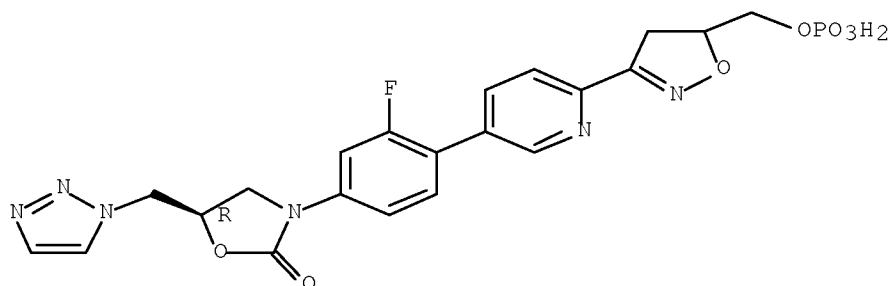
Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L17 ANSWER 9 OF 13 REGISTRY COPYRIGHT 2009 ACS on STN  
 RN 779325-11-0 REGISTRY  
 ED Entered STN: 12 Nov 2004  
 CN 2-Oxazolidinone, 3-[4-[6-[4,5-dihydro-5-[(phosphonoxy)methyl]-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)  
 FS STEREOSEARCH  
 MF C21 H20 F N6 O7 P  
 CI COM  
 SR CA

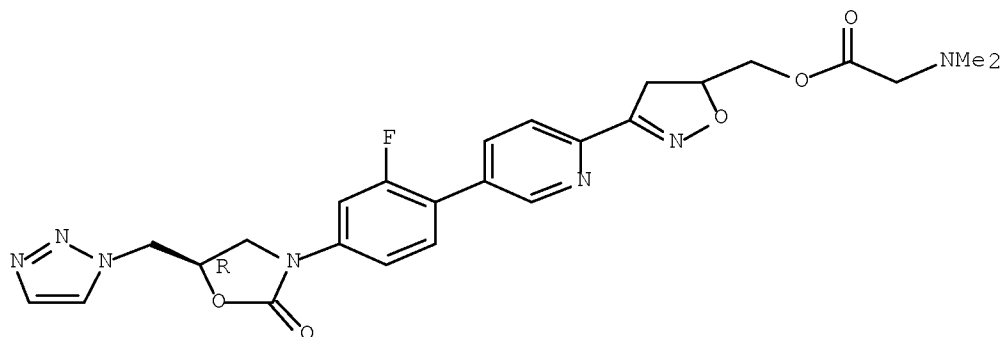
Absolute stereochemistry.



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 CN Glycine, N,N-dimethyl-, [3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester (CA INDEX NAME)  
 FS STEREOSEARCH  
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 CI COM  
 SR CA

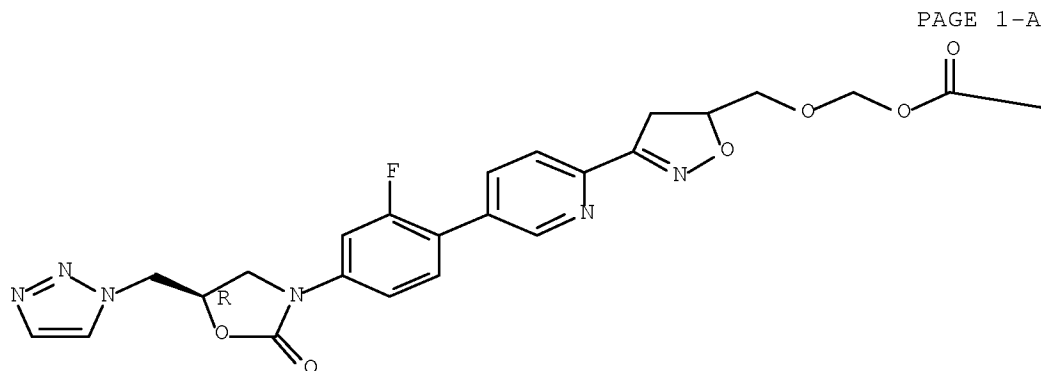
Absolute stereochemistry.



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 RN 702681-68-3 REGISTRY  
 ED Entered STN: 02 Jul 2004  
 CN Propanoic acid, 2,2-dimethyl-, [[3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methoxy]methyl ester (CA INDEX NAME)  
 FS STEREOSEARCH  
 MF C27 H29 F N6 O6  
 CI COM  
 SR CA

Absolute stereochemistry.



PAGE 1-A

PAGE 1-B

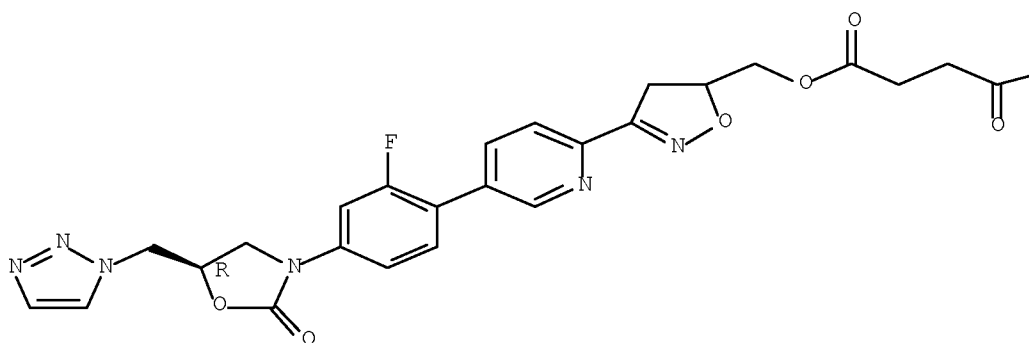
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 ED Entered STN: 02 Jul 2004  
 CN Butanedioic acid, 1-ethyl 4-[[3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl] ester (CA INDEX NAME)  
 OTHER CA INDEX NAMES:  
 CN Butanedioic acid, ethyl [3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester (9CI)  
 FS STEREOSEARCH  
 MF C27 H27 F N6 O7  
 CI COM  
 SR CA

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

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\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L17 ANSWER 13 OF 13 REGISTRY COPYRIGHT 2009 ACS on STN  
 RN 702681-60-5 REGISTRY  
 ED Entered STN: 02 Jul 2004



CN Butanedioic acid, 1-[[3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl] 4-methyl ester (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN Butanedioic acid, [3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl methyl ester (9CI)

FS STEREOSEARCH

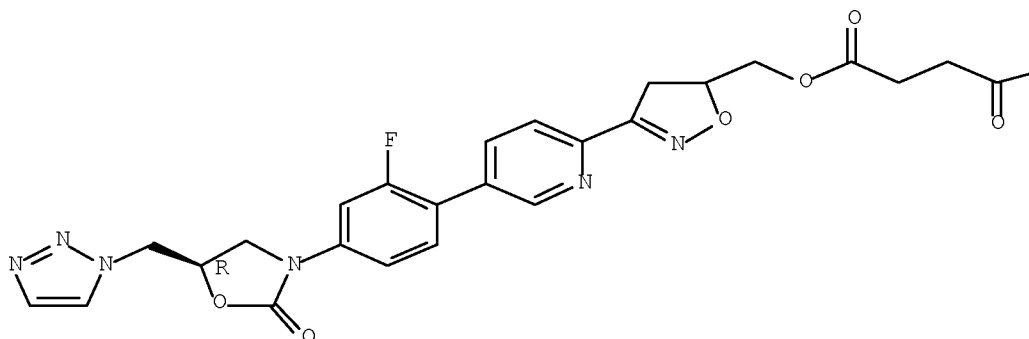
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CI COM

SR CA

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

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FILE COVERS 1907 - 4 Mar 2009 VOL 150 ISS 10

FILE LAST UPDATED: 3 Mar 2009 (20090303/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

'OBI' IS DEFAULT SEARCH FIELD FOR 'CAPLUS' FILE

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L10         6 SEA FILE=CAPLUS SPE=ON ABB=ON L9
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L18         1 L10 NOT L16      L16=INVENTOR SEARCH ANSWER SET
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L18 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:1291829 CAPLUS Full-text

DOCUMENT NUMBER: 144:36350

TITLE: Process for the preparation of aryl-substituted oxazolidinones as intermediates for antibacterial agents

INVENTOR(S): Cherryman, Janette; Cuthbert, Murray Watson; Dubiez, Jerome; Howells, Garnet Edward; Mulholland, Keith Raymond

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited

SOURCE: PCT Int. Appl., 37 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

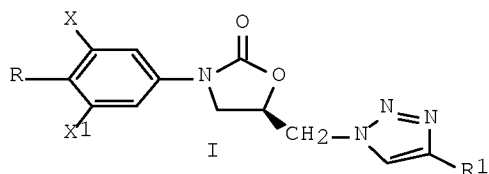
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005116017	A1	20051208	WO 2005-GB2042	20050524
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RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
EP 1753751	A1	20070221	EP 2005-746863	20050524
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR			

CN 1989133	A	20070627	CN 2005-80024984	20050524
JP 2008500316	T	20080110	JP 2007-514085	20050524
IN 2006MN01440	A	20070525	IN 2006-MN1440	20061127
PRIORITY APPLN. INFO.:			GB 2004-11596	A 20040525
			WO 2005-GB2042	W 20050524

OTHER SOURCE(S): CASREACT 144:36350; MARPAT 144:36350  
GI

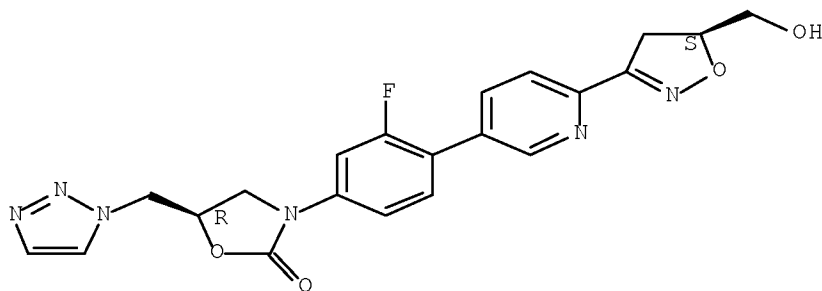


AB The invention relates to aryl(triazolylmethyl)oxazolidinones I (R is Br; X, X1 are independently H or F; R1 is H, halo, cyano, Me, cyanomethyl, fluoromethyl, difluoromethyl, trifluoromethyl or trialkylsilyl) which were prepared by bromination of I (R is H). Thus, I (R = R1 = X = H, X1 = F) was prepared from 3-fluoroaniline R-glycidyl butyrate and sodium azide and its aqueous acetic acid solution treated with 48% weight/weight aqueous hydrobromic acid and then sodium borate in water to afford brominated product I (R = Br). The latter was used to prepare I [R = 6-[(S)-5-(hydroxymethyl)- or (R)-5-(morpholinomethyl)-4,5-dihydroisoxazol-3-yl]pyridin-3-yl; R1 = X = H, X1 = F].

IT 702681-58-1F 870653-78-4F  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of aryl(triazolylmethyl)oxazolidinones as intermediates for antibacterial agents)

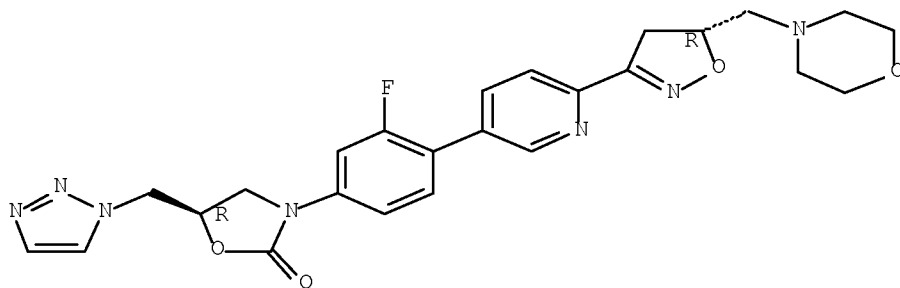
RN 702681-58-1 CAPLUS  
CN 2-Oxazolidinone, 3-[4-[6-[(5S)-4,5-dihydro-5-(hydroxymethyl)-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 870653-78-4 CAPLUS  
CN 2-Oxazolidinone, 3-[4-[6-[(5R)-4,5-dihydro-5-(4-morpholinylmethyl)-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

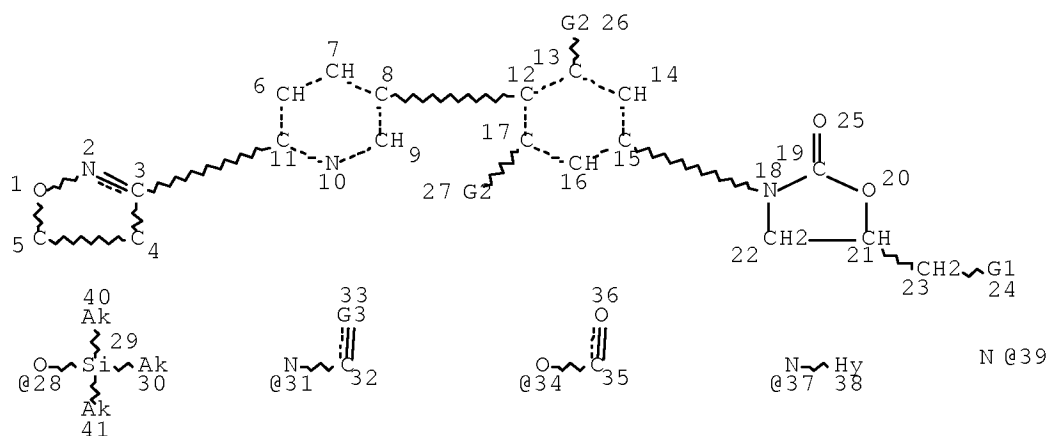


REFERENCE COUNT:

3

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

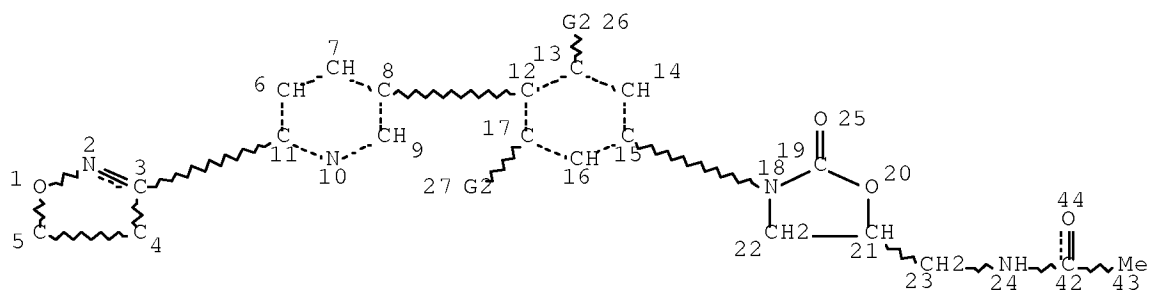
=> d stat que 19; d his nofile  
L3 STR



VAR G1=OH/28/31/34/37/39  
VAR G2=H/F  
VAR G3=O/S/N  
NODE ATTRIBUTES:  
NSPEC IS R AT 39  
CONNECT IS E1 RC AT 30  
CONNECT IS E1 RC AT 40  
CONNECT IS E1 RC AT 41  
DEFAULT MLEVEL IS ATOM  
GGCAT IS MCY UNS AT 38  
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:  
RING(S) ARE ISOLATED OR EMBEDDED  
NUMBER OF NODES IS 41

STEREO ATTRIBUTES: NONE  
L4 STR



VAR G2=H/F  
NODE ATTRIBUTES:  
DEFAULT MLEVEL IS ATOM  
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED  
NUMBER OF NODES IS 30

STEREO ATTRIBUTES: NONE

L9 188 SEA FILE=REGISTRY SSS FUL L3 NOT L4

100.0% PROCESSED 215 ITERATIONS

188 ANSWERS

SEARCH TIME: 00.00.01

(FILE 'HOME' ENTERED AT 15:53:46 ON 04 MAR 2009)

FILE 'CAPLUS' ENTERED AT 15:54:03 ON 04 MAR 2009

E US2005-536686/APPS

L1 1 SEA SPE=ON ABB=ON US2005-536686/AP  
D SCAN  
SEL RN

FILE 'REGISTRY' ENTERED AT 15:54:30 ON 04 MAR 2009

L2 194 SEA SPE=ON ABB=ON (100-52-7/BI OR 1002-84-2/BI OR 1003-04-9/B  
I OR 10602-36-5/BI OR 107-18-6/BI OR 108-30-5/BI OR 110-64-5/BI  
OR 110-91-8/BI OR 1118-68-9/BI OR 115-18-4/BI OR 116700-78-8/B  
I OR 117924-33-1/BI OR 120-92-3/BI OR 121-46-0/BI OR 122-04-3/B  
I OR 127001-96-1/BI OR 13258-63-4/BI OR 133059-43-5/BI OR  
143887-83-6/BI OR 1445-73-4/BI OR 14794-31-1/BI OR 1490-25-1/BI  
OR 149524-42-5/BI OR 149524-45-8/BI OR 15674-67-6/BI OR  
1663-39-4/BI OR 18162-48-6/BI OR 18997-19-8/BI OR 19708-81-7/BI  
OR 20260-53-1/BI OR 203634-91-7/BI OR 203634-93-9/BI OR  
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/BI OR 501939-77-1/BI OR 501939-78-2/BI OR 501939-82-8/BI OR  
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-9/BI OR 501940-91-6/BI OR 504437-66-5/BI OR 51067-06-2/BI OR  
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OR 60-24-2/BI OR 62214-38-4/BI OR 628-12-6/BI OR 661-69-8/BI  
OR 700370-33-8/BI OR 700370-36-1/BI OR 700370-37-2/BI OR  
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702680-23-7/BI OR 702680-25-9/BI OR 702680-27-1/BI OR 702680-31  
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-4/BI OR 702680-48-6/BI OR 702680-51-1/BI OR 702680-53-3/BI OR  
702680-55-5/BI OR 702680-57-7/BI OR 702680-59-9/BI OR 702680-61  
-3/BI OR 702680-63-5/BI OR 702680-65-7/BI OR 702680-67-9/BI OR  
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702680-87-3/BI OR 702680-89-5/BI OR 702680-91-9/BI OR 702680-93  
-1/BI OR 702680-95-3/BI OR 702680-97-5/BI OR 702680-99-7

L3 STR

L4 STR L3

L5 8 SEA SSS SAM L3 NOT L4

L6 3 SEA SPE=ON ABB=ON L5 AND L2

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FILE 'ZCAPLUS' ENTERED AT 16:10:43 ON 04 MAR 2009
L7      5 SEA SPE=ON  ABB=ON  L5

FILE 'STNGUIDE' ENTERED AT 16:10:49 ON 04 MAR 2009

FILE 'REGISTRY' ENTERED AT 16:11:39 ON 04 MAR 2009
L8      215 SEA SSS FUL L3 NOT L4 EXTEND
L9      188 SEA SSS FUL L3 NOT L4
        SAVE TEMP L9 CHA686FULL/A

FILE 'STNGUIDE' ENTERED AT 16:17:46 ON 04 MAR 2009

FILE 'CAPLUS' ENTERED AT 16:23:06 ON 04 MAR 2009
L10     6 SEA SPE=ON  ABB=ON  L9
L11     25 SEA SPE=ON  ABB=ON  CARCANAGUE D?/AU
L12     86 SEA SPE=ON  ABB=ON  GRAVESTOCK M?/AU
L13     122 SEA SPE=ON  ABB=ON  HAUCK S?/AU
L14     1161 SEA SPE=ON  ABB=ON  WEBER T?/AU
L15     82 SEA SPE=ON  ABB=ON  HALES N?/AU
L16     5 SEA SPE=ON  ABB=ON  (L1 OR L11 OR L12 OR L13 OR L14 OR L15)
        AND L10

FILE 'REGISTRY' ENTERED AT 16:24:07 ON 04 MAR 2009
L17     13 SEA SPE=ON  ABB=ON  L9 NOT CAPLUS/LC

FILE 'CAPLUS' ENTERED AT 16:25:01 ON 04 MAR 2009
        D QUE NOS L16
        D IBIB ABS HITSTR L16 1-5

FILE 'REGISTRY' ENTERED AT 16:25:30 ON 04 MAR 2009
        D STAT QUE L9
        D QUE NOS L17
        D IDE L17 1
        D IDE L17 2-13

FILE 'CAPLUS' ENTERED AT 16:26:14 ON 04 MAR 2009
        D QUE NOS L10
L18     1 SEA SPE=ON  ABB=ON  L10 NOT L16
        D IBIB ABS HITSTR
        D STAT QUE L9

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